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 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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FILE 'HOME' ENTERED AT 12:10:43 ON 26 APR 2004

=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.42       0.42

FILE 'STNGUIDE' ENTERED AT 12:11:48 ON 26 APR 2004
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 23, 2004 (20040423/UP).

=> FIL HOME
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.06       0.48

FILE 'HOME' ENTERED AT 12:11:52 ON 26 APR 2004

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.69

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STRUCTURE FILE UPDATES:   23 APR 2004  HIGHEST RN 676578-75-9
DICTIONARY FILE UPDATES:  23 APR 2004  HIGHEST RN 676578-75-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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```

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

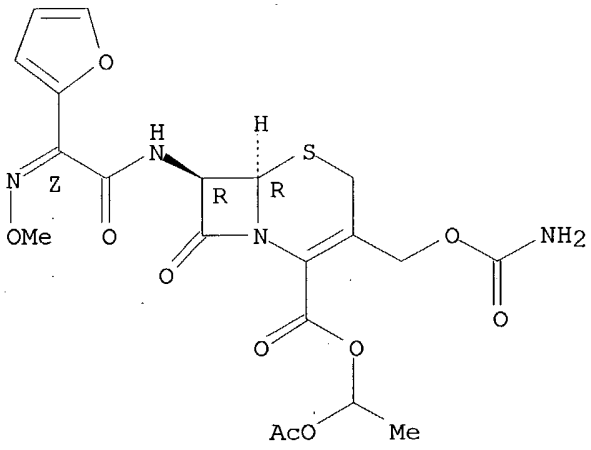
```
=> e cefuroxime axetil/cn
E1            1     CEFUROXIME 1-ACETOXYETHYL ESTER/CN
E2            1     CEFUROXIME ACID/CN
E3            1 --> CEFUROXIME AXETIL/CN
E4            1     CEFUROXIME AXETIL CARBOXYLESTERASE/CN
E5            1     CEFUROXIME PIVOXETIL/CN
E6            1     CEFUROXIME SODIUM/CN
E7            1     CEFUROXIME SODIUM SALT/CN
E8            1     CEFUZONAM/CN
E9            1     CEFUZONAM NITRATE/CN
E10           1     CEFUZONAME/CN
E11           1     CEFYLL/CN
E12           1     CEFZIL/CN

=> s e3
L1            1 "CEFUROXIME AXETIL"/CN

=> d l1

L1   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   64544-07-6  REGISTRY
CN   5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
     3-[[(aminocarbonyl)oxy]methyl]-7-[[(2Z)-2-furanyl(methoxyimino)acetyl]amin
     o]-8-oxo-, 1-(acetyloxy)ethyl ester, (6R,7R)- (9CI)  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
     3-[[(aminocarbonyl)oxy]methyl]-7-[[2-furanyl(methoxyimino)acetyl]amino]-8-
     oxo-, 1-(acetyloxy)ethyl ester, [6R-[6α,7β(Z)]]-
OTHER NAMES:
CN   CCI 15641
CN   Cefazine
CN   Ceftin
CN   Cefurax
CN   Cefuroxime 1-acetoxyethyl ester
CN   Cefuroxime axetil
CN   Elobact
CN   Oraxim
CN   Zinat
CN   Zinnat
FS   STEREOSEARCH
MF   C20 H22 N4 O10 S
CI   COM
LC   STN Files:   ADISNEWS, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS,
       BIOTECHNO, CA, CABA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS,
       CIN, DDFU, DIOGENES, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS,
       IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, PHAR, PROMT, RTECS*, SYNTHLINE,
       TOXCENTER, USAN, USPAT2, USPATFULL
         (*File contains numerically searchable property data)

Absolute stereochemistry.
Double bond geometry as shown.
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

365 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
366 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL JAPIO CAPLUS USPATFULL EUROPATFULL MEDLINE EMBASE BIOSIS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.62	7.31

FILE 'JAPIO' ENTERED AT 12:12:41 ON 26 APR 2004
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FILE 'BIOSIS' ENTERED AT 12:12:41 ON 26 APR 2004
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=> s l1
'CN' IS NOT A VALID FIELD CODE
'CN' IS NOT A VALID FIELD CODE
L2 3000 L1

=> s cefuroxime axetil
L3 3461 CEFUROXIME AXETIL

=> s l3 (p) (tablet or capsule or oral)

```
L4          842 L3 (P) (TABLET OR CAPSULE OR ORAL)

=> s l4 and (immediate or quick or fast or instant) (3a) release
L5           29 L4 AND (IMMEDIATE OR QUICK OR FAST OR INSTANT) (3A) RELEASE

<------User Break------>

SEARCH ENDED BY USER

=> s l5 and (delayed or sustained or prolonged) (3a) release
L6           26 L5 AND (DELAYED OR SUSTAINED OR PROLONGED) (3A) RELEASE

=> dup
ENTER REMOVE, IDENTIFY, ONLY, OR (?):rem
ENTER L# LIST OR (END):l6
PROCESSING COMPLETED FOR L6
L7            24 DUP REM L6 (2 DUPLICATES REMOVED)

=> d l7 ibib kwic 1-
YOU HAVE REQUESTED DATA FROM 24 ANSWERS - CONTINUE? Y/(N):y

L7   ANSWER 1 OF 24  USPATFULL on STN
ACCESSION NUMBER:        2004:44272  USPATFULL
TITLE:                   Sustained release pharmaceutical
                         composition of a cephalosporin antibiotic
INVENTOR(S):             Kshirsagar, Rajesh Suresh, Chennai, INDIA
                         Boldhane, Sanjay Parbhatrao, Chennai, INDIA
                         Jindal, Kour Chand, Chennai, INDIA
PATENT ASSIGNEE(S):      Orchid Health Care, Chennai, INDIA (non-U.S.
                         corporation)

                              NUMBER        KIND    DATE
                         ---------------- ------ --------
PATENT INFORMATION:      US 2004033262      A1   20040219
APPLICATION INFO.:       US 2002-222930     A1   20020819 (10)
DOCUMENT TYPE:           Utility
FILE SEGMENT:            APPLICATION
LEGAL REPRESENTATIVE:    OLIFF & BERRIDGE, PLC, P.O. BOX 19928, ALEXANDRIA, VA,
                         22320
NUMBER OF CLAIMS:        16
EXEMPLARY CLAIM:         1
NUMBER OF DRAWINGS:      1 Drawing Page(s)
LINE COUNT:              662
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI     Sustained release pharmaceutical composition of a
       cephalosporin antibiotic
AB     This invention relates to a sustained release
       pharmaceutical composition comprising at least a cephalosporin
       antibiotic, a mixture of polymers and other pharmaceutically acceptable
       excipients; in the composition,. . .
SUMM   [0001] This invention relates to a sustained release
       pharmaceutical composition comprising at least a cephalosporin
       antibiotic, a mixture of polymers and other pharmaceutically acceptable
       excipients. The polymers are. . .
SUMM   [0004] Sustained release preparation of drugs are
       advantageous as the administration frequency can be reduced by
       maintaining a constant plasma concentration of drug. . .
SUMM   . . . diverse techniques and principles. Amongst these, known in the
       art is one such delivery system, which employs hydrophilic polymers to
       sustained or modified release pharmaceutical
       composition. In the modified release solid dosage forms comprising a
       drug, such drug is dispersed uniformly in a mixture. . .
SUMM   [0006] The relevant prior art methods, which teach adaptation of diverse
```

delivery system for the **sustained release** of the active ingredient, are as follows:

SUMM [0009] U.S. Pat. No. 4,968,508 discloses a **sustained release** matrix tablet comprising from about 0.1% to about 90% by weight of Cefaclor, about 5% of about 29% by weight. . .

SUMM . . . alginate and at least one xanthan gum as controlled release matrix and optionally probenecid as an antibiotic adjuvant as either **immediate release** or controlled **release** part. The composition may contain one or more of a water-soluble and/or water dispersible diluent. The quantity of the hydrophilic. . .

SUMM [0011] International Publication number WO 02/36126 discloses a **fast** disintegrating controlled **release oral** composition comprising a core material containing **Cefuroxime Axetil** present as controlled release form, the **Cefuroxime axetil**-being provided with an outer coating of a copolymer selected from aqueous dispersions of enteric methacrylic acid and methacrylic acid esters anionic copolymers having carboxyl group as the functional group or mixture thereof and an inner coating of a **sustained release** copolymer selected from aqueous dispersions of acrylate or methacrylate pH independent copolymers having quaternary ammonium group as a functional group. . .

SUMM [0017] U.S. Pat. No. 6,083,532 discloses a **sustained release** tablet comprising a drug to be released at a controlled rate and a **sustained release** formulation comprising atleast three different type of polymers including a pH dependent gelling polymer, a pH independent gelling polymer and. . .

SUMM . . . float so that it is retained in the stomach thereby providing spatial control and at the same time resulting in **sustained release** of the drug providing temporal control.

SUMM [0022] **Sustained release** preparation of drugs are advantageous in the administration, frequency can be reduced by maintaining a constant plasma concentration of drug. . .

SUMM [0024] The main object of the present invention is to provide a **sustained release** of the active ingredient from the pharmaceutical composition, which has blood levels above MIC over extended period of time.

SUMM [0025] Another objective of the present invention is to provide a **sustained release** pharmaceutical composition suitable for twice daily or once daily dosage form.

SUMM [0026] Yet another objective of the present invention is to provide a **sustained release** pharmaceutical composition, which releases the active ingredient in a predetermined manner.

SUMM [0027] Yet another objective of the present invention is to provide **sustained release** pharmaceutical composition of a cephalosporin antibiotic.

SUMM [0028] Accordingly, the present invention relates to a **sustained release** pharmaceutical composition comprising at least a cephalosporin antibiotic, a mixture of galactomannans and neutral swellable polymers and other pharmaceutically acceptable. . .

SUMM [0029] The polymers are selected in such a way to give **sustained release** of the active ingredient in a predetermined manner.

SUMM [0030] Preferably, the invention relates to **sustained release** pharmaceutical composition comprising a cephalosporin antibiotic, a mixture of galactomannans and neutral swellable polymers, said galactomannans being selected from the. . .

SUMM [0031] More preferably, the present invention relates to the **sustained release** pharmaceutical composition which comprises about 30% to about 90% by weight of a cephalosporin antibiotic; about 2% to about 30%. . . 0.1% to about 15% by weight of galactomannans, about 0.1% to about 15% of neutral swellable polymer by weight of **sustained release** composition.

SUMM [0032] Still more preferably, the present invention relates to the **sustained release** pharmaceutical composition comprises

about 30% to about 90% by weight of cephalosporin antibiotic, about 2% to about 20% by weight. . . about 12% by weight and neutral swellable polymer in an amount from about 0.1% to about 12% by weight of **sustained release** composition.

SUMM [0033] According to yet another embodiment of the present invention, the **sustained release** pharmaceutical composition may be prepared by wet granulation method, the said method comprising steps of:

SUMM . . . present invention, cephalosporin antibiotic may be present in an amount from about 30 to about 90% by weight of the **sustained release** composition. Further, the cephalosporin antibiotic may be present in the amount from 100 mg to 2000 mg per dosage.

SUMM . . . In the preferred embodiment, the diluent is lactose in amount from about 5% to about 20% by weight of the **sustained release** composition.

SUMM . . . do not hydrate rapidly enough or hydrate too rapidly. Xanthan gum alone when used as a matrix forming agent in **sustained release** tablets, **releases** the drug slightly faster in acidic media, due to more rapid initial surface erosion than at higher pH. After hydration. . .

SUMM [0058] The combination of xanthan gum and poly (ethyl acrylate: methyl methacrylate) 2:1 is a unique combination suitable for **sustained release** of active ingredients, which are to be administered for once daily administration. Both the polymers give a pH independent release. . .

DETD General Procedure for the Preparation of **Sustained Release** Tablet

DETD [0083] The bioavility study was conducted for comparison between conventional Cephalexin (500 mg) and **sustained release** composition formulation of Cephalexin 2 tablets of 750 mg, prepared according to the present invention. Eight healthy male volunteers were. . .

DETD . . . the high peak through concentrations obtained with an intermittent dosing regimen. From the Pharmacokinetic data obtained, it is seen the **sustained release** formulation has achieved the Time/MIC equivalent to 3 times the dosing of conventional dosage regime which is essential for killing. . .

CLM What is claimed is:

1. A **sustained release** pharmaceutical composition comprising at least a cephalosporin antibiotic, a mixture of galactomannans and neutral swellable polymers and other pharmaceutically acceptable. . .

. . . 0.1% to about 15% by weight galactomannans and about 0.1% to about 15% of neutral swellable polymer by weight of **sustained release** composition.

. . . about 12% by weight and neutral swellable polymer in an amount from about 0.1% to about 12% by weight of **sustained release** composition.

16. A process for the preparation of the **sustained release** pharmaceutical composition, the said method comprising steps of: i) mixing an active ingredient, pharmaceutically acceptable excipients and galactomannans in a. . .

L7 ANSWER 2 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1402900 EUROPATFULL EW 200414 FS OS
TITLE: MEDICINAL COMPOSITIONS.
MEDIZINISCHE ZUSAMMENSETZUNGEN.
COMPOSITIONS MEDICINALES.
INVENTOR(S): OHKAWA, Shigenori, 45-20, Makamicho 6-chome,

Takatsuki-shi, Osaka 569-1121, JP;
NARUO, Ken-ichi, 1-2, Minamigaoka 1-chome, Sanda-shi, Hyogo 669-1535, JP;
MORIMOTO, Shigeru, 7-13, Nishikioriminami 1-chome, Tondabayashi-shi, Osaka 584-0067, JP;
NAGASE, Yoshinori, 2-5-201, Hata 4-chome, Ikeda-shi, Osaka 563-0021, JP;
MIWATASHI, Seiji, 8-10-201, Sakaemachi, Ikeda-shi, Osaka 563-0056, JP

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., 1-1 Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045, JP

PATENT ASSIGNEE NO: 204702

AGENT: Rickard, Timothy Mark Adrian, Takeda Euro IP Department, 11-12 Charles II Street, London SW1Y 4QU, GB

AGENT NUMBER: 62166

OTHER SOURCE: MEPA2004027 EP 1402900 A1 0298

SOURCE: Wila-EPZ-2004-H14-T1b

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Japanisch; Veroeffentlichung in Englisch; Verfahren in Englisch

DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R TR; R AL; R LT; R LV; R MK; R RO; R SI

PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG (Internationale Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 1402900	A1	20040331
'OFFENLEGUNGS' DATE:			20040331
APPLICATION INFO.:	EP 2002-733431		20020610
PRIORITY APPLN. INFO.:	JP 2001-2001175224		20010611
	JP 2001-2001175273		20010611
RELATED DOC. INFO.:	WO 200JP2005726	020610	INTAKZ
	WO 2002100433	021219	INTPNR

DETDEN. . . (TNF-α production inhibitory action, TNF-α activity inhibitory action), phosphodiesterase IV (PDE IV) inhibitory action and the like, is superior in (**oral**) absorbability, (metabolism) stability and the like, and shows low toxicity and fewer side effects. Therefore, the compound can be used. . .

The . . . compound of the present invention as it is or after admixing with a pharmacologically acceptable carrier to give, for example, **tablet** (including sugar-coated **tablet** and film-coated **tablet**), powder, granule, **capsules** (including soft **capsules**), liquid, injection, suppository, **sustained-release** preparation and the like, according to a methods known per se used for the general production method for pharmaceutical preparations.. . .

Other . . . tetracycline, oxytetracycline, rolitetracycline, doxycycline, ampicillin, piperacillin, ticarcillin, cephalothin, cephapirin, cephaloridine, cefaclor, cephalexin, cefroxadine, cefadroxil, cefamandole, cefotoam, cefuroxime, cefotiam, cefotiam hexetil, **cefuroxime axetil**, cefdinir, cefditoren pivoxil, ceftazidime, cefpiramide, cefsulodin, cefmenoxime, cefpodoxime proxetil, cefpirome, cefozopran, cefepime, cefsulodin, cefmenoxime, cefmetazole, cefminox, cefoxitin, cefbuperazone, latamoxef, flomoxef,. . .

A . . . be mixed, according to a method known per se, with a pharmacologically acceptable carrier to give pharmaceutical compositions, for example, **tablets** (including a sugar-coated **tablet**, film-coated **tablet**), powders, granules, **capsules** (including a soft **capsule**), solutions, injections, suppositories, **sustained release** agents

and the like which can be safely administered orally or parenterally (e.g., local, rectum, vein, and the like). An. . .
In the case of a preparation for **oral** administration, an excipient (e.g., lactose, sucrose, starch and the like), a disintegrating agent (e.g., starch, calcium carbonate and the like),. . . method known per se for the purpose of masking of taste, enteric property or durability, to obtain a preparation for **oral** administration. As this coating agent, for example, hydroxypropylmethylcellulose, ethylcellulose, hydroxymethylcellulose, hydroxypropylcellulose, polyoxyethylene glycol, Tween 80, Pluronic F68, cellulose acetate phthalate,. . . by Rohm, DE), pigment (e.g., iron oxide red, titanium dioxide, et.) and the like can be used. The preparation for **oral** administration may be any of a **quick release** preparation and a **sustained release** preparation.
As the above-mentioned **sustained release** agent, **sustained release** microcapsules and the like are listed.
For obtaining a **sustained release** microcapsule, a method known per se can be adopted, and for example, it is preferably molded into a **sustained release** preparation shown in the following [2] before administration.
A compound of the present invention is preferably molded into an **oral** administration preparation such as a solid preparation (e.g., powder, granule, **tablet, capsule**) and the like, or molded into a rectal administration preparation such as a suppository. Particularly, an **oral** administration preparation is preferable.
[1] An injection of the compound of the present invention or the concomitant drug, and preparation thereof, [2] a **sustained release** preparation or **quick release** preparation of the compound of the present invention or the concomitant drug, and preparation thereof, [3] a sublingual, buccal or. . .
[2] **Sustained release** preparation or **quick release** preparation, and preparation thereof
A **sustained release** preparation is preferable which is obtained, if desirable, by coating a nucleus containing the compound of the present invention or. . . the concomitant drug with a film agent such as a water-insoluble substance, swellable polymer and the like. For example, a **sustained release** preparation for **oral** administration for a single administration per day type is preferable.
The film agent used in a **sustained release** preparation may further contain a hydrophilic substance.
The content of a water-insoluble substance in the film agent of a **sustained release** preparation is from about 30 to 90% (w/w), preferably from about 35 to 80% (w/w), further preferably from about 40. . .
The **sustained release** preparation is produced by preparing a nucleus containing a drug as exemplified below, then, coating the resulting nucleus with a. . .
A . . . and pH-dependent swellable polymer, and a hydrophilic substance, or by dissolving or dispersing them in a solvent, to give a **sustained release** preparation.
The **quick release** preparation may be liquid (solution, suspension, emulsion and the like) or solid (particle, pill, **tablet** and the like). **Oral** agents and parenteral agents such as an injection and the like are used, and **oral** agents are preferable.
The **quick release** preparation, usually, may contain, in addition to an active component drug, also carriers, additives and excipients conventionally used in the. . . particularly restricted providing it is an excipient ordinarily used as a preparation excipient.

For example, as the excipient for an **oral** solid preparation, lactose, starch, corn starch, crystalline cellulose (Acevil PH101, manufactured by Asahi Chemical Industry Co., Ltd., and the like),. . . about 20 to 98.5 w/w%, further preferably from about 30 to 97 w/w%, based on the total amount of the **quick release** preparation.

The content of a drug in the **quick release** preparation can be appropriately selected in the range from about 0.5 to 95%, preferably from about 1 to 60% based on the total amount of the **quick release** preparation.

When the **quick release** preparation is an **oral** solid preparation, it usually contains, in addition to the above-mentioned components, also an integrating agent. As this integrating agent, there. . .

When the **quick release** preparation is an **oral** solid preparation, it may further contain, in addition to the above-mentioned composition, if desired, additives conventional in solid preparations. As. . .

The . . . it. The above-mentioned mixing is conducted by generally used methods, for example, mixing, kneading and the like. Specifically, when a **quick release** preparation is formed, for example, into a particle, it can be prepared, according to the same means as in the above-mentioned method for preparing a nucleus of a **sustained release** preparation, by mixing the components using a vertical granulator, universal kneader (manufactured by Hata Tekkosho), fluidized bed granulator FD-5S (manufactured. . .

Thus . . . administered simultaneously or may be administered in combination at any administration interval, or they may be themselves made into one **oral** preparation (e.g., granule, fine particle, **tablet, capsule** and the like) or made into one **oral** preparation together with preparation excipients and the like. It may also be permissible that they are made into granules or fine particles, and filled in the same **capsule** to be used as a preparation for **oral** administration.

Sublingual, buccal or intraoral quick disintegrating agents may be a solid preparation such as **tablet** and the like, or may be an **oral** mucosa membrane patch (film).

The . . . disintegrating agent is obtained by mixing the above-mentioned components simultaneously or at a time interval, then subjecting the mixture to **tablet**-making molding under pressure. For obtaining suitable hardness, it may also be permissible that the materials are moistened by using a solvent such as water, alcohol and the like if desired before and after the **tablet** making process, and after the molding, the materials are dried, to obtain a product.

The . . . to 60 seconds, preferably of 1 to 30 seconds, further preferably of 1 to 10 seconds after place in an **oral** cavity.

The . . . effective ingredient, and the like, and not particularly restricted, and the amount of a drug is, in the case of **oral** administration for example, usually from about 0.001 to 2000 mg, preferably from about 0.01 to 500 mg, further preferably from. . .

In a preferable administration method, for example, the concomitant drug which has been formed into an **oral** administration preparation is administered orally at a daily dose of about 0.001 to 200 mg/kg, and 15 minutes after, the compound of the present invention which has been formed into an **oral** administration preparation is administered orally at a daily dose of about 0.005 to 100 mg/kg.

A . . . through the sieve again. The granules thus obtained are mixed with magnesium stearate (2.0 mg) and compressed. The obtained core **tablet** is coated with sugar coating made of an aqueous suspension of sucrose, titanium dioxide, talc and gum arabic. The coated **tablet** is polished with bee wax to give a coated **tablet**

.

Reference . . . as soluble starch), dried and mixed with lactose (70.0 mg) and cornstarch (50.0 mg). The mixture is compressed to give **tablets.**
A . . . through the sieve again. The granules thus obtained are mixed with magnesium stearate (2.0 mg) and compressed. The obtained core **tablet** is coated with sugar coating made of an aqueous suspension of sucrose, titanium dioxide, talc and gum arabic. The coated **tablet** is polished with bee wax to give a coated **tablet**
.
Reference . . . as soluble starch), dried and mixed with lactose (70.0 mg) and cornstarch (50.0 mg). The mixture is compressed to give **tablets.**
According to conventional methods, the above (1) to (6) were mixed, compressed with a compressing machine to obtain **tablets.**
10.0 . . . through a sieve. The granules thus obtained were mixed with 2.0 mg of magnesium stearate and compressed. The resulting core **tablet** is coated with a sugar coating of a suspension of sucrose, titanium dioxide, talc and arabic gum in water. The **tablet** coated with a coating is polished with beeswax to obtain a coated **tablet.**
After . . . dried and mixed with 70.0 mg of lactose and 50.0 mg of corn starch. The mixture is compressed to obtain **tablets.**
10.0 . . . 40°C and sieved again. Thus obtained granules are mixed with 2.0 mg of magnesium stearate and compressed. The resulted core **tablets** are coated with sugar coating made from a water suspension of sucrose, titanium dioxide, talc and Arabic gum. The coated tables are endowed with gloss by bees wax to give coated **tablets**
.
10.0 . . . dried, and mixed with 70.0 mg of lactose and 50.0 mg of corn starch. The mixture is compressed to obtain **tablets.**
The above-described components (1) to (6) are mixed according to a normal method, and tabletted by a tabletting machine to obtain **tablets.**
The . . . (1)-(6) were mixed according to a conventional method and the mixture was punched out by a tableting machine to give **tablets.**
A . . . passed through the sieve again. The thus-obtained granules were mixed with magnesium stearate (2.0 mg) and compressed. The obtained core **tablets** were coated with a sugar coating of an aqueous suspension of sucrose, titanium dioxide, talc and gum arabic. The coated **tablet** were polished with bee's wax to give coated **tablets.**
The . . . granules were dried and mixed with lactose (70.0 mg) and corn starch (50.0 mg). The mixture was compressed to give **tablets.**
The . . . (1)-(6) were mixed according to a conventional method and the mixture was punched out by a tableting machine to give **tablets.**

L7 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2003:609873 CAPLUS
DOCUMENT NUMBER: 139:154910
TITLE: Manufacture of oral dosage forms delivering both **immediate-release** and **sustained-release** drugs
INVENTOR(S): Lim, Jong C.; Shell, John N.
PATENT ASSIGNEE(S): Depomed, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003147952	A1	20030807	US 2002-66146	20020201
US 6682759	B2	20040127		
WO 2003066028	A1	20030814	WO 2003-US2809	20030128

checked nr 3/09.

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-66146 A 20020201

TI Manufacture of oral dosage forms delivering both **immediate-release** and **sustained-release** drugs

AB A method is disclosed for manufacturing a pharmaceutical tablet for oral administration, the tablet combining both **immediate-release** and **prolonged-release** modes of drug delivery and using an **immediate-release** drug that is either insol. in water or only sparingly soluble and is present in a very small amount compared to the **prolonged-release** drug. The method involves the use of particles of the **immediate-release** drug that are equal to or less than 10 μ in diameter, applied as a layer or coating over a core of the **prolonged-release** drug, the layer or coating being either the drug particles themselves, applied as an aqueous suspension, or a solid mixture containing the drug in admixt. with a material that disintegrates rapidly in gastric fluid. The result in both cases is a high degree of uniformity in the proportions of the **immediate-release** and **prolonged-release** drugs, uniformity that is otherwise difficult to achieve in view of the insoly. of the **immediate-release** drug and its relatively small amount compared to the prolonged-released drug. Tablets containing metformin-HCl and glimepiride were prepared containing HPMC and PEG, using Polysorbate 80 solns.

ST tablet **immediate sustained release**

IT Polyoxyalkylenes, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage forms delivering both **immediate-release** and **sustained-release** drugs)

IT Drug delivery systems
(tablets, **immediate release**; oral dosage forms delivering both **immediate-release** and **sustained-release** drugs)

IT Drug delivery systems
(tablets, **sustained-release**; oral dosage forms delivering both **immediate-release** and **sustained-release** drugs)

IT 63-42-3, Lactose 9004-34-6, Cellulose, biological studies 9004-65-3, Hpmc 25322-68-3, Peg
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage forms delivering both **immediate-release** and **sustained-release** drugs)

IT 1115-70-4, Metformin hydrochloride 1404-93-9, Vancomycin hydrochloride 3094-09-5, Doxifluridine 3847-29-8, Erythromycin lactobionate

7439-89-6D, Iron, salts 18323-44-9, Clindamycin 20830-75-5, Digoxin
26787-78-0, Amoxicillin 27203-92-5, Tramadol 33069-62-4, Paclitaxel
34911-55-2, Bupropion 53885-35-1, Ticlopidine hydrochloride
53994-73-3, Cefaclor 56296-78-7, Fluoxetine hydrochloride 62571-86-2,
Captopril 64544-07-6, **Cefuroxime axetil**
65277-42-1, Ketoconazole 66357-59-3, Ranitidine hydrochloride
72558-82-8, Ceftazidine 76547-98-3, Lisinopril 79217-60-0, Cyclosporin
79559-97-0, Sertraline hydrochloride 81103-11-9, Clarithromycin
82410-32-0, Gancyclovir 83905-01-5, Azithromycin 85721-33-1,
Ciprofloxacin 97240-79-4, Topiramate 127779-20-8, Saquinavir
155213-67-5, Ritonavir 159989-64-7, Nelfinavir
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**oral** dosage forms delivering both **immediate-release** and **sustained-release** drugs)

L7 ANSWER 4 OF 24 USPATFULL on STN

ACCESSION NUMBER:	2003:300825 USPATFULL			
TITLE:	Bile acid containing prodrugs with enhanced bioavailabilty			
INVENTOR(S):	Polli, James E, Elliot City, MD, UNITED STATES Coop, Andrew, Columbia, MD, UNITED STATES Maeda, Dean Y, Bothel, WA, UNITED STATES Lentz, Kimberly A, Durham, CT, UNITED STATES			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003212051	A1	20031113	
APPLICATION INFO.:	US 2003-240859	A1	20030321	(10)
	WO 2001-US11327		20010406	
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	APPLICATION			
LEGAL REPRESENTATIVE:	SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W., WASHINGTON, DC, 20037			
NUMBER OF CLAIMS:	59			
EXEMPLARY CLAIM:	1			
NUMBER OF DRAWINGS:	8 Drawing Page(s)			
LINE COUNT:	1259			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . propyl-hydroxybenzoates, sweetening agents; and flavoring agents. The compositions of the present invention can also be formulated so as to provide **quick, sustained** or **delayed release** of the prodrug after administration to the patient by employing procedures known in the art.

DETD . . . (LHRH analogues); dDAVP (1-deamino-8-D-arginine-vasopressin; desmopressin), calcitonin, thyrotropin releasing hormone (polypeptide hormones); loratidine, cetirizine (non-sedating antihistamines); penicillin V, amoxicillin, cefacor, cefixime, **cefuroxime axetil**, cefuroxime sodium, ampicillin (antibiotics); terbutaline hemisulfate (adrenergic agonist agents); metformin (anti-diabetics); celecoxib, refecoxib (COX-2 inhibitors); sumatriptan, naratriptan, araztriptan, zolmitriptan (anti-migraines); 6-mercaptopurine; ziprasidone; RGD mimetic (alpha IIb beta 3-antagonists); leuenkephalin analogues; alpha-methyldopa; 5-fluorouracil (fluoropoyrimidines); tacrine (acetylcholinesterase inhibitors); DZ-2640 (the ester-type **oral** carbapenem prodrug of an active parent compound, DU-6681, and other carbapenems); vitamin B 12 (nutrients and minerals); 7-chlorokynurenic acid; oseltamivir or its active moiety; RGD (Arg-Gly-Asp) analogs (glycoprotein (GP) IIb/IIIa agonists and antagonists; platelet aggregation inhibitors); sibrafiban (**oral** platelet aggregation inhibitors); nelarabine, 9-beta-D-arabinofuranosyl guanine (ara-G), and ara-G; mycophenolate mofetil (MMF) and its active immunosuppressant mycophenolic acid (MPA); nabumetone. . .

L7 ANSWER 5 OF 24 USPATFULL on STN
ACCESSION NUMBER: 2003:152396 USPATFULL
TITLE: Shell-and-core dosage form approaching zero-order drug release
INVENTOR(S): Berner, Bret, El Granada, CA, UNITED STATES
Louie-Helm, Jenny, Union City, CA, UNITED STATES
Gusler, Gloria, Cupertino, CA, UNITED STATES
Shell, John N., Rocklin, CA, UNITED STATES
PATENT ASSIGNEE(S): DEPOMED, INC., FOSTER CITY, CA (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003104062	A1	20030605
APPLICATION INFO.:	US 2002-213823	A1	20020807 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-498945, filed on 4 Feb 2000, ABANDONED
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 1290
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . invention is in the general field of pharmaceuticals, and relates in particular to formulations for drugs that benefit from a **prolonged** time of controlled **release** in the stomach and upper gastrointestinal (GI) tract, and from an enhanced opportunity for absorption in the stomach and upper. . .

SUMM [0010] U.S. Pat. No. 4,629,620, issued Dec. 16, 1986 (assignee: AB Ferrosan; inventor: Lindahl), describes membrane-coated **sustained-release** tablets where the membrane is an insoluble polymer containing pore-forming agents. Like the tablets and membrane coatings of the Shah. . .

SUMM [0012] 1) an **immediate release** tablet core containing an insoluble drug; and

SUMM . . . dosage forms that are designed to provide an initial high rate of drug delivery of short duration or an initial **immediate release** of the drug, followed by a slow continuous rate over an extended period of time. When drug is present in. . .

DETD . . . contained in the dosage form for controlled release may be any chemical compound, complex or composition that is suitable for **oral** administration and that has a beneficial biological effect, preferably a therapeutic effect in the treatment of a disease or an. . . invention is applicable are metformin hydrochloride, vancomycin hydrochloride, captopril, lisinopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, baclofen, amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, levodopa, doxifluridine, thiamphenicol, tramadol, fluoxitine hydrochloride, ciprofloxacin, bupropion, and esters of ampicillin. Examples low solubility drugs to which. . .

DETD . . . and its purpose is to provide, upon ingestion of the dosage form and without first diffusing through a polymer matrix, **immediate release** into the patient's bloodstream. An optimal "loading dose" is one that is high enough to quickly raise the blood concentration. . .

DETD . . . dosage form as an ingredient dispersed in the shell, in both the shell and the core, or in an outer **immediate release** coating. Examples of pharmacological fed-mode inducing agents are disclosed in co-pending U.S. patent application Ser. No. 09/432,881, filed Nov. 2,. . .

DETD . . . were determined by release into 900 mL of acetate buffer at pH 4.5, as specified in the USP method for **immediate-release** aspirin, and a USP Type I Dissolution Apparatus was used. The released aspirin was detected by reverse-phase HPLC. The results. . .

DETD . . . a compressed core-and-shell tablet of the present invention in which the drug is present only in the core and an **immediate-release** formulation of the same drug. The drug in each case was metformin hydrochloride, and the two tablets were as follows:

CLM What is claimed is:
31. A controlled-release **oral** drug dosage form in accordance with claim 1 in which said drug is a member selected from the group consisting of amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, clarithromycin, azithromycin, ceftazidine, and ciprofloxacin.

L7 ANSWER 6 OF 24 USPATFULL on STN

ACCESSION NUMBER:	2003:140166 USPATFULL		
TITLE:	Cephalosporin-metronidazole antibiotic composition		
INVENTOR(S):	Rudnic, Edward M., N. Potomac, MD, UNITED STATES Isbister, James D., Potomac, MD, UNITED STATES Treacy, Donald J., JR., Arnold, MD, UNITED STATES Wassink, Sandra E., Frederick, MD, UNITED STATES		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003096006	A1	20030522
	US 6623758	B2	20030923
APPLICATION INFO.:	US 2002-92811	A1	20020307 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-791983, filed on 23 Feb 2001, PENDING		
	NUMBER	DATE	
PRIORITY INFORMATION:	US 2000-184545P	20000224 (60)	
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Elliot M. Olstein, Esq., CARELLA, BYRNE BAIN, GILFILLAN,, CECCHI, STEWART & OLSTEIN, Six Becker Farm Road, Roseland, NJ, 07068		
NUMBER OF CLAIMS:	20		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1686		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . preferred embodiment each of the dosage forms has a different release profile, with one of the dosage forms being an **immediate release** dosage form.

SUMM [0013] In accordance with one preferred embodiment of the invention, one of the at least three dosage forms is an **immediate release** dosage form whereby initiation of release of antibiotic therefrom is not substantially delayed after administration of the antibiotic product. The. . . the type of antibiotic product), whereby antibiotic released therefrom is delayed until after initiation of release of antibiotic from the **immediate release** dosage form. More particularly, antibiotic release from the second of the at least two dosage forms achieves a C.sub.max (maximum. . .

SUMM [0015] In general, the **immediate release** dosage form produces a C.sub.max for antibiotic released therefrom within from about 0.5 to about 2 hours, with the second. . .

SUMM . . . If at least four dosage forms are used, the fourth of the at least four dosage form may be a **sustained release** dosage form or a **delayed release** dosage form. If the

fourth dosage form is a **sustained release** dosage form, even though C.sub.max of the fourth dosage form of the at least four dosage forms is reached after. . .

SUMM [0028] In one embodiment of the invention, the first dosage form provides for **immediate release**, the second and third dosage forms provide for a **delayed release** (pH or non pH dependent, with the second dosage form preferably being a pH dependent release), and the fourth dosage. . .

SUMM [0032] In formulating an antibiotic product in accordance with the invention, in one embodiment, the **immediate release** dosage form of the product generally provides from about 20% to about 50% of the total dosage of antibiotic to be delivered by the product, with such **immediate release** dosage form generally providing at least 25% of the total dosage of the antibiotic to be delivered by the product. In many cases, the **immediate release** dosage form provides from about 20% to about 30% of the total dosage of antibiotic to be delivered by the product; however, in some cases it may be desirable to have the **immediate release** dosage form provide for about 45% to about 50% of the total dosage of antibiotic to be delivered by the. . .

SUMM [0033] The remaining dosage forms deliver the remainder of the antibiotic. If more than one **delayed release** dosage form is used, in one embodiment, each of the **delayed release** dosage forms may provide about equal amounts of antibiotic; however, they may also be formulated so as to provide different. . .

SUMM [0034] In one embodiment, where the composition contains one **immediate release** component and two **delayed release** components, the **immediate release** component provides from 20% to 35% (preferably 20% to 30%), by weight, of the total antibiotic; where there is three **delayed release** components, the **immediate release** component provides from 15% to 30%, by weight, of the total antibiotic; and where there are four **delayed release** components, the **immediate release** component provides from 10% to 25%, by weight, of the total antibiotic.

SUMM [0035] With respect to the **delayed release** components, where there are two **delayed release** components, the first **delayed release** component (the one released earlier in time) provides from 30% to 60%, by weight, of the total antibiotic provided by the two **delayed release** components with the second **delayed release** component providing the remainder of the antibiotic.

SUMM [0036] Where there are three **delayed release** components, the earliest released component provides 20% to 35% by weight of the total antibiotic provided by the three **delayed release** components, the next in time **delayed release** component provides from 20% to 40%, by weight, of the antibiotic provided by the three **delayed release** components and the last in time providing the remainder of the antibiotic provided by the three **delayed release** components.

SUMM [0037] When there are four **delayed release** components, the earliest **delayed release** component provides from 15% to 30%, by weight, the next in time **delayed release** component provides from 15% to 30%, the next in time **delayed release** component provides from 20% to 35%, by weight, and the last in time **delayed release** component provides from 20% to 35%, by weight, in each case of the total antibiotic provided by the four **delayed release** components.

SUMM . . . topical administration by including such dosage forms in an oil-in-water emulsion, or a water-in-oil emulsion. In such a

formulation, the **immediate release** dosage form is in the continuous phase, and the **delayed release** dosage form is in a discontinuous phase. The formulation may also be produced in a manner for delivery of three. . . hereinabove described. For example, there may be provided an oil-in-water-in-oil emulsion, with oil being a continuous phase that contains the **immediate release** component, water dispersed in the oil containing a first **delayed release** dosage form, and oil dispersed in the water containing a third **delayed release** dosage form.

SUMM . . . Thus, for example, antibiotic products may include a first dosage form in the form of a tablet that is an **immediate release** tablet, and may also include two or more additional tablets, each of which provides for a **delayed release** of the antibiotic, as hereinabove described, whereby the C.sub.max of the antibiotic released from each of the tablets is reached. . .

SUMM . . . to be within the skill of the art from the teachings herein. As known in the art, with respect to **delayed release,** the time of release can be controlled by the concentration of antibiotics in the coating and/or the thickness of the. . .

SUMM [0050] The **Immediate Release** Component

SUMM [0051] The **immediate release** portion of this system can be a mixture of ingredients that breaks down quickly after administration to release the antibiotic.. . .

SUMM [0052] The materials to be added to the antibiotics for the **immediate release** component can be, but are not limited to, microcrystalline cellulose, corn starch, pregelatinized starch, potato starch, rice starch, sodium carboxymethyl. . .

SUMM [0056] The **Delayed Release** Component

SUMM [0057] The components in this composition are the same **immediate release** unit, but with additional polymers integrated into the composition, or as coatings over the pellet or granule.

SUMM [0061] The components in this composition are the same as the **immediate release** component, but with additional polymers integrated into the composition, or as coatings over the pellet or granule.

DETD [0065]

	Ingredient	Conc. (% W/W)
Immediate Release Component		
Example 1:	Amoxicillin	65% (W/W)
	Microcrystalline cellulose	20
	Povidone	10
	Croscarmellose sodium	5
Example 2:	Amoxicillin	55% (W/W)
	Microcrystalline cellulose	25
. . . (W/W)		
	Polyethylene glycol 4000	10
	Polyethylene glycol 2000	10
	Hydroxypropylcellulose	5
Example 15:	Ceftibuten	75% (W/W)
	Polyethylene Glycol 4000	20
	Polyvinylpyrrolidone	5
Delayed Release Component (non-pH dependant)		
Example 16:	Amoxicillin	65% (W/W)
	Microcrystalline cellulose	20
	Polyox	10
	Croscarmellose sodium	5
Example 17:	Amoxicillin	55% (W/W)
	Microcrystalline. . .	

DETD [0067] 1. Antibiotic Matrix Pellet Formulation and Preparation Procedure (**Immediate Release**)

DETD [0104] Pellets are filled into size 00 hard gelatin capsules at a ratio of 30%: 30%: 40%: **Immediate-release** matrix pellets uncoated, L30 D-55 coated pellets and S100 coated pellets respectively.

DETD [0106] The **immediate release** matrix pellets include the first antibiotic, the L30 D-55 coated pellets are made by coating matrix pellets that contain the. . .

DETD [0144] 58.8 Preparation of AntibioticGranulation (**Immediate Release** Component) for tabletting

TABLE 7

Composition of AntibioticGranulation

Component	Percentage (%)
AntibioticTrihydrate powder	92
Avicel PH 101	7.0
Hydroxypropyl methylcellulose, NF*. . .	

DETD [0193] Pellets are filled into size 00 hard gelatin capsules at a ratio of 20%: 30%: 20%: 30% **Immediate-release** matrix pellets (uncoated), L30 D-55 coated pellets 12% weight gain, L30D-55 coated pellets 30% weight gain and S100 coated pellets. . .

DETD [0194] The **immediate release** pellets contain the first antibiotic; the L30 D-55 12% weight gain coated pellets containe the second antibiotic; the L30 D-55. . .

DETD [0205] Metronidazole **Delayed** Enteric-**Release** Pellets Formulation and Preparation Procedure

DETD [0265] Metronidazole and **Cefuroxime axetil Tablets**

DETD [0266] Preparation of Metronidazole Granulation for tableting

TABLE 18

Composition of Metronidazole Granulation (**Immediate Release**)

Component	Percentage (%)
Metronidazole	42.5
Lactose monohydrate, spray dried	36.5
Avicel PH 101	20.0
Hydroxypropyl methylcellulose, NF*	1.0
Total	100

*Hydroxypropyl. . .

DETD [0271] Tableting of the Metronidazole and **Cefuroxime axetil**

TABLE 19

Composition of Metronidazole and **Cefuroxime axetil Tablets**

Component	Percentage (%)
Metronidazole granules	45.0
Avicel PH 200	7.6
Eudragit L30D-55/NE 30D coated **Cefuroxime axetil** Pellets	8.2
AQOAT/Eudragit FS 30D coated Metronidazole Pellets	27.8
Eudragit FS 30D coated **Cefuroxime axetil** Pellets	8.9
Colloidal silicon dioxide	1.5
Magnesium stearate	1.0

Total 100
DETD [0302] Metronidazole **Delayed** Enteric-**Release** Pellet Formulation and Preparation Procedure
DETD [0362] Cefuroxime axetil **Delayed** Enteric-**Release** Pellet Formulation and Preparation Procedure
DETD [0397] Metronidazole and **Cefuroxime axetil Tablets**
DETD [0398] Preparation of Metronidazole and Cefuroxime axetil Granulation for tableting
TABLE 30

Composition of Metronidazole and Cefuroxime axetil Granulation (**Immediate Release**)

Component	Percentage (%)
Metronidazole Trihydrate powder	13.3
Cefuroxime axetil	9.0
Lactose monohydrate, spray dried	56.7
Avicel PH 101	20.0
Hydroxypropyl. . .	

DETD [0403] Tableting of the Metronidazole and **Cefuroxime axetil**
TABLE 31

Composition of Metronidazole and **Cefuroxime axetil Tablets**

Component	Percentage (%)
Metronidazole/**Cefuroxime axetil** granules 49.0	
Avicel PH 200	3.5
Eudragit L30D-55/NE 30D coated Metronidazole Pellets	8.4
Eudragit L30D-55/NE 30D coated **Cefuroxime axetil** Pellets	5.6
AQOAT/ Eudragit FS 30D coated Metronidazole Pellets	9.5
Eudragit FS 30D / L30D coated **Cefuroxime axetil** Pellets	6.3
Eudragit FS 30D coated Metronidazole Pellets	9.1
Eudragit FS 30D coated **Cefuroxime axetil** Pellets	6.1
Colloidal silicon dioxide	1.5
Magnesium stearate	1.0
Total	100

CLM What is claimed is:
3. The product of claim 1 wherein the first dosage form is an **immediate release** dosage form.

4. The product of claim 3 wherein the second and third dosage forms are **delayed release** dosage forms.

L7 ANSWER 7 OF 24 USPATFULL on STN
ACCESSION NUMBER: 2003:57124 USPATFULL
TITLE: Extending the duration of drug release within the stomach during the fed mode
INVENTOR(S): Shell, John W., Hillsborough, CA, UNITED STATES
Louie-Helm, Jenny, Union City, CA, UNITED STATES
Markey, Micheline, Santa Cruz, CA, UNITED STATES
PATENT ASSIGNEE(S): DepoMed, Inc., Menlo Park, CA, 94025 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003039688	A1	20030227
	US 6635280	B2	20031021
APPLICATION INFO.:	US 2001-45823	A1	20011106 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-282233, filed on 29 Mar 1999, GRANTED, Pat. No. US 6340475
Continuation-in-part of Ser. No. US 1997-870509, filed on 6 Jun 1997, ABANDONED
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834
NUMBER OF CLAIMS: 42
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 9 Drawing Page(s)
LINE COUNT: 1439
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . invention is in the general field of pharmacology, and relates in particular to formulations for drugs that benefit from a **prolonged** time of controlled **release** in the stomach and upper gastrointestinal (GI) tract, and from an enhanced opportunity of absorption in the stomach and upper. . .

DETD [0038] The term "drug" is used herein to denote any chemical compound, complex or composition that is suitable for **oral** administration and that has a beneficial biological effect, preferably a therapeutic effect in the treatment of a disease or abnormal. . . which this invention is applicable are metformin hydrochloride, vancomycin hydrochloride, captopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, doxifluridine, tramadol, fluoxitine hydrochloride, ciprofloxacin, gancyclovir, bupropion, lisinopril, and esters of ampicillin. Examples of drugs of low solubility. . .

DETD . . . any polymer that is non-toxic, that swells in a dimensionally unrestricted manner upon imbibition of water, and that provides for **sustained release** of an incorporated drug. Examples of polymers suitable for use in this invention are cellulose polymers and their derivatives (such. . .

DETD . . . outside of the particle or tablet. This coating is referred to as a "loading dose" and it is included for **immediate release** into the recipient's bloodstream upon ingestion of the formulation without first undergoing the diffusion process that the remainder of the. . .

DETD [0098] This example illustrates the **sustained release** of vancomycin hydrochloride from various polymers. The procedures used were the same as those described above, and the formulations together. . .

L7 ANSWER 8 OF 24 USPATFULL on STN
ACCESSION NUMBER: 2003:136815 USPATFULL
TITLE: Taste masked compositions
INVENTOR(S): Mukherji, Gour, Gurgaon, INDIA
Goel, Sandhya, New Delhi, INDIA
Arora, Vinod Kumar, New Delhi, INDIA
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, New Delhi, INDIA (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6565877	B1	20030520
APPLICATION INFO.:	US 2000-587535		20000605 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	IN 1999-86799	19990611

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Deshmukh, Esq., Jayadeep R.
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 307
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM For ease and safety of administration, most drugs are formulated as **tablets** or **capsules** for **oral** administration. However, patients at the extremes of age, such as children and the elderly, often experience difficulty in swallowing solid **oral** dosage forms. For these patients, drugs are commonly provided in liquid dosage forms such as solutions, emulsions and suspensions. These. . . and continue to be exploited for the effective taste masking of such drugs. Extremely bitter drugs, like, quinine, ciprofloxacin, clarithromycin, **cefuroxime axetil**, can now be formulated as a fairly acceptable range of products even for pediatric use, which through conventional techniques would. . .

SUMM Use of cation--exchange resins (such as polysulfonic acid and polycarboxylic acid polymers) to adsorb amine drugs for taste masking and **sustained release** has been reported to have limited applicability and is not capable of masking the taste of highly bitter drugs. Coating. . .

SUMM . . . also retards the rate of drug release from the matrix to an extent which would be unacceptable for a conventional **immediate release** formulation. Following the teachings of this patent, only 42% of cefuroxime axetil was released from the matrix in 45 minutes. . .

L7 ANSWER 9 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1364949 EUROPATFULL EW 200348 FS OS
TITLE: JNK INHIBITOR.
JNK INHIBITOR.
INHIBITEUR DE JNK.
INVENTOR(S): OHKAWA, Shigenori, 45-20, Makamicho 6-chome, Takatsuki-shi, Osaka 569-1121, JP;
NARUO, Kenichi, 1-2, Minamigaoka 1-chome, Sanda-shi, Hyogo 669-1535, JP;
MIWATASHI, Seiji, 8-10-201, Sakaemachi, Ikeda-shi, Osaka 563-0056, JP;
KIMURA, Hiroyuki, 2-20-808, Ohhamanakamachi 1-cho, Sakai-shi, Osaka 590-0975, JP;
KAWAMOTO, Tomohiro, 11-1-308 Kitayananagawacho, Takatsuki-shi, Osaka 569-0852, JP
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., 1-1 Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045, JP
PATENT ASSIGNEE NO: 204702
AGENT: Lewin, John Harvey, Takeda Euro IP Department, 11-12 Charles II Street, London SW1Y 4QU, GB
AGENT NUMBER: 33036
OTHER SOURCE: MEPA2003090 EP 1364949 A1 0132
SOURCE: Wila-EPZ-2003-H48-T1a
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Japanisch; Veroeffentlichung in Englisch; Verfahren in Englisch

DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R TR; R AL; R LT; R LV; R MK; R RO; R SI

PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG (Internationale Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 1364949	A1	20031126
'OFFENLEGUNGS' DATE:			20031126
APPLICATION INFO.:	EP 2002-711276		20020201
PRIORITY APPLN. INFO.:	JP 2001-2001027570		20010202
RELATED DOC. INFO.:	WO 02-JP828		020201 INTAKZ
	WO 02062792		020815 INTPNR

DETDEN. . . inhibitory action in mammals (e.g., mouse, rat, hamster, rabbit, cat, dog, bovine, sheep, monkey, human etc.) and is superior in (**oral**) absorbability, (metabolic) stability and the like. Thus, it can be used as a prophylactic or therapeutic agent of JNK related. . .

The . . . in the present invention shows superior p38 MAP kinase inhibitory activity and TNF-α inhibitory activity and is also excellent in (**oral**) absorbability, (metabolic) stability and the like in mammals (e.g., mouse, rat, hamster, rabbit, cat, dog, bovine, sheep, monkey, human and. . .

Accordingly, . . . inhibitory action in mammals (e.g., mouse, rat, hamster, rabbit, cat, dog, bovine, sheep, monkey, human etc.) and is superior in (**oral**) absorbability, (metabolic) stability and the like. Thus, it can be used as a prophylactic or therapeutic agent for, for example,. . .

The . . . compound of the present invention as it is or after admixing with a pharmacologically acceptable carrier to give, for example, **tablet** (including sugar-coated **tablet** and film-coated **tablet**), powder, granule, **capsules** (including soft **capsules**), liquid, injection, suppository, **sustained-release** preparation and the like, according to a methods known per se used for the general production method for pharmaceutical preparations.. . .

A. . . . tetracycline, oxytetracycline, rolitetracycline, doxycycline, ampicillin, piperacillin, ticarcillin, cephalothin, cephapirin, cephaloridine, cefaclor, cephalexin, cefroxadine, cefadroxil, cefamandole, cefotoam, cefuroxime, cefotiam, cefotiam hexetil, **cefuroxime axetil**, cefdinir, cefditoren pivoxil, ceftazidime, cefpiramide, cefsulodin, cefmenoxime, cefpodoxime proxetil, cefpirome, cefozopran, cefepime, cefsulodin, cefmenoxime, cefmetazole, cefminox, cefoxitin, cefbuperazone, latamoxef, flomoxef,. . .

A . . . be mixed, according to a method known per se, with a pharmacologically acceptable carrier to give pharmaceutical compositions, for example, **tablets** (including a sugar-coated **tablet**, film-coated **tablet**), powders, granules, **capsules** (including a soft **capsule**), solutions, injections, suppositories, **sustained release** agents and the like which can be safely administered orally or parenterally (e.g., local, rectum, vein, and the like). An. . .

In the case of a preparation for **oral** administration, an excipient (e.g., lactose, sucrose, starch and the like), a disintegrating agent (e.g., starch, calcium carbonate and the like),. . . method known per se for the purpose of masking of taste, enteric property or durability, to obtain a preparation for **oral** administration. As this coating agent, for example, hydroxypropylmethylcellulose, ethylcellulose, hydroxymethylcellulose, hydroxypropylcellulose, polyoxyethylene glycol, Tween 80, Pluronic F68, cellulose acetate phthalate,. . . by Rohm, DE), pigment (e.g., iron

oxide red, titanium dioxide, et.) and the like can be used. The preparation for **oral** administration may be any of a **quick release** preparation and a **sustained release** preparation.
As the above-mentioned **sustained release** agent, **sustained release** microcapsules and the like are listed.
For obtaining a **sustained release** microcapsule, a method known per se can be adopted, and for example, it is preferably molded into a **sustained release** preparation shown in the following [2] before administration.
A compound of the present invention is preferably molded into an **oral** administration preparation such as a solid preparation (e.g., powder, granule, **tablet, capsule**) and the like, or molded into a rectal administration preparation such as a suppository. Particularly, an **oral** administration preparation is preferable.
The . . . [1] An injection of the compound of the present invention or the concomitant drug, and preparation thereof,
[2] a **sustained release** preparation or **quick release** preparation of the compound of the present invention or the concomitant drug, and preparation thereof, [3] a sublingual, buccal or. . .
[2] **Sustained release** preparation or **quick release** preparation, and preparation thereof
A **sustained release** preparation is preferable which is obtained, if desirable, by coating a nucleus containing the compound of the present invention or. . . the concomitant drug with a film agent such as a water-insoluble substance, swellable polymer and the like. For example, a **sustained release** preparation for **oral** administration for a single administration per day type is preferable.
The film agent used in a **sustained release** preparation may further contain a hydrophilic substance.
The content of a water-insoluble substance in the film agent of a **sustained release** preparation is from about 30 to about 90% (w/w), preferably from about 35 to about 80% (w/w), further preferably from. . .
The **sustained release** preparation is produced by preparing a nucleus containing a drug as exemplified below, then, coating the resulting nucleus with a. . .
A . . . and pH-dependent swellable polymer, and a hydrophilic substance, or by dissolving or dispersing them in a solvent, to give a **sustained release** preparation.
The **quick release** preparation may be liquid (e.g., solution, suspension, emulsion and the like) or solid (e.g., particle, pill, **tablet** and the like). **Oral** agents and parenteral agents such as an injection and the like are used, and **oral** agents are preferable.
The **quick release** preparation, usually, may contain, in addition to an active component drug, also carriers, additives and excipients conventionally used in the. . . particularly restricted providing it is an excipient ordinarily used as a preparation excipient. For example, as the excipient for an **oral** solid preparation, lactose, starch, corn starch, crystalline cellulose (Acevil PH101, manufactured by Asahi Chemical Industry Co., Ltd., and the like),. . . to about 98.5% (w/w), further preferably from about 30 to about 97% (w/w), based on the total amount of the **quick release** preparation.
The content of a drug in the **quick release** preparation can be appropriately selected in the range from about 0.5 to about 95% (w/w), preferably from about 1 to about 60% (w/w) based on the total amount of the **quick release** preparation.

When the **quick release** preparation is an **oral** solid preparation, it usually contains, in addition to the above-mentioned components, also an integrating agent. As this integrating agent, there. . .

When the **quick release** preparation is an **oral** solid preparation, it may further contain, in addition to the above-mentioned composition, if desired, additives conventional in solid preparations. As. . .

The . . . it. The above-mentioned mixing is conducted by generally used methods, for example, mixing, kneading and the like. Specifically, when a **quick release** preparation is formed, for example, into a particle, it can be prepared, according to the same methods as in the above-mentioned method for preparing a nucleus of a **sustained release** preparation, by mixing the components using a vertical granulator, universal kneader (manufactured by Hata Tekkosho), fluidized bed granulator FD-5S (manufactured. . .

Thus . . . administered simultaneously or may be administered in combination at any administration interval, or they may be themselves made into one **oral** preparation (e.g., granule, fine particle, **tablet, capsule** and the like) or made into one **oral** preparation together with preparation excipients and the like. It may also be permissible that they are made into granules or fine particles, and filled in the same **capsule** to be used as a preparation for **oral** administration.

Sublingual, buccal or intraoral quick disintegrating agents may be a solid preparation such as **tablet** and the like, or may be an **oral** mucosa membrane patch (film).

The . . . disintegrating agent is obtained by mixing the above-mentioned components simultaneously or at a time interval, then subjecting the mixture to **tablet**-making molding under pressure. For obtaining suitable hardness, it may also be permissible that the materials are moistened by using a solvent such as water, alcohol and the like if desired before and after the **tablet** making process, and after the molding, the materials are dried, to obtain a product.

The . . . of 1 to 60 seconds, preferably 1 to 30 seconds, further preferably 1 to 10 seconds, after placement in an **oral** cavity.

The . . . effective ingredient, and the like, and not particularly restricted, and the amount of a drug is, in the case of **oral** administration for example, usually from about 0.001 to 2000 mg, preferably from about 0.01 to 500 mg, further preferably from. . .

In a preferable administration method, for example, the concomitant drug which has been formed into an **oral** administration preparation is administered orally at a daily dose of about 0.001 to 200 mg/kg, and about 15 minutes after, the compound of the present invention which has been formed into an **oral** administration preparation is administered orally at a daily dose of about 0.005 to 100 mg/kg.

The . . . (1)-(6) were mixed according to a conventional method and the mixture was punched out by a tableting machine to give **tablets.**

A . . . passed through the sieve again. The thus-obtained granules were mixed with magnesium stearate (2.0 mg) and compressed. The obtained core **tablets** were coated with a sugar coating of an aqueous suspension of sucrose, titanium dioxide, talc and gum arabic. The coated **tablet** were polished with bee's wax to give coated **tablets.**

The . . . granules were dried and mixed with lactose (70.0 mg) and corn starch (50.0 mg). The mixture was compressed to give **tablets.**

The . . . (1)-(6) were mixed according to a conventional method and the mixture was punched out by a tableting machine to give **tablets.**

L7 ANSWER 10 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1354603 EUROPATFULL EW 200343 FS OS
TITLE: CONCOMITANT DRUGS.
BEGLEITMEDIKAMENTE.
CO-PRESCRIPTIONS.
INVENTOR(S): OHKAWA, Shigenori, 45-20, Makamicho 6-chome, Takatsuki-shi, Osaka 569-1121, JP;
NARUO, Kenichi, 1-2, Minamigaoka 1-chome, Sanda-shi, Hyogo 669-1535, JP;
MIWATASHI, Seiji, 8-10-201, Sakaemachi, Ikeda-shi, Osaka 563-0056, JP
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., 1-1 Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045, JP
PATENT ASSIGNEE NO: 204702
AGENT: Rickard, Timothy Mark Adrian et al., Takeda Euro IP Department, 11-12 Charles II Street, London SW1Y 4QU, GB
AGENT NUMBER: 62166
OTHER SOURCE: MEPA2003080 EP 1354603 A1 0158
SOURCE: Wila-EPZ-2003-H43-T1b
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Japanisch; Veroeffentlichung in Englisch; Verfahren in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R TR; R AL; R LT; R LV; R MK; R RO; R SI
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG (Internationale Anmeldung)
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 1354603	A1	20031022
'OFFENLEGUNGS' DATE:		20031022
APPLICATION INFO.: EP 2001-271876		20011225
PRIORITY APPLN. INFO.: JP 2000-2000396220		20001226
JP 2001-2001027572		20010202
RELATED DOC. INFO.: WO 01-JP11353	011225	INTAKZ
WO 02051442	020704	INTPNR

DETDEN. . . present invention show an excellent p38 MAP kinase inhibitory activity and a TNF-α inhibitory activity and are also excellent in (**oral**) absorption, (metabolism) stability and the like to a mammal (e.g., mouse, rat, hamster, rabbit, cat, dog, cow, sheep, monkey, human. . .

The . . . explained later shows an excellent p38 MAP kinase inhibitory activity and a TNF-α inhibitory activity and is also excellent in (**oral**) absorption, (metabolism) stability and the like to a mammal (e.g., mouse, rat, hamster, rabbit, cat, dog, cow, sheep, monkey, human. . .

(1) . . . tetracycline, oxytetracycline, rolitetracycline, doxycycline, ampicillin, piperacillin, ticarcillin, cephalothin, cephapirin, cephaloridine, cefaclor, cephalexin, cefroxadine, cefadroxil, cefamandole, cefotoam, cefuroxime, cefotiam, cefotiam hexetil, **cefuroxime axetil**, cefdinir, cefditoren pivoxil, ceftazidime, cefpiramide, cefsulodin, cefmenoxime, cefpodoxime proxetil, cefpirome, cefozopran, cefepime, cefsulodin, cefmenoxime, cefmetazole, cefminox, cefoxitin, cefbuperazone, latamoxef, flomoxef,. . .

A . . . be mixed, according to a method known per se, with a pharmacologically acceptable carrier to give pharmaceutical compositions, for example, **tablets** (including a sugar-coated **tablet**, film-coated **tablet**), powders, granules,

capsules (including a soft **capsule**), solutions, injections, suppositories, **sustained release** agents and the like which can be safely administered orally or parenterally (e.g., local, rectum, vein, and the like). An. . . For . . . a dissolution aid such as propylene glycol and molded into an oily injection.

In the case of a preparation for **oral** administration, an excipient (e.g., lactose, sucrose, starch and the like), a disintegrating agent (e.g., starch, calcium carbonate and the like),. . . method known per se for the purpose of masking of taste, enteric property or durability, to obtain a preparation for **oral** administration. As this coating agent, for example, hydroxypropylmethylcellulose, ethylcellulose, hydroxymethylcellulose, hydroxypropylcellulose, polyoxyethylene glycol, Tween 80, Pluronic F68, cellulose acetate phthalate,. . . by Rohm, DE), pigment (e.g., iron oxide red, titanium dioxide, et.) and the like can be used. The preparation for **oral** administration may be any of a **quick release** preparation and a **sustained release** preparation.

As the above-mentioned **sustained release** agent, **sustained release** microcapsules and the like are listed.

For obtaining a **sustained release** microcapsule, a method known per se can be adopted, and for example, it is preferably molded into a **sustained release** preparation shown in the following [2] before administration.

A compound of the present invention is preferably molded into an **oral** administration preparation such as a solid preparation (e.g., powder, granule, **tablet**, **capsule**) and the like, or molded into a rectal administration preparation such as a suppository. Particularly, an **oral** administration preparation is preferable.

The . . . drug.

[1] An injection of the compound of the present invention or the concomitant drug, and preparation thereof, [2] a **sustained release** preparation or **quick release** preparation of the compound of the present invention or the concomitant drug, and preparation thereof, [3] a sublingual, buccal or. . .

[2] **Sustained release** preparation or **quick release** preparation, and preparation thereof

A **sustained release** preparation is preferable which is obtained, if desirable, by coating a nucleus containing the compound of the present invention or. . . the concomitant drug with a film agent such as a water-insoluble substance, swellable polymer and the like. For example, a **sustained release** preparation for **oral** administration for a single administration per day type is preferable.

The film agent used in a **sustained release** preparation may further contain a hydrophilic substance.

The content of a water-insoluble substance in the film agent of a **sustained release** preparation is from about 30 to 90% (w/w), preferably from about 35 to 80% (w/w), further preferably from about 40. . .

The **sustained release** preparation is produced by preparing a nucleus containing a drug as exemplified below, then, coating the resulting nucleus with a. . . A . . . and pH-dependent swellable polymer, and a hydrophilic substance, or by dissolving or dispersing them in a solvent, to give a **sustained release** preparation.

The **quick release** preparation may be liquid (solution, suspension, emulsion and the like) or solid (particle, pill, **tablet** and the like). **Oral** agents and parenteral agents such as an injection and the like are used, and **oral**

agents are preferable.
The **quick release** preparation, usually, may contain, in addition to an active component drug, also carriers, additives and excipients conventionally used in the. . . particularly restricted providing it is an excipient ordinarily used as a preparation excipient. For example, as the excipient for an **oral** solid preparation, lactose, starch, corn starch, crystalline cellulose (Acevil PH101, manufactured by Asahi Chemical Industry Co., Ltd., and the like),. . . about 20 to 98.5 w/w%, further preferably from about 30 to 97 w/w%, based on the total amount of the **quick release** preparation.
The content of a drug in the **quick release** preparation can be appropriately selected in the range from about 0.5 to 95%, preferably from about 1 to 60% based on the total amount of the **quick release** preparation.
When the **quick release** preparation is an **oral** solid preparation, it usually contains, in addition to the above-mentioned components, also an integrating agent. As this integrating agent, there. . .
When the **quick release** preparation is an **oral** solid preparation, it may further contain, in addition to the above-mentioned composition, if desired, additives conventional in solid preparations. As. . .
The . . . it. The above-mentioned mixing is conducted by generally used methods, for example, mixing, kneading and the like. Specifically, when a **quick release** preparation is formed, for example, into a particle, it can be prepared, according to the same means as in the above-mentioned method for preparing a nucleus of a **sustained release** preparation, by mixing the components using a vertical granulator, universal kneader (manufactured by Hata Tekkosho), fluidized bed granulator FD-5S (manufactured. . .
Thus . . . administered simultaneously or may be administered in combination at any administration interval, or they may be themselves made into one **oral** preparation (e.g., granule, fine particle, **tablet**, **capsule** and the like) or made into one **oral** preparation together with preparation excipients and the like. It may also be permissible that they are made into granules or fine particles, and filled in the same **capsule** to be used as a preparation for **oral** administration.
Sublingual, buccal or intraoral quick disintegrating agents may be a solid preparation such as **tablet** and the like, or may be an **oral** mucosa membrane patch (film).
The . . . disintegrating agent is obtained by mixing the above-mentioned components simultaneously or at a time interval, then subjecting the mixture to **tablet**-making molding under pressure. For obtaining suitable hardness, it may also be permissible that the materials are moistened by using a solvent such as water, alcohol and the like if desired before and after the **tablet** making process, and after the molding, the materials are dried, to obtain a product.
The . . . to 60 seconds, preferably of 1 to 30 seconds, further preferably of 1 to 10 seconds after place in an **oral** cavity.
The . . . effective ingredient, and the like, and not particularly restricted, and the amount of a drug is, in the case of **oral** administration for example, usually from about 0.001 to 2000 mg, preferably from about 0.01 to 500 mg, further preferably from. . .
In a preferable administration method, for example, the concomitant drug which has been formed into an **oral** administration preparation is administered orally at a daily dose of about 0.001 to 200 mg/kg, and 15 minutes after, the compound of the present invention which has been formed into an **oral** administration preparation is administered orally at a daily dose of about 0.005 to 100 mg/kg.
A . . . through the sieve again. The granules thus obtained are mixed

with magnesium stearate (2.0 mg) and compressed. The obtained core **tablet** is coated with sugar coating made of an aqueous suspension of sucrose, titanium dioxide, talc and gum arabic. The coated **tablet** is polished with bee wax to give a coated **tablet**

.

Reference . . . as soluble starch), dried and mixed with lactose (70.0 mg) and cornstarch (50.0 mg). The mixture is compressed to give **tablets.**

A . . . through the sieve again. The granules thus obtained are mixed with magnesium stearate (2.0 mg) and compressed. The obtained core **tablet** is coated with sugar coating made of an aqueous suspension of sucrose, titanium dioxide, talc and gum arabic. The coated **tablet** is polished with bee wax to give a coated **tablet**

.

Reference . . . as soluble starch), dried and mixed with lactose (70.0 mg) and cornstarch (50.0 mg). The mixture is compressed to give **tablets.**

According to conventional methods, the above (1) to (6) were mixed, compressed with a compressing machine to obtain **tablets.**

10.0 . . . through a sieve. The granules thus obtained were mixed with 2.0 mg of magnesium stearate and compressed. The resulting core **tablet** is coated with a sugar coating of a suspension of sucrose, titanium dioxide, talc and arabic gum in water. The **tablet** coated with a coating is polished with beeswax to obtain a coated **tablet.**

After . . . dried and mixed with 70.0 mg of lactose and 50.0 mg of corn starch. The mixture is compressed to obtain **tablets.**

The above-mentioned (1)-(6) were mixed according to a conventional method and tableted with a tableting machine to give **tablets.**

L7 ANSWER 11 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER:	1342548 EUROPATFULL EW 200337 FS OS
TITLE:	Embedding and encapsulation of controlled release particles and encapsulated product. Einbettung und Einkapselung von Teilchen zur kontrollierten Abgabe und eingekapseltes Produkt. Inclusion et encapsulation de particules a liberation controlee et produit encapsule.
INVENTOR(S):	Van Lengerich, Bernhard H., 18005 33 Rd Place North, Plymouth, Minnesota 55447, US
PATENT ASSIGNEE(S):	GENERAL MILLS, INC., Number One General Mills Boulevard, Minneapolis Minnesota 55426, US
PATENT ASSIGNEE NO:	981040
AGENT:	Wilson Gunn M'Caw, 41-51 Royal Exchange, Cross Street, Manchester M2 7BD, GB
AGENT NUMBER:	101771
OTHER SOURCE:	MEPA2003068 EP 1342548 A1 0031
SOURCE:	Wila-EPZ-2003-H37-T3a
DOCUMENT TYPE:	Patent
LANGUAGE:	Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES:	R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE:	EPA1 EUROPAEISCHE PATENTANMELDUNG

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 1342548	A1	20030910
'OFFENLEGUNGS' DATE:		20030910
APPLICATION INFO.: EP 2003-10031		19971027
PRIORITY APPLN. INFO.: US 1996-29038		19961028

US 1997-52717 19970716
RELATED DOC. INFO.: EP 935523 DIV
DETDEN However, in producing a product having controlled **release** or **delayed release**, excessive expansion or puffing may result in too rapid release properties or may undersirably expose an encapsulant to destructive reactions.. . .
The . . . is then reduced prior to adding the encapsulant to facilitate subsequent forming and to reduce post extrusion drying. The controlled **release** or **delayed release** composition may be produced without substantial expansion of the matrix material to thereby avoid production of a low density product. . .
The . . . thereby providing a high density product which is less susceptible to attack by aqueous or oxygen-containing mediums thereby providing a **prolonged release** time. The process of the present invention may be used to encapsulate heat sensitive components or readily oxidizable components, for. . .
The products of the present invention may be in the form of discrete particles, pellets, or **tablets**. They may be spherical in shape, curvilinear or lens-shaped, flat discs, oval shaped, or the like. The diameter of the. . .
Additional components which may be used to delay or prevent a **fast release** of the encapsulant from the matrix are components or agents which have a high water binding capacity. The agents may. . .
Exemplary . . . maleate, carboprost tromethamine, carboxymethyl cellulose, carisoprodol, casanthranol, cascara, castor oil, cefaclor, cefadroxil, cefamandole nafate, cefazolin, cefixime, cefoperazone, cefotaxime, cefprozil, ceftazidime, **cefuroxime axetil** , cephalexin, cephradine, chlorambucil, chloramphenicol, chlordiazepoxide, chloroquine phosphate, chlormadinone acetate, chlorothiazide, chlorpheniramine maleate, chloroxylenol, chlorpromazin, chlorpropamide, chiorprothixene, chlorprothixene, chlortetracycline bisulfate, chlortetracycline. . .
The . . . the extrudate rope may be cut away from the die using conventional cutting or forming means for producing pellets or **tablets**. The cut pieces, pellets, or **tablets**, may have a length:diameter ratio (1/d ratio) of about 0.5 to 10, preferably about 1.
In embodiments of the present invention, the extruded pieces or pellets may be compressed in conventional **tablet** presses to obtain compressed versions of the extruded pellets.
In . . . a sheeting die into a sheet. The extruded sheet may then be cut or molded into individual pieces, such as **tablets**, or disks, using a rotary die or rotary cutter, or reciprocating cutter or counterrotating drums conventionally known as agglomeration drums. . .
The . . . film-building substances or coatings. In embodiments of the invention, the particles of the inventon may be in the form of **tablets** with diameters of up to about 10 mm. The length-to-diameter ratio (1/d) of the particles may be from about 0.1. . .
FIG. . . . the hydrophobicity of at least one additional matrix component next to the starch. Also, as shown in FIG. 5, a **fast** and early **release** of encapsulant from the matrix may be achieved with'a relatively thin primary coating and a relatively thin secondary coating. A. . . but early release of encapsulant may be obtained with a relatively thin primary coating and relatively thick secondary coating. A **fast** but late **release** of encapsulant may be achieved with a relatively thick primary coating and a relatively thin secondary coating. A slow and. . .

L7 ANSWER 12 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1302470 EUROPATFULL EW 200316 FS OS
TITLE: LIPID-RICH PLAQUE INHIBITORS.
LIPIDREICHE PLAQUE-INHIBITOREN.
INHIBITEURS DE PLAQUES RICHES EN LIPIDE.
INVENTOR(S): TERASHITA, Zen-ichi, 16-1-604, Kamishinden 4-chome, Toyonaka-shi, Osaka 565-0085, JP;
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AGENT NUMBER: 62165
OTHER SOURCE: MEPA2003030 EP 1302470 A1 0138
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LANGUAGE: Anmeldung in Japanisch; Veroeffentlichung in Englisch; Verfahren in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R TR; R AL; R LT; R LV; R MK; R RO; R SI
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG (Internationale Anmeldung)
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 1302470	A1	20030416
'OFFENLEGUNGS' DATE:		20030416
APPLICATION INFO.: EP 2001-947999		20010713
PRIORITY APPLN. INFO.: JP 2000-2000212611		20000713
JP 2000-2000395079		20001226
RELATED DOC. INFO.: WO 01-JP6070		010713 INTAKZ
WO 02006264		020124 INTPNR

DETDEN. . . failure treating agent, and in such a case it is preferable that each of these compounds is administered in an **oral** formulation, or in a suppository as a rectal formulation if desired. In such a case, a possible compound to be. . .
Also . . . azosemide (diart)], hypotensive agent (e.g., ACE inhibitor, (enalapril maleate (renivase)) and Ca antagonist (manidipine), α-receptor blocker, All antagonist (candesartan)]; an **oral** administraiton is preferred.
In . . . purpose, it is employed alone or in combinaiton with a known therapeutic agent listed below and administred preferably via an **oral** route.
Thrombus . . . (panaldine), cilostazol (pletal), GPIIb/IIIa antagonist (ReoPro)];
Coronary vasodilating agent: nifedipine, diltiazem, nicorandil, nitrous acid agent;
Myocardial protecting agent: Cardiac ATP-K **oral** formulation, endoserine antagonist, urotensin antagonist and the like.
An inventive compound can be given orally or parenterally, by injection, infusion,. . . administration, or topical administration, and can be used as it is or in a pharmaceutical formulation (for example, powder, granule, **tablet**, pill, **capsule**, injection, syrup, emulsion, elixir, suspension, solution and the like). Thus, at least one inventive compound can be employed alone or. . .
A . . . acid and a polymer of glycolic acid, polyglycerol fatty acid

ester and the like) may be combined to form a **sustained release** formulation.
A solid dosage form for **oral** administration may, for example, be a powder, granule, **tablet**, pill, **capsule** and the like, as described above. The formulation of such a dosage form can be produced by mixing and/or kneading. . . disintegrants (e.g., croscarmellose sodium), binder (e.g., hydroxypropyl cellulose), thickening agents, buffering agents, sweeteners, flavoring agents, perfumes and the like. A **tablet** and pill may further be enteric-coated. An **oral** liquid formulation may, for example, be a pharmaceutically acceptable emulsion, syrup, elixir, suspension, solution and the like, which may contain a pharmaceutically customary inert diluent such as water and if desired, additives. Such an **oral** liquid formulation can be produced by mixing an active ingredient, inert diluent and other additives if necessary in accordance with a customary method. An **oral** formulation usually contain about 0.01 to 99% by weight, preferably about 0.1 to 90% by weight, usually about 0.5 to. . .
A . . . may be about 1 to 500 mg, preferably about 10 to 200 mg as an active ingredient [I] in an **oral** formulation, and about 0.1 to 100 mg, preferably about 1 to 50 mg, usually about 1 to 20 mg as. . .
Tetracyclin . . . tetracyclin, oxytetracyclin, rolitetracyclin, doxycyclin, ampicillin, piperacillin, ticarcillin, cefalotin, cefapirin, cefaloridine, cefaclor, cefalexin, cefroxadine, cefadroxil, cefamandole, cefotoam, cefroxime, cefotiam, cefotiam hexetil, **cefuroxime axetil**, cefdinir, cefditoren pivoxil, ceftazidime, cefpiramide, cefsulodin, cefmenoxime, cefpodoxime proxetil, cefpirome, cefozopran, cefepime, cefsulodin, cefmenoxime, cefmetazole, cefminox, cefoxitin, cefbuperazone, latamoxef, flomoxef,. . .
A . . . a pharmacologically acceptable carrier in accordance with a method known per se to form a pharmaceutical composition, for example, a **tablet** (including sugar-coated and film-coated **tablets**), powder, granule, **capsule** (including soft **capsule**), solution, injection formulation, suppository, **sustained release** formulation and the like, which can safely be given orally or parenterally (e.g., topically, rectally, intravenously). An injection formulation may. . .
In order to obtain an **oral** dosage form, a method known per se is employed to compact an inventive compound or a concomitant drug for example. . . desired shape, which is then, if necessary, coated for the purpose of a taste masking, an enteric property or a **sustained release** performance by means of a coating method known per se, whereby obtaining an **oral** dosage form.
Such a coating may, for example, be hydroxypropylmethyl cellulose, ethyl cellulose, hydroxymethyl cellulose, hydroxypropyl cellulose, polyoxyehtylene glycol, Tween. . . hydroxymethyl cellulose acetate succinate, Eudragit (Rohm, German, methacrylic/acrylic acid copolymer) and a colorant (e.g., iron oxide red, titanium dioxide). An **oral** dosage form may be an instantaneous **release** formulation or a **sustained release** formulation.
A **sustained release** formulation described above may, for example, be a **sustained-release** microcapsule.
While a **sustained-release** microcapsule can be obtained by a method known per se, a **sustained release** formulation shown in Section [2] described below is formed and administered in a preferred case.
An compound of the present invention is preferably formulated as an **oral** dosage form such as a solid formulation (e.g., powder, granule, **tablet, capsule**), or as a rectal formulation such as a suppository. An **oral** dosage form is particularly preferred.
The . . . of a compound of the present invention or a concomitant drug and a method for producing the same, [2] a **sustained-**

release or **immediate release** formulation of a drug of a compound of the present invention or a concomitant drug and a method for producing the same, [3] a sublingual, buccal or **oral** instantaneous disintegration formulations employing of a compound of the present invention or a concomitant drug and a method for producing. . .

[2] **Sustained-release** or **immediate release** formulation and method for producing the same

A **sustained release** formulation obtained by coating a core containing a compound of the present inventiond or a concomitant drug with a coating agent such as a water-insoluble material or a swelling polymer as desired is employed preferably. For example, a **sustained-release oral** formulation of a single daily dose is preferred.

A coating agent employed in a **sustained release** formulation may further contain a hydrophilic material.

The water-insoluble material content in a coating agent of a **sustained release** formulation is about 30 to about 90 % (w/w), preferably about 35 to about 80 % (w/w), more preferably about. . .

A **sustained release** formulation is produced, as exemplified below, by preparing a core containing a drug followed by coating a resultant core with. . .

A . . . and a hydrophilic material being melted therein by heating or being dissolved or dispersed in a solvent to obtain a **sustained release** formulation.

An instantaneous release formulation may be a liquid (solution, suspension, emulsion) or a solid (particle, pill, **tablet**).

While an **oral** formulation and a parenteral formulation such as an injection formulation may be employed, an **oral** formulation is preferred.

An . . . particularly as long as it is an excipient employed usually as a formulation excipient. For example, an excipient for an **oral** solid formulation may be lactose, starch, corn starch, crystalline cellulose (Asahi Kasei, Avicel PH101 and the like), powder sugar, granulated. . .

An **oral** solid instantaneous release formulation contains a disintegrant in addition to the ingredients described above. Such a disintegrant may, for example,. . .

An **oral** solid instantaneous release formulation contains additives employed customarily in a solid formulation if desired in addition to the components described. . .

An . . . instantaneous release formulation is formed as a particle, then a method similar to that for preparing a core of a **sustained release** formulation described above is employed to mix the materials using a vertical granulator, multipurpose kneader (HATAKE TEKKOSHO), fluidized bed granulator. . .

Each of an instantaneous **release** formulation and a **sustained release** formulation thus obtained may be formulated separately by a standard method as it is or in combination with an excipient. . . as a final formulation for simultaneous administration or intermittent sequential administration, or the both may be formulated in a single **oral** formulation (e.g., granule, fine powder, **tablet, capsule**) as they are or in combination with an excipient as appropriate. The both formulation may be formulated also as granules or fine powders, which are then filled in a single **capsule** for **oral** administration.

Any of sublingual, buccal or intraoral instantaneous disintegration formulations may be a solid formulation such as a **tablet**, or may be an **oral** mucosa plaster (film).

Each . . . suitable hardness, a solvent such as water and alcohol may be employed to wet the mixture before or after the **tablet** impaction, and then dried finally.

When a **oral** mucosa plaster (film) is to be molded, an inventive compound or concomitant drug and a water-dispersible polymer (preferably, hydroxypropyl cellulose,. . . bioadhesive polymer (e.g., polycarbophile, carbopol) may be added for the purpose of enhancing the adhesion of the film to the **oral** mucosal lining. The casting may be accomplished by pouring a solution onto a non-adhesive surface, spreading the solution using a. . .

A . . . preferably about 1 to about 30 seconds, more preferably about 1 to about 10 seconds after being placed in the **oral** cavity.

A solid dispersion described above can itself be used as an **oral** pharmaceutical formulation, and may also be formulated as a powder, fine powder, granule, **tablet**, **capsule**, injection formulation and the like by an ordinary method.

A . . . such as sucrose, lactose, starch, crystalline cellulose, synthetic ammonium silicate, magnesium stearate, talc and other diluents and lubricants in an **oral** pharmaceutical formulation. The surface of the formulation can be coated to obtain a **sustained -release** formulation.

Nevertheless, various formulations obtained by converting a solid dispersion described above into various dosage forms have markedly improved performances of dissolution, **oral** absorption or(and) absorption into blood, when compared with a crystal of a hardly water-soluble or water-insoluble lipid-rich plaque regressing substance. . .

A . . . and interval of the administration and the characteristics, preparation, type and active ingredient of the pharmaceutical formulation, and the daily **oral** dose per kg body weight in a mammal is about 0.001 to 2000 mg, preferably about 0.01 to 500 mg,. . .

In a preferred administration mode, for example, about 0.001 to 200 mg/kg of a concomitant drug formulated as an **oral** formulation is given orally as a daily dose, and, after about 15 minutes, about 0.005 to 100 mg/kg of an inventive compound formulated as an **oral** formulation is given orally as a daily dose.

(1), . . . are mixed and granulated. To this, the remainder of (4) is added and the entire is encapsulated into a gelatin **capsule** . <table>

(1), . . . (5) are mixed and granulated. To this granule, the remainder of (4) and (5) are added and compressed into a **tablet** . <table>

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.
16. **Tablet**
According . . . are added, and mixed in a mixer (model TM-15, SHOWAKAGAKU KIKAI KOSAKUSHO) for 5 minutes to obtain a granule for **tablet** compaction. This granule is compressed in 180 mg aliquots by a tabletting machine (Correct 19K, KIKUSUI SEISAKUSHO) using a 8.0 mm.phis. edged plain mallet under 0.7 ton/cm2, whereby obtaining 2,350 **tablets**. <table> <table>
According to an ordinary method, (1) to (6) were mixed and compressed into a **tablet** using a tabletting machine. Using Solid dispersion B instead of Solid dispersion A, a **tablet** was also obtained.
After . . . hand, the arteriosclerotic diet for 8 weeks followed by the normal diet with 30 mg/kg/day of Compound B given by **oral** administraiton for 4 weeks resulted in the marked reduction of the lesion area to 16.9 % and the aortic cholesteryl. . .
After . . . hand, the arteriosclerotic diet for 8 weeks followed by the normal diet with 30 mg/kg/day of Compound B given by **oral** administraiton for 4 weeks resulted in the marked reduction of the lesion area to 23.3 % and the aortic cholesteryl. . .

L7 ANSWER 13 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER:	1294363 EUROPATFULL EW 200351 FS PS
TITLE:	TABLET SHAPES TO ENHANCE GASTRIC RETENTION OF SWELLABLE CONTROLLED-RELEASE ORAL DOSAGE FORMS. TABLETTENFORM ZUR GASTRISCHEN ZURUeCKHALTUNGSSTEIGERUNG WASSERQUELLFAeHIGER MIT KONTROLLIERTER FREISETZUNG ORALER DOSIERUNGSFORMEN. COMPRIMES DESTINES A ACCROITRE LA RETENTION GASTRIQUE DE FORMES POSOLOGIQUES ORALES GONFLANTES A LIBERATION CONTROLEE.
INVENTOR(S):	BERNER, Bret, 239 El Granada Boulevard, El Granada, CA 94018, US; LOUIE-HELM, Jenny, 30580 Mallorca Way, Union City, CA 94587, US
PATENT ASSIGNEE(S):	DepoMed, Inc., 1360 O'Brien Drive, Menlo Park, CA 94025, US
PATENT ASSIGNEE NO:	1292413
AGENT:	Behnisch, Werner, Dr., Reinhard-Skuhra-Weise Friedrichstrasse 31, 80801 Muenchen, DE
AGENT NUMBER:	77852
OTHER SOURCE:	MEPB2003062 EP 1294363 B1 0010
SOURCE:	Wila-EPS-2003-H51-T1
DOCUMENT TYPE:	Patent
LANGUAGE:	Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES:	R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R TR; R AL; R LT; R LV; R MK; R RO; R SI
PATENT INFO.PUB.TYPE:	EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 1294363	B1	20031217

'OFFENLEGUNGS' DATE: 20030326
APPLICATION INFO.: EP 2001-914515 20010226
PRIORITY APPLN. INFO.: US 2000-598061 20000620
RELATED DOC. INFO.: WO 01-US6164 010226 INTAKZ
WO 01097783 011227 INTPNR
REFERENCE PAT. INFO.: WO 97-47285 A WO 98-55107 A
WO 99-07342 A WO 99-45887 A
REF. NON-PATENT-LIT.: APICELLA A ET AL: "POLY(ETHYLENE OXIDE) (PEO) AND DIFFERENT MOLECULAR WEIGHT PEO BLENDS MONOLITHIC DEVICES FOR DRUG RELEASE" BIOMATERIALS, ELSEVIER SCIENCE PUBLISHERS BV., BARKING, GB, vol. 14, no. 2, 1993, pages 83-90, XP000335514 ISSN: 0142-9612

DETDEN. . . invention is in the general field of pharmaceuticals, and relates in particular to formulations for drugs that benefit from a **prolonged** time of controlled **release** in the stomach and upper gastrointestinal (GI) tract, and from an enhanced opportunity for absorption in the stomach and upper. . .

Many drugs have their greatest therapeutic effect when released in the stomach, particularly when the **release** is **prolonged** in a continuous, controlled manner. Drugs delivered in this manner have a lower level of side effects and provide their. . . reflux disease, for the eradication of ulcer-causing bacteria in the gastric mucosa, and for the treatment of disorders that require **sustained** antacid action. **Sustained release** in the stomach is also useful for therapeutic agents that the stomach does not readily absorb, since **sustained release** prolongs the contact time of the agent in the stomach or in the upper part of the small intestine, which. . .

Whether . . . occurring in a membrane bag or otherwise within the dosage form. Swelling can also be achieved by placing a large **tablet** in a compressed condition under mechanical tension inside a small **capsule** which will release the **tablet** when the **capsule** contacts gastric fluid, permitting the released **tablet** to expand to its full relaxed size.

Disclosures of **oral** dosage forms that swell to sizes that will prolong the residence time in the stomach are found in United States Patent No. 5,007,790 ("**Sustained-Release Oral** Drug Dosage Form;" Shell, inventor; April 16, 1991), United States Patent No. 5,582,837 ("Alkyl-Substituted Cellulose-Based **Sustained-Release Oral** Drug Dosage Forms;" Shell, inventor: December 10, 1996): United States Patent No. 5,972,389 ("Gastric-Retentive **Oral** Drug Dosage Forms for the Controlled Release of Sparingly Soluble Drugs and Insoluble Matter;" Shell et al., inventors; October 26, 1999); International (PCT) Patent Application WO 98/55107 ("Gastric-Retentive **Oral** Drug Dosage Forms for Controlled Release of Highly Soluble Drugs;" Shell et al., inventors; publication date December 10, 1998); and International (PCT) Patent Application WO 96/26718 ("Controlled Release **Tablet**;" Kim, inventor: publication date September 6,1996).

Even . . . of the pylorus such that their longest dimension is in alignment with the pyloric axis. This is particularly true of **tablets** or caplets (cylindrical **tablets** with rounded ends) that are elongated in shape to facilitate swallowing. When dosage forms such as these swell due to. . .

It . . . and preferably thirty minutes of swelling time. In addition to enhancing gastric retention, the non-circular and non-spherical shape render the **tablets** of this invention convenient to swallow.

The **tablets** are also smaller than many **tablets** of the prior art that were designed for a similar effect, and this offers an advantage for people who suffer from a psychological difficulty when attempting to swallow a **tablet**.

In certain embodiments of this invention, the dosage form is a multilayered **tablet** in which one or more of the layers swells

while the others do not. In further embodiments of the invention, the dosage form is a **tablet** with a core surrounded by a shell, and the core swells while the shell remains relatively dimensionally stable, or vice. . .

Within the parameters stated above, the dosage forms of this invention, which will be referred to herein for convenience as **"tablets"** (although other forms are contemplated as well), may vary in shape. The shapes are oval and parallelogram (notably diamond-shaped, i.e.,. . . The **tablet** swells gradually upon immersion in water (and hence gastric fluid), and within one hour, and preferably thirty minutes of swelling. . . of 1.2 cm or more, and preferably 1.3 cm or more. This will reduce or eliminate the possibility that the **tablet** in its swollen state can pass through the pylorus when oriented with its long axis parallel to the axis of. . . to the pyloric axis and will be large enough to resist passage through the pylorus. Prior to swelling of the **tablet**, the shorter axis may be as small as 0.7 cm in length, preferably 0.7 cm to 1.5 cm in length,. . . cm to 1.0 cm in length. The longer of the two orthogonal axes will achieve a greater length when the **tablet** swells, but it should be small enough in the unswollen state to permit easy swallowing of the **tablet** . Accordingly, the longer orthogonal axis of the **tablet** prior to swelling will be 3.0 cm or less in length, preferably 2.5 cm or less, and most preferably 1.5 cm to 2.5 cm. One example of a **tablet** that meets these descriptions is a diamond-shaped **tablet** (i.e., a **tablet** whose planar projection is a parallelogram with one diagonal dimension shorter than the other) in which the shorter diagonal is. . . longer diagonal is 1.5 cm. In this example, both of these dimensions are substantially greater than the thickness of the **tablet**.

Tablets in accordance with this invention can be prepared by conventional techniques, including common tabletting methods. These methods involve mixing, comminution,. . .

When **tablets** are made by direct compression, the addition of lubricants may be helpful and is sometimes important to promote powder flow and to prevent capping of the **tablet** (the breaking off of a portion of the **tablet**) when the pressure is relieved. Useful lubricants are magnesium stearate (in a concentration of from 0.25% to 3% by weight,. . . 1% to 5% by weight, most preferably about 2% by weight). Additional excipients may be added to enhance powder flowability, **tablet** hardness, and **tablet** friability and to reduce adherence to the die wall.

The . . . they may be included in the dosage form as an ingredient dispersed in the dosage form or in an outer **immediate release** coating. Examples of pharmacological fed-mode inducing agents are disclosed in co-pending United States Patent Application Serial No. 09/432,881, filed November. . .

The . . . contained in the dosage form for controlled release may be any chemical compound, complex or composition that is suitable for **oral** administration and that has a beneficial biological effect, preferably a therapeutic effect in the treatment of a disease or an. . . invention is applicable are metformin hydrochloride, vancomycin hydrochloride, captopril, lisinopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, baclofen, amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, levodopa, doxifluridine, tramadol, fluoxitine hydrochloride, bupropion, potassium chloride, and esters of ampicillin. Examples low solubility drugs to which. . .

In . . . surface of the dosage form. This coating is referred to as a "loading dose" and its purpose is to provide **immediate release** into the patient's bloodstream upon ingestion of the dosage form without first requiring the drug to diffuse through the polymer. . .

The . . . For drugs that are highly potent and therefore administered

in small amounts, the drug loading as a percent of the **tablet** weight may be considerably lower since the **tablet** must be large enough to meet the size limitations of this invention in order to achieve gastric retention.
As stated above, the **tablet** shapes of the present invention offer various types of advantages to orally administered drugs, all stemming from the improved retention. . . cases, the passage of a drug from the stomach into the small intestine while the drug is still in a **tablet** or other dosage form results in lowering the therapeutic efficacy of the drug, either because the small intestine lacks the. . .
For . . . its stronger forms it can be life-threatening or fatal. Examples of antibiotics that pose this type of threat are amoxicillin, **cefuroxime axetil**, and clindamycin. **Cefuroxime axetil** (i.e., the axetil ester of cefuroxime), for example, becomes active when hydrolyzed to free cefuroxime, and when this occurs prior. . . to the form that can alter the flora. Further examples are clarithromycin, azithromycin, ceftazidime, ciprofloxacin, and cefaclor. Use of the **tablet** shapes of the present invention helps to avoid this antibiotic-induced overgrowth of the lower intestinal flora by helping to restrict. . .
Another class of drugs that benefit from the **tablet** shapes of this invention are those that are absorbed only in the upper GI tract but suffer from incomplete absorption. . . time required for intestinal transit between the stomach and the colon and in the possibility of a proportion of the **tablets** passing through the pylorus due to fortuitous **tablet** orientation. These differences can be lessened by the use of the **tablet** shapes of this invention.
Another . . . a similar effect upon reaching the colon are cyclosporine and digoxin. These effects can be lessened by use of the **tablet** shapes of this invention.
A . . . and the HIV protease inhibitors saquinavir, ritonavir, and nelfinavir. Because of the p-glycoprotein efflux system, these drugs benefit from the **tablet** shapes of the present invention by raising the probability that the drugs will be released into the upper GI tract. . .
A still further group of drugs that benefit from the **tablet** shapes of the present invention are those that are absorbed in the duodenum and jejunum, but are not well absorbed. . .
A still further group of drugs that benefit from the **tablet** shapes of the present invention are those that are soluble in an acidic environment but insoluble in an alkaline or. . .

L7 ANSWER 14 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER:	1194124 EUROPATFULL EW 200336 FS PS
TITLE:	TASTE MASKED COMPOSITIONS. GESCHMACKSMASKIERTE ZUBEREITUNGEN. COMPOSITIONS A GOUT MASQUE.
INVENTOR(S):	MUKHERJI, Gour, E-12/31, Phase - I, DLF Qutab Enclave, Gurgaon 122 001, Haryana, IN; GOEL, Sandhya, C-517, Saraswati Vihar, Delhi 110 034, IN; ARORA, Vinod, Kumar, 20-B, DG-II, Vikas Puri, New Delhi 110 018, IN
PATENT ASSIGNEE(S):	Ranbaxy Laboratories Limited, 19, Nehru Place, New Delhi 110 019, IN
PATENT ASSIGNEE NO:	1089934
AGENT:	Cronin, Brian Harold John et al., Cronin Intellectual Property Route de Clementy 62, 1260 Nyon, CH

AGENT NUMBER: 24994
OTHER SOURCE: MEPB2003047 EP 1194124 B1 0008
SOURCE: Wila-EPS-2003-H36-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

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	PATENT NO	KIND	DATE
	EP 1194124	B1	20030903
'OFFENLEGUNGS' DATE:			20020410
APPLICATION INFO.:	EP 2000-931481		20000607
PRIORITY APPLN. INFO.:	IN 1999-086799		19990611
	US 2000-587535		20000605
RELATED DOC. INFO.:	WO 00-IB765	000607	INTAKZ
	WO 00076479	001221	INTPNR
REFERENCE PAT. INFO.:	WO 97-16174 A1		WO 98-11879 A1
	WO 98-18454 A1		DE 2218147 A
	US 4897270 A		US 5175003 A

REF. NON-PATENT-LIT.: VOIGT R.: "Pharmazeutische Technologie f r Studium und Beruf" 1993, ULLSTEIN MOSBY, BERLIN, DE, XP002901233 7th edition, chapter 10.5.1, table 29, chapter 10.6.2.2.--10.6.2.4

DETDEN For ease and safety of administration, most drugs are formulated as **tablets** or **capsules** for **oral** administration.
However, patients at the extremes of age, such as children and the elderly, often experience difficulty in swallowing solid **oral** dosage forms. For these patients, drugs are commonly provided in liquid dosage forms such as solutions, emulsions and suspensions. These. . . and continue to be exploited for the effective taste masking of such drugs. Extremely bitter drugs, like, quinine, ciprofloxacin, clarithromycin, **cefuroxime axetil**, can now be formulated as a fairly acceptable range of products even for pediatric use, which through conventional techniques would. . .
Use . . . cation - exchange resins (such as polysulfonic acid and polycarboxylic acid polymers) to adsorb amine drugs for taste masking and **sustained release** has been reported to have limited applicability and is not capable of masking the taste of highly bitter drugs. Coating. . .
Lipid-based . . . particles, and may have adverse effects on heat sensitive molecules or restrict drug release adversely. U.S. Patent No. 4,865,851 describes **cefuroxime axetil** in particulate form coated with an integral coating of lipid or a mixture of lipids. U.S. . . . also retards the rate of drug release from the matrix to an extent which would be unacceptable for a conventional **immediate release** formulation. Following the teachings of this patent, only 42% of **cefuroxime axetil** was released from the matrix in 45 minutes in media of pH greater than 4.0. The matrix described in this. . .
The . . . such as saccharin and aspartame, and with other pharmaceutically acceptable excipients to be formulated as conventional whole, chewable or dispersible **tablets**, dry syrups, suspensions, sachets or any other suitable **oral** dosage forms.
2 g of **cefuroxime axetil** was taken together with 2 g polymer mixture (0.7: 0.3, Eudragit.trade. L100-55: hydroxypropyl methyl cellulose phthalate) and dissolved in acetone. . .
20 g **cefuroxime axetil** and 40 g total polymer (1.2:0.8 w/w mixture of Eudragit.trade. L-100-55 and hydroxypropyl methyl cellulose phthalate) were dissolved in 112ml. . .
60 g **cefuroxime axetil** and 60 g total polymer (1:1

w/w mixture of Eudragit.trade. L-100-55 and hydroxypropyl methyl cellulose phthalate) were dissolved under stirring. . . These . . . the coating composition described in our PCT application WO 00/56266 to yield a bitterless material suitable for use in an **oral** suspension. These coated granules released 84% of the drug within 60 minutes in pH 6.8 phosphate buffer.

CLMEN. . . The composition of claim 9 wherein the taste masked granules are formulated as dry syrups, suspensions, conventional whole, chewable, dispersible **tablets** or any other suitable **oral** dosage form.

27. . . . process of claim 14 wherein the taste masked granules are formulated as dry syrups, suspensions, conventional whole, chewable, or dispersible **tablets**.

29. The process of claim 28 comprising **cefuroxime axetil**, wherein the matrix is recovered by spray drying.

L7 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2002:658596 CAPLUS
DOCUMENT NUMBER: 137:190766
TITLE: Rapidly disintegrating **sustained release** cefuroxime axetil composition
INVENTOR(S): Sen, Himadri; Kshirsagar, Rajesh Suresh; Menjoge, Anupa Ramesh
PATENT ASSIGNEE(S): Lupin Laboratories Limited, India
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 702,042.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

Abandoned

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002119195	A1	20020829	US 2001-928466	20010813
PRIORITY APPLN. INFO.:			US 2000-702042 A2	20001030

TI Rapidly disintegrating **sustained release** cefuroxime axetil composition

AB A **fast** disintegrating controlled **release oral** composition comprising a core material containing **cefuroxime axetil** present as controlled release form, the **cefuroxime axetil** being provided with an outer coating of a copolymer selected from aqueous dispersions of enteric methacrylic acid and methacrylic acid esters anionic copolymers having carboxyl group as the functional group or mixts. thereof and an inner coating of a **sustained-release** copolymer selected from aqueous dispersions of acrylate and methacrylate pH independent copolymers having quaternary ammonium group as a functional group or mixts. thereof, and optionally probenecid. Addnl., the coating composition may contain plasticizers. The composition is suitable for once daily administration. For example, **tablets** were prepared by steps of: **cefuroxime axetil** 65.32%, microcryst. cellulose 10.16%, and sodium lauryl sulfate 0.48% were mixed, the blend was lubricated with hydrogenated vegetable oil 0.64% and compacted. The compacts were sized and coated with Eudragit RS 30D 0.38%, Eudragit RL 30D 2.68%, and Eudragit L 30D-55 5.57% to obtain coated granule. Granules were mixed with microcryst. cellulose 5.00%, colloidal silica 3.22%, sodium lauryl sulfate 0.64%, and croscarmellose sodium 5.37%, lubricated with hydrogenated vegetable oil 0.53%, and compressed into **tablets**. Cefuroxime release from **tablets** was 34.6%, 44.3%, 67.4%, 83.7%, and 96.1% after 1, 2, 3, 4, and 6 h, resp.

IT Polyelectrolytes
(anionic; rapidly disintegrating **oral sustained-**

release cefuroxime axetil composition)

IT Polyelectrolytes
(cationic; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Granulation
(fluidized-bed; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Drug delivery systems
(**oral**, controlled-**release**; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Drug delivery systems
(**oral, sustained release**; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Compression
Dissolution
Dissolution rate
Plasticizers
Wetting agents
(rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Drug delivery systems
(**tablets**, controlled-**release**; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, hydrogenated, lubricant; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT Granulation
(wet; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 9003-39-8, Polyvinyl pyrrolidone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(binder; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 7631-86-9, Colloidal silica, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(colloidal; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 57-66-9, Probenecid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination with; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 9004-32-4, Carboxymethyl cellulose sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(disintegrant; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 9004-34-6, Cellulose, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(microcryst.; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 77-93-0, Triethyl citrate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(plasticizer; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 64544-07-6, **Cefuroxime axetil**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapidly disintegrating **oral sustained-**

release cefuroxime axetil composition)

IT 79-10-7D, Acrylic acid, esters, copolymers 79-41-4D, Methacrylic acid, esters, copolymers 25212-88-8, Ethyl acrylate-methacrylic acid copolymer 33434-24-1, Ethyl acrylate-methyl methacrylate-trimethylammonioethyl methacrylate chloride copolymer 74811-65-7, Croscarmellose sodium 107950-49-2, Eudragit RL 30D
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 55268-75-2, Cefuroxime
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(release of; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

IT 151-21-3, Sodium lauryl sulfate, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(wetting agent; rapidly disintegrating **oral sustained-release cefuroxime axetil** composition)

L7 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:353283 CAPLUS
DOCUMENT NUMBER: 136:359637
TITLE: Rapidly disintegrating **sustained release** cefuroxime axetil composition
INVENTOR(S): Sen, Himadri; Kshirsagar, Rajesh S.; Menjoge, Anupa
PATENT ASSIGNEE(S): Lupin Limited, India
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036126	A1	20020510	WO 2001-IN141	20010803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001078673	A5	20020515	AU 2001-78673	20010803
EP 1330250	A1	20030730	EP 2001-956758	20010803
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-702042 A 20001030
WO 2001-IN141 W 20010803

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Rapidly disintegrating **sustained release** cefuroxime axetil composition

AB A **fast** disintegrating controlled **release oral** composition comprises a core material containing **cefuroxime axetil**, the drug being provided with an outer coating of a copolymer selected from aqueous dispersions of enteric methacrylic acid and methacrylic acid ester anionic copolymers having carboxyl group as the functional group or mixts. and an inner coating of a **sustained-release** copolymer selected from aqueous dispersions of acrylate and methacrylate pH-independent copolymers having quaternary ammonium group as

a functional group and optionally probenecid. Addnl., the coating composition may contain plasticizers. The composition is suitable for once daily administration. Thus, a controlled-release formulation contained **cefuroxime axetil** 601.97, microcryst. cellulose 100.00, sodium lauryl sulfate 4.52, hydrogenated vegetable oil 6.00, Eudragit RS 30D 14.00, Eudragit RL30D 56.00, and Eudragit L30 D-55 53.90 mg/**tablet**. An **immediate-release** form contained probenecid 500.00, microcryst. 70.61, croscarmellose sodium 20.40, hydrogenated vegetable oil 9.00, microcryst. cellulose 18.33, colloidal SiO2 24.00, sodium lauryl sulfate 5.00, croscarmellose sodium 115.00, and hydrogenated vegetable oil 6.00 mg/**tablet**.

ST **sustained release cefuroxime axetil tablet**; polyacrylic **sustained release tablet cefuroxime axetil**

IT Drug delivery systems
(granules; rapidly disintegrating **sustained release** cefuroxime axetil composition)

IT Drug delivery systems
(**oral**, controlled-**release**; rapidly disintegrating **sustained release cefuroxime axetil** composition)

IT Coating materials
Compaction
Dissolution
Dissolution rate
Plasticizers
Wetting agents
(rapidly disintegrating **sustained release** cefuroxime axetil composition)

IT Drug delivery systems
(**tablets**, controlled-**release**; rapidly disintegrating **sustained release cefuroxime axetil** composition)

IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, hydrogenated; rapidly disintegrating **sustained release** cefuroxime axetil composition)

IT Granulation
(wet; rapidly disintegrating **sustained release** cefuroxime axetil composition)

IT 9004-32-4, Carboxymethyl cellulose sodium
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crosslinked; rapidly disintegrating **sustained release** cefuroxime axetil composition)

IT 9004-34-6, Cellulose, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(microcryst.; rapidly disintegrating **sustained release** cefuroxime axetil composition)

IT 57-66-9, Probenecid 151-21-3, Sodium lauryl sulfate, biological studies 9003-39-8, PVP 25212-88-8, Eudragit L 30 D-55 33434-24-1, Eudragit RS 30D 64544-07-6, Cefuroxime Axetil 107950-49-2, Eudragit RL 30D
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapidly disintegrating **sustained release** cefuroxime axetil composition)

L7 ANSWER 17 OF 24 USPATFULL on STN
ACCESSION NUMBER: 2002:250826 USPATFULL
TITLE: Antibiotic product, use and formulation thereof
INVENTOR(S): Rudnic, Edward M., N. Potomac, MD, UNITED STATES
Isbister, James D., Potomac, MD, UNITED STATES
Treacy, Donald J., JR., Arnold, MD, UNITED STATES
Wassink, Sandra E., Frederick, MD, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002136764	A1	20020926
	US 6669948	B2	20031230
APPLICATION INFO.:	US 2001-27609	A1	20011220 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-792092, filed on 22 Feb 2001, PENDING Continuation-in-part of Ser. No. US 2000-687229, filed on 13 Oct 2000, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-184546P	20000224 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: CARELLA, BYRNE BAIN, GILFILLAN,, CECCHI, STEWART & OLSTEIN, Six Becker Farm Road, Roseland, NJ, 07068
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
LINE COUNT: 2172
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . the C.sub.max for the antibiotic product being reached in less than about twelve hours. In one embodiment, there is an **immediate release** dosage form, as well as two or more **delayed release** dosage forms, with each of the dosage forms having a different release profile, wherein each reaches a C.sub.max at different. . .

SUMM . . . be used, in order to combat bacterial infection. In general, such antibiotics can be administered by a repeated dosing of **immediate release** dosage forms, which results in poor compliance or as a controlled release formulation (slow release) at higher administered doses. The. . .

SUMM . . . of the invention, there are at least three dosage forms. One of the at least three dosage forms is an **immediate release** dosage form whereby initiation of release of the antibiotic therefrom is not substantially delayed after administration of the antibiotic product.. . . of antibiotic product), whereby the antibiotic released therefrom is delayed until after initiation of release of the antibiotic from the **immediate release** dosage form. More particularly, the antibiotic release from the second of the at least two dosage forms achieves a C.sub.max. . .

SUMM [0012] In general, the **immediate release** dosage form produces a C.sub.max for the antibiotic released therefrom within from about 0.5 to about 2 hours, with the. . .

SUMM . . . If at least four dosage forms are used, the fourth of the at least four dosage form may be a **sustained release** dosage form or a **delayed release** dosage form. If the fourth dosage form is a **sustained release** dosage form, even though C.sub.max of the fourth dosage form of the at least four dosage forms is reached after. . .

SUMM . . . topical administration by including such dosage forms in an oil-in-water emulsion, or a water-in-oil emulsion. In such a formulation, the **immediate release** dosage form is in the continuous phase, and the **delayed release** dosage form is in a discontinuous phase. The formulation may also be produced in a manner for delivery of three. . . hereinabove described. For example, there may be provided an oil-in-water-in-oil emulsion, with oil being a continuous phase that contains the **immediate release** component, water dispersed in the oil containing a first **delayed release** dosage form, and oil dispersed in the water containing a third **delayed release** dosage form.

SUMM . . . Thus, for example, antibiotic products may include a first dosage form in the form of a tablet that is an **immediate**

release tablet, and may also include two or more additional tablets, each of which provides for a **delayed release** of the antibiotic, as hereinabove described, whereby the C.sub.max of the antibiotic released from each of the tablets is reached. . .

SUMM . . . to be within the skill of the art from the teachings herein. As known in the art, with respect to **delayed release**, the time of release can be controlled by the concentration of antibiotics in the coating and/or the thickness of the. . .

SUMM [0029] In formulating an antibiotic product in accordance with the invention, in one embodiment, the **immediate release** dosage form of the product generally provides from about 20% to about 50% of the total dosage of antibiotic to be delivered by the product, with such **immediate release** dosage forms generally providing at least 25% of the total dosage of the antibiotic to be delivered by the product. In many cases, the **immediate release** dosage form provides from about 20% to about 30% of the total dosage of antibiotic to be delivered by the product; however, in some cases it may be desirable to have the **immediate release** dosage form provide for about 45% to about 50% of the total dosage of antibiotic to be delivered by the. . .

SUMM [0030] The remaining dosage forms deliver the remainder of the antibiotic. If more than one **delayed release** dosage form is used, in one embodiment, each of the **delayed release** dosage forms may provide about equal amounts of antibiotic; however, they may also be formulated so as to provide different. . .

SUMM [0032] In one embodiment, where the composition contains one **immediate release** component and two **delayed release** components, the **immediate release** component provides from 20% to 35% (preferably 20% to 30%), by weight, of the total antibiotic; where there is three **delayed release** components, the **immediate release** component provides from 15% to 30%, by weight, of the total antibiotic; and where there are four **delayed release** components, the **immediate release** component provides from 10% to 25%, by weight, of the total antibiotic.

SUMM [0033] With respect to the **delayed release** components, where there are two **delayed release** components, the first **delayed release** component (the one released earlier in time) provides from 30% to 60%, by weight, of the total antibiotic provided by the two **delayed release** components with the second **delayed release** component providing the remainder of the antibiotic.

SUMM [0034] Where there are three **delayed release** components, the earliest released component provides 20% to 35% by weight of the total antibiotic provided by the three **delayed release** components, the next in time **delayed release** component provides from 20% to 40%, by weight, of the antibiotic provided by the three **delayed release** components and the last in time providing the remainder of the antibiotic provided by the three **delayed release** components.

SUMM [0035] When there are four **delayed release** components, the earliest **delayed release** component provides from 15% to 30%, by weight, the next in time **delayed release** component provides from 15% to 30%, the next in time **delayed release** component provides from 20% to 35%, by weight, and the last in time **delayed release** component provides from 20% to 35%, by weight, in each case of the total antibiotic provided by the four **delayed release** components.

SUMM [0036] The **Immediate Release** Component

SUMM [0037] The **immediate release** portion of this system

can be a mixture of ingredients that breaks down quickly after administration to release the antibiotic.. . .

SUMM [0038] The materials to be added to the antibiotics for the **immediate release** component can be, but are not limited to, microcrystalline cellulose, corn starch, pregelatinized starch, potato starch, rice starch, sodium carboxymethyl. . .

SUMM [0042] The non-pH Sensitive **Delayed Release** Component

SUMM [0043] The components in this composition are the same **immediate release** unit, but with additional polymers integrated into the composition, or as coatings over the pellet or granule.

SUMM [0047] The components in this composition are the same as the **immediate release** component, but with additional polymers integrated into the composition, or as coatings over the pellet or granule.

SUMM [0050] **Sustained Release** Component

SUMM [0051] The components in this composition are the same as the **immediate release** component, but with additional polymers integrated into the composition, or as coatings over the pellet or granule.

DETD [0058] **Immediate Release** Component

DETD [0060] Non-pH Sensitive **Delayed Release** Component

DETD [0064] **Sustained Release** Component

DETD [0067] 1. Metronidazole Matrix Pellet Formulation and Preparation Procedure (**Immediate Release**)

DETD [0103] **Immediate-release** matrix pellets uncoated, L30 D-55 coated pellets and S 100 coated pellets respectively.

DETD [0141] 57.8 Preparation of Amoxicillin Granulation (**Immediate Release** Component) for tabletting

TABLE 7

Composition of Amoxicillin Granulation

Component	Percentage (%)
Amoxicillin Trihydrate powder	92
Avicel PH 101	7.0
Hydroxypropyl. . .	

DETD [0228] **Immediate-release** matrix pellets (uncoated), L30 D-55 coated pellets 12% weight gain, L30D-55 coated pellets 30% weight gain and SI 00 coated. . .

DETD [0272] 60.10 Preparation of Amoxicillin Granulation for tabletting

TABLE 19

Composition of Amoxicillin Granulation (**Immediate Release**)

Component	Percentage (%)
Amoxicillin Trihydrate powder	92
Avicel PH 101	7.0
Hydroxypropyl methylcellulose, NF*	1.0
Total	100

*Hydroxypropyl methylcellulose was added. . .

DETD [0323] **Immediate-release** matrix pellets (uncoated), L30 D-55 coated pellets 12% weight gain, L30D-55 coated pellets 30% weight gain and S100 coated pellets. . .

DETD [0351] Amoxicillin **Delayed** Enteric-**Release** Pellets Formulation and Preparation Procedure

DETD [0386] Preparation of Amoxicillin Granulation for Tableting

TABLE 5

Composition of Amoxicillin Granulation (**Immediate Release**)

Component	Percentage (%)
Amoxicillin Trihydrate powder	92
Avicel PH 101	7.0
Hydroxypropyl methylcellulose, NF*	1.0
Total	100

*Hydroxypropyl methylcellulose was added. . .

DETD [0397] Pellets are filled into hard gelatin capsules at a ratio of 30%:30%:20%:20% **Immediate-release** pellets (uncoated), L30 D-55/Eudragit NE 30D coated pellets 20% weight gain, AQOAT coated pellets 30% weight gain and Eudragit FS. . .

DETD [0427] Cefuroxime Axetil **Delayed** Enteric-**Release** Pellets Formulation and Preparation Procedure

DETD [0455] Pellets are filled into hard gelatin capsules at a ratio of 25%:25%:25%:25% **Immediate-Release** Pellets (uncoated), Eudragit L30 D-55/Eudagit NE 30D coated pellets 20% weight gain, AQOAT AS-HF coated pellets 30 -35% weight gain. . .

DETD [0458] **Cefuroxime Axetil Tablet** Formula

TABLE 5

Cefuroxime axetil Tablet

Component	Percentage (%)
Eudragit ® L30D/NE 30D coated pellets	20.0
AQOAT AS-HF coated pellets	20.0
Eudargit FS 30D coated pellets	20.0
Emcocel	24.5
Cefuroxime axetil	12.5
Povidone K30	2.0
Magnesium stearate	1.0
Purified water	*

*Removed during processing

DETD [0459] Preparation Procedure for a **Cefuroxime Axetil Tablet**

DETD [0497] Cefodoxime Proxetil **Delayed** Enteric-**Release** Pellets Formulation and Preparation Procedure

DETD [0525] Pellets are filled into hard gelatin capsules at a ratio of 25%:25%:25%:25% **Immediate-Release** Pellets (uncoated), Eudragit L30 D-55/Eudagit NE 30D coated pellets 20% weight gain, AQOAT AS-HF coated pellets 30 -35% weight gain. . .

DETD [0564] Dicloxacillin **Delayed** Enteric-**Release** Pellets Formulation and Preparation Procedure

DETD [0592] Pellets are filled into hard gelatin capsules at a ratio of 25%:25%:25%:25% **Immediate-release** pellets (uncoated), L30 D-55/Eudragit NE 30D coated pellets 20% weight gain, AQOAT coated pellets 30% weight gain and Eudragit FS. . .

DETD [0594] Preparation of Dicloxacillin Granulation for Tableting

TABLE 5

Composition of Dicloxacillin Granulation (**Immediate Release**)

Component	Percentage (%)
Dicloxacillin	92
Avicel PH 101	7.0
Hydroxypropyl methylcellulose, NF*	1.0
Total	100

*Hydroxypropyl methylcellulose was added as a. . .

CLM What is claimed:

2. The product of claim 1 wherein the first dosage form is an **immediate release** dosage form.

4. The product of claim 2 wherein the **immediate release** dosage form contains at least 20% and no more than 50% of the total dosage of antibiotic.

. . . the antibiotic is selected from the group consisting of cefuroxime, cefpodoxime, amoxicillin and dicloxacillin, said first dosage form being an **immediate release** dosage form, said second and third dosage forms, each being a **delayed release** dosage form, wherein the antibiotic released from the first dosage form reaches a C.sub.max in serum in from 0.5 to. . .

24. The product of claim 22 wherein the product includes a fourth **delayed release** antibiotic dosage form having a different release profile from the first, second and third dosage forms.

L7 ANSWER 18 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:98925 USPATFULL

TITLE: Extending the duration of drug release within the stomach during the fed mode

INVENTOR(S): Shell, John W., Hillsborough, CA, UNITED STATES
Louie-Helm, Jenny, Union City, CA, UNITED STATES
Markey, Micheline, Santa Cruz, CA, UNITED STATES

PATENT ASSIGNEE(S): DepoMed, Inc., Menlo Park, CA, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002051820	A1	20020502
APPLICATION INFO.:	US 2001-990061	A1	20011120 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-282233, filed on 29 Mar 1999, PENDING Continuation-in-part of Ser. No. US 1997-870509, filed on 6 Jun 1997, ABANDONED

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834

NUMBER OF CLAIMS: 44

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 9 Drawing Page(s)

LINE COUNT: 1493

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . invention is in the general field of pharmacology, and relates in particular to formulations for drugs that benefit from a **prolonged** time of controlled **release** in the stomach and upper gastrointestinal (GI) tract, and from an enhanced opportunity of absorption in the stomach and upper. . .

DETD [0038] The term "drug" is used herein to denote any chemical compound, complex or composition that is suitable for **oral** administration and that has a beneficial biological effect, preferably a therapeutic effect in the treatment of a disease or abnormal. . . which this invention is applicable are metformin hydrochloride, vancomycin hydrochloride, captopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, doxifluridine, tramadol, fluoxitine hydrochloride, ciprofloxacin, gancyclovir, bupropion, lisinopril, and esters of ampicillin. Examples of drugs of low solubility. . .

DETD . . . any polymer that is non-toxic, that swells in a dimensionally

unrestricted manner upon imbibition of water, and that provides for **sustained release** of an incorporated drug. Examples of polymers suitable for use in this invention are cellulose polymers and their derivatives (such. . .

DETD . . . outside of the particle or tablet. This coating is referred to as a "loading dose" and it is included for **immediate release** into the recipient's bloodstream upon ingestion of the formulation without first undergoing the diffusion process that the remainder of the. . .

DETD [0098] This example illustrates the **sustained release** of vancomycin hydrochloride from various polymers. The procedures used were the same as those described above, and the formulations together. . .

L7 ANSWER 19 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2002:317172 USPATFULL

TITLE: Tablet shapes to enhance gastric retention of swellable controlled-release oral dosage forms

INVENTOR(S): Berner, Bret, El Granada, CA, United States
Louie-Helm, Jenny, Union City, CA, United States

PATENT ASSIGNEE(S): DepoMed, Inc., Menlo Park, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6488962	B1	20021203
APPLICATION INFO.:	US 2000-598061		20000620 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Joynes, Robert M.
LEGAL REPRESENTATIVE: Townsend and Townsend and Crew, LLP
NUMBER OF CLAIMS: 27
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 737

SUMM . . . invention is in the general field of pharmaceuticals, and relates in particular to formulations for drugs that benefit from a **prolonged** time of controlled **release** in the stomach and upper gastrointestinal (GI) tract, and from an enhanced opportunity for absorption in the stomach and upper. . .

SUMM Many drugs have their greatest therapeutic effect when released in the stomach, particularly when the **release** is **prolonged** in a continuous, controlled manner. Drugs delivered in this manner have a lower level of side effects and provide their. . . reflux disease, for the eradication of ulcer-causing bacteria in the gastric mucosa, and for the treatment of disorders that require **sustained** antacid action. **Sustained release** in the stomach is also useful for therapeutic agents that the stomach does not readily absorb, since **sustained release** prolongs the contact time of the agent in the stomach or in the upper part of the small intestine, which. . .

SUMM . . . that swell to sizes that will prolong the residence time in the stomach are found in U.S. Pat. No. 5,007,790 ("**Sustained-Release** Oral Drug Dosage Form;" Shell, inventor; Apr. 16, 1991), U.S. Pat. No. 5,582,837 ("Alkyl-Substituted Cellulose-Based **Sustained-Release** Oral Drug Dosage Forms;" Shell, inventor: Dec. 10, 1996): U.S. Pat. No. 5,972,389 ("Gastric-Retentive Oral Drug Dosage Forms for the. . .

DETD . . . they may be included in the dosage form as an ingredient dispersed in the dosage form or in an outer **immediate release** coating. Examples of pharmacological fed-mode inducing agents are disclosed in co-pending U.S. patent application Ser. No.

09/432,881, filed Nov. 2,. . .

DETD . . . contained in the dosage form for controlled release may be any chemical compound, complex or composition that is suitable for **oral** administration and that has a beneficial biological effect, preferably a therapeutic effect in the treatment of a disease or an. . . invention is applicable are metformin hydrochloride, vancomycin hydrochloride, captopril, lisinopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, baclofen, amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, levodopa, doxifluridine, tramadol, fluoxitine hydrochloride, bupropion, potassium chloride, and esters of ampicillin. Examples low solubility drugs to which. . .

DETD . . . surface of the dosage form. This coating is referred to as a "loading dose" and its purpose is to provide **immediate release** into the patient's bloodstream upon ingestion of the dosage form without first requiring the drug to diffuse through the polymer. . .

DETD . . . its stronger forms it can be life-threatening or fatal. Examples of antibiotics that pose this type of threat are amoxicillin, **cefuroxime axetil**, and clindamycin. **Cefuroxime axetil** (i.e., the axetil ester of cefuroxime), for example, becomes active when hydrolyzed to free cefuroxime, and when this occurs prior. . . to the form that can alter the flora. Further examples are clarithromycin, azithromycin, cefiazidime, ciprofloxacin, and cefaclor. Use of the **tablet** shapes of the present invention helps to avoid this antibiotic-induced overgrowth of the lower intestinal flora by helping to restrict. . .

L7 ANSWER 20 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER:	1041972 EUROPATFULL EW 200236 FS PS
TITLE:	TABLET FOR **INSTANT** AND **PROLONGED RELEASE** OF ONE OR MORE ACTIVE SUBSTANCES. TABLETTE MIT INSTANT- UND VERZOeGERTE FREISETZUNG VON EINEN ODER MEHRERE WIRKSTOFFE. COMPRIME A LIBERATION INSTANTANEE ET PROLONGEE D'UN OU DE PLUSIEURS PRINCIPES ACTIFS.
INVENTOR(S):	SASLAWSKI, Olivier, 43, rue de Seze, F-69006 Lyon, FR; ORLANDO, Laurence, 7, rue Frederic Mistral, F-69150 Decines, FR
PATENT ASSIGNEE(S):	MERCK PATENT GmbH, Postfach, Frankfurter Strasse 250, 64271 Darmstadt, DE
PATENT ASSIGNEE NO:	205221
AGENT:	Schuettler, Reinhard, Dr. et al., Merck Patent GmbH, Postfach, 64271 Darmstadt, DE
AGENT NUMBER:	52042
OTHER SOURCE:	BEPB2002063 EP 1041972 B1 0019
SOURCE:	Wila-EPS-2002-H36-T1
DOCUMENT TYPE:	Patent
LANGUAGE:	Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES:	R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R NL; R PT; R SE; R LT; R LV; R RO; R SI
PATENT INFO.PUB.TYPE:	EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PATENT INFORMATION:	

PATENT NO	KIND	DATE
EP 1041972	B1	20020904
'OFFENLEGUNGS' DATE:		20001011
APPLICATION INFO.:	EP 1998-965814	19981211

PRIORITY APPLN. INFO.: FR 1997-16402 19971223
RELATED DOC. INFO.: WO 98-EP8100 981211 INTAKZ
WO 9933448 990708 INTPNR
REFERENCE PAT. INFO.: EP 169821 A FR 2645152 A
US 4359483 A

TIEN TABLET FOR **INSTANT** AND **PROLONGED RELEASE** OF ONE OR MORE ACTIVE SUBSTANCES.

DETDEN The invention relates to solid galenic forms of the controlled release **tablet** type for the **instant** and then **prolonged release** of one or more active substances.

The importance of such galenic forms is undeniable. The **immediate release** of an active substance will ensure its practically instant bioavailability, which is particularly desirable in the case of patients suffering. . .

However, . . . Now, a continuous and regular supply of active ingredient is often necessary for an effective therapy. To this end, numerous **immediate**- and **prolonged-release** systems have been developed.

However, . . . predict in vivo, with precision, the profile for the release of a given substance after administration of the prior art **instant**- and **prolonged-release** systems.

The present invention aims to solve this problem by providing **tablets** which preserve their characteristics for the release of active substances regardless of the conditions of administration in vivo.

The **tablets** of the invention provide an excellent reproducibility of the results, while allowing an increased control of the rates of release during the phase of **prolonged release** of the active ingredient. By using the **tablets** of the invention, it becomes possible to optimize the supply of the active ingredients in the body while taking into. . .

Tablets of the invention are, moreover, advantageous from the point of view of the formulation of the active ingredients since a judicious choice of the excipients leads to **tablets** with high concentrations of active ingredients.

Thus, it is possible to produce **tablets** with very high doses, having an acceptable size for **oral** administration.

More precisely, the invention relates to multilayer **tablets** for the **instant** and then **prolonged release** of active substances comprising at least two superposed layers, characterized in that:

a first outer layer is composed of a mixture of excipients and of a first active substance, the said first layer allowing **immediate release** of the said first active substance;

a second layer, arranged in contact with the said first layer, consists of. . .

In . . . surfaces of the second layer is in contact with the first layer: in the text which follows, this type of **tablet** is designated as "containing parallel layers" and the shape of the **tablet** is unimportant and is in particular ovoidal. It should be understood that in this case, the two layers have one. . .

The **tablets** of the invention are preferably bilayered.

However, the invention also encompasses multilayer **tablets**, as long as they comprise the combination of the first and second layers defined above.

For some active ingredients, problems of stability of the active ingredient included in the **prolonged-release** matrix may exist. In this case, it is advantageous to opt for the preparation of **tablets** containing concentric layers.

One . . . not react with the surrounding medium. The matrix of the second layer retains its physical and chemical integrity throughout the **prolonged release** of the active ingredient, regardless of the pH variations.

The . . . prednisone, prednisolone and methylprednisolone type;
antibiotics of the beta-lactam type, such as penicillins,
of the cephalosporin type, such as **cefuroxime axetil**,
of the beta-lactamase inhibitor type, such as clavulanic acid,
of the aminoglycoside type, such as neomycin,
of the macrolide type,. . .
Numerous **immediate-release** compositions are known in the art and persons skilled in the art may thereby be freely inspired for the production. . .
It . . . known to incorporate into this type of layer a disintegrating agent whose role is to cause the disintegration of the **tablet** in the presence of water or of physiological fluids.
Other additives may be incorporated into the **immediate-release** layer, such as diluents, binders, lubricants, antioxidants, colourings, sweeteners, flavourings and acidulants, wetting agents, hydrophilizing agents such as sorbitol and. . .
It . . . be noted that all the abovementioned additives, with the exception of the disintegrating agents may also be added to the **prolonged-release** layer in similar proportions. The **prolonged-release** layer may, in addition, contain diluents chosen from glyceryl palmitostearate, hydrogenated vegetable oils, polymethacrylates, potassium chloride and sodium chloride. Moreover, binders such as carbomer, ethyl cellulose, hydrogenated vegetable oils, hydroxypropylmethylcellulose, methyl cellulose and polymethacrylates may be incorporated into the **prolonged-release** layer.
However, the essential constituents of the second **prolonged-release** layer are polymeric materials which confer on it its inert and nonbiodegradable character. According to the invention, the polymeric materials. . .
The whole **tablet** may be coated with a gastroresistant or enterosoluble polymeric film, such that the active ingredient is released only in the. . .
The **tablets** of the invention are conventionally prepared by a method including the steps of granulation, followed by compression.
More . . . first active substance, a disintegrating agent and one or more additives suitable for the preparation of a layer for the **immediate release** of the said active substance;

b) preparing a granule of a second active substance from a pulverulent mixture of. . . more nonbiodegradable inert polymeric materials and from one or more additives suitable for the preparation of a layer for the **prolonged release** of the said active substance;

c) combining, by compressing, in a manner known per se, the two types of granule obtained in steps a) and b) above so as to obtain **tablets** in which the first layer, affording **immediate release**, results from the compression of the granule obtained in step a), and, having a second layer arranged in contact with the said first layer, the said second layer resulting from the compression of the **prolonged-release** granule obtained in step b).

The . . . to provide a granule based on the first active substance, which will lead, through compression, to the first layer, called **immediate-release** layer.
The . . . the same active substance or on a different active substance, which will lead, through compression, to the second layer, called **prolonged-release** layer. The constituents of this layer are those of the nonbiodegradable inert polymeric matrix defined above.
Step c) leads to the formation of the **tablet** through successive compression of the granules obtained in the preceding steps a) and b).
The last step (step c)) leads to the formation of the **tablet.**

The combination of the granules is carried out in a conventional manner using the granules obtained in steps a) and. . .
In the case of bilayer **tablets**, containing concentric layers, this step involves (i) compression, in a first compression chamber, of the entire **prolonged-release** granule obtained in step b) for the production of a core **tablet**; (ii) the compression, in a second compression chamber, of a portion, preferably 50% by weight, of the **immediate-release** granule obtained in step a) above; (iii) the introduction and the positioning of the core **tablet** resulting from step (i) above in the said second compression chamber; (iv) the application of a gentle compression with centring of the core in the said second compression chamber; (v) the addition of the remainder of the **immediate-release** granule to the said second granulation chamber; and (vi) the conjoint compression of the **immediate-release** granule on the **tablet** formed in step iv) above.
In the case of bilayer **tablets**, containing parallel layers, step c) comprises: (i) a gentle compression of the entire **prolonged-release** granule in a compression chamber; and then (ii) the addition of the entire **immediate-release** granule to the said compression chamber and its positioning on the **tablet** resulting from step i) above; and (iii) the final compression of the **tablet**.
The respective proportions of the **immediate-release** and **prolonged-release** granules are not critical according to the invention.
The **tablets** of the invention may be administered by the **oral** or vaginal route. They allow the **immediate release** of a first active substance, and then the release of a second active substance, which is optionally identical to the. . .
The multilayer **tablets** of the invention are particularly advantageous since their method of preparation is simple, the excipients constituting them being customary. Furthermore,. . .
In addition, these copolymers confer on the resulting **tablets** excellent formulation capacity (possibility of incorporating high levels of active ingredients) and compression capacity.
The choice of such copolymers offers, in addition, the possibility of film-coating the **tablets** with excipients of the Eudragit type in order to obtain a gastroresistant coating.
On . . . pH variations) and therefore reliability, safety, quality, reproducibility and better tolerance of the effects linked to the administration of the **tablets** of the invention.
a) Preparation and formulation of the **immediate-release** granule
The constituents for the preparation of the **immediate-release** granule, designated as GLI-1 in the text which follows, were used in the following proportions by weight: <table>
b) Preparation and formulation of the **prolonged-release** granule
The constituents for the preparation of the **prolonged-release** granule, designated as GLP-1 in the text which follows, were used in the following proportions by weight: <table>
c) Preparation of **tablets** containing concentric layers and of so-called **tablets** containing parallel layers
The following **tablets** containing parallel layers A to D are obtained using the following steps by means of a compressing machine provided with ovoid dies:

(i) by gentle compression, in a compression chamber, of the entire **prolonged-release** granule of Example 1b); and

(ii) by addition, in the same compression chamber, of the entire **immediate-release** granule of Example 1a) over the **tablet** obtained in step (i); and

(iii) by subsequent compression of the whole consisting of the

immediate-release granule of Example 1a) and of the **tablet** obtained in step (i) above.

The following **tablet** containing concentric layers E was obtained using the following steps:

(a) the compression, in a first compression chamber, of the entire **prolonged-release** granule of Example 1b) for the production of a core **tablet;**

(b) the compression of a fraction of the **immediate-release** granule of Example 1a) in a second compression chamber (about half);

(c) the transfer of the **tablet** resulting from step (a) into the second compression chamber;

(d) the application of a gentle compression with centring of the **tablet** of step (a) in the said second compression chamber;

(e) the addition of the remainder of the **immediate-release** granule of Example 1a) to the second compression chamber, and

(f) the conjoint compression of the **immediate-release** granule of Example 1a) and of the **tablet** resulting from step (d) above.

Table 1 below indicates, for each **tablet,** the respective quantities of granules used. <table>

Dissolution profiles for the **tablets** manufactured according to the procedure of Example 1

The dissolution profiles for the **tablets** manufactured in the preceding example were determined by UV spectrometry.

The **tablet** to be tested is introduced into a reactor previously charged with one litre of osmosed water, at 37°C, and provided. . .

The dissolution profile for a **tablet** tested is obtained by plotting, on a curve, the calculated quantities of active ingredient as a function of the time. . .

The accompanying Figures 1 and 2 show the dissolution profiles plotted in the cases of **tablets** A to E above.

By following the operating protocol described in Example 1, the **tablets** containing parallel layers F to I in the following Table 2 are prepared: <table>

The formulation of the **immediate-release** granules, GLI-2, is given below: <table>

The formulations of the **prolonged-release** granules are given below:

The dissolution curves for **tablets** F to I were plotted using the operating protocol described in Example 2.

CLMEN 1. Multilayer tablet for the **instant** and then **prolonged release** of active substances comprising at least two superposed layers, characterized in that:

a first outer layer is composed of a mixture of excipients and of a first active substance, the said first layer allowing **immediate release** of the said first active substance;

a second layer, arranged in contact with the said first layer, consists of. . .

11. . . . first active substance, a disintegrating agent and one or more additives suitable for the preparation of a layer for the **immediate release** of the said active substance;

b) preparing a granule of a second active substance from a pulverulent mixture of. . . more nonbiodegradable inert polymeric materials and from one or more additives suitable for the preparation of a layer for the **prolonged release** of the said active substance;

c) combining, by compressing, in a manner known per se, the two types of granule obtained in steps a) and b) above so as to obtain tablets in which the first layer, affording **immediate release,** results from the compression of the granule obtained in

step a), and, having a second layer arranged in contact with. . .

L7 ANSWER 21 OF 24 USPATFULL on STN

ACCESSION NUMBER:	2001:144935 USPATFULL
TITLE:	EXTENDING THE DURATION OF DRUG RELEASE WITHIN THE STOMACH DURING THE FED MODE
INVENTOR(S):	SHELL, JOHN W., HILLSBOROUGH, CA, United States LOUIE-HELM, JENNY, UNION CITY, CA, United States MARKEY, MICHELINE, SANTA CRUZ, CA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001018070	A1	20010830
	US 6340475	B2	20020122
APPLICATION INFO.:	US 1999-282233	A1	19990329 (9)

RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1997-870509, filed on 6 Jun 1997, ABANDONED A 371 of International Ser. No. WO 1998-US11302, filed on 5 Jun 1998, UNKNOWN
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	M HENRY HEINES, TOWNSEND TOWNSEND & CREW, TWO EMBARCADERO CENTER, 8TH FLOOR, SAN FRANCISCO, CA, 941113834
NUMBER OF CLAIMS:	44
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	9 Drawing Page(s)
LINE COUNT:	1530

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . invention is in the general field of pharmacology, and relates in particular to formulations for drugs that benefit from a **prolonged** time of controlled **release** in the stomach and upper gastrointestinal (GI) tract, and from an enhanced opportunity of absorption in the stomach and upper. . .

DETD [0038] The term "drug" is used herein to denote any chemical compound, complex or composition that is suitable for **oral** administration and that has a beneficial biological effect, preferably a therapeutic effect in the treatment of a disease or abnormal. . . which this invention is applicable are metformin hydrochloride, vancomycin hydrochloride, captopril, erythromycin lactobionate, ranitidine hydrochloride, sertraline hydrochloride, ticlopidine hydrochloride, amoxicillin, **cefuroxime axetil**, cefaclor, clindamycin, doxifluridine, tramadol, fluoxitine hydrochloride, ciprofloxacin, gancyclovir, bupropion, lisinopril, and esters of ampicillin. Examples of drugs of low solubility. . .

DETD . . . any polymer that is non-toxic, that swells in a dimensionally unrestricted manner upon imbibition of water, and that provides for **sustained release** of an incorporated drug. Examples of polymers suitable for use in this invention are cellulose polymers and their derivatives (such. . .

DETD . . . outside of the particle or tablet. This coating is referred to as a "loading dose" and it is included for **immediate release** into the recipient's bloodstream upon ingestion of the formulation without first undergoing the diffusion process that the remainder of the. . .

DETD [0106] This example illustrates the **sustained release** of vancomycin hydrochloride from various polymers. The procedures used were the same as those described above, and the formulations together. . .

L7 ANSWER 22 OF 24 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 383503 EUROPATFULL EW 199034 FS OS STA B
TITLE: Delivery device for orally administered therapeutic agents.
Vorrichtung zum oralen Verabreichen eines Medikamentes.
Dispositif pour administrer des medicaments par voie orale.
INVENTOR(S): Benefiel, Robert Lee, 1663 S. 150 W., Greenfield, Indiana 46140, US;
Clarke, John William, 4560 North Park Avenue, Indianapolis, Indiana 46205, US;
Harris, Dale Carvin, R.R. 2, Box 633, Fairland, Indiana 46126, US;
Morff, Robert John, 5329 Hawkes Point Road, Indianapolis, Indiana 46226, US;
Oren, Peter Lloyd, 33 Appletree Circle, Fishers, Indiana 46038, US
PATENT ASSIGNEE(S): ELI LILLY AND COMPANY, Lilly Corporate Center, Indianapolis Indiana 46285, US
PATENT ASSIGNEE NO: 204942
AGENT: Tapping, Kenneth George et al, Erl Wood Manor, Windlesham Surrey, GU20 6PH, GB
AGENT NUMBER: 52302
OTHER SOURCE: ESP1990039 EP 0383503 A1 900822
SOURCE: Wila-EPZ-1990-H34-T2
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R SE
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 383503	A1	19900822
'OFFENLEGUNGS' DATE:		19900822
APPLICATION INFO.: EP 1990-301427		19900209
PRIORITY APPLN. INFO.: US 1989-312636		19890217

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 383503 EUROPATFULL EW 199330 FS PS STA B
TITLE: Delivery device for orally administered therapeutic agents.
Vorrichtung zum oralen Verabreichen eines Medikamentes.
Dispositif pour administrer des medicaments par voie orale.
INVENTOR(S): Benefiel, Robert Lee, 1663 S. 150 W., Greenfield, Indiana 46140, US;
Clarke, John William, 4560 North Park Avenue, Indianapolis, Indiana 46205, US;
Harris, Dale Carvin, R.R. 2, Box 633, Fairland, Indiana 46126, US;
Morff, Robert John, 5329 Hawkes Point Road, Indianapolis, Indiana 46226, US;
Oren, Peter Lloyd, 33 Appletree Circle, Fishers, Indiana 46038, US
PATENT ASSIGNEE(S): ELI LILLY AND COMPANY, Lilly Corporate Center, Indianapolis Indiana 46285, US
PATENT ASSIGNEE NO: 204942
AGENT: Tapping, Kenneth George et al, Erl Wood Manor, Windlesham Surrey, GU20 6PH, GB
AGENT NUMBER: 52302
OTHER SOURCE: EPB1993035 EP 0383503 B1 930728
SOURCE: Wila-EPS-1993-H30-T2

DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 383503	B1	19930728
'OFFENLEGUNGS' DATE:		19900822
APPLICATION INFO.: EP 1990-301427		19900209
PRIORITY APPLN. INFO.: US 1989-312636		19890217
REFERENCE PAT. INFO.: EP 111188 A		US 2436505 A
US 4581013 A		US 4792333 A

DETDEN This invention relates to an improved delivery device and method for **oral** administration of therapeutic agents. More particularly, this invention is directed to a device which enables **oral** administration of pharmaceuticals with minimal sensed contact with the **oral** cavity. The present device further provides a convenient packaged unit dosage form for use at home or hospital. It yields particular advantage for administration of **oral** therapeutics to both pediatric and geriatric patients.

This invention relates to an improved delivery device and method for **oral** administration of therapeutic agents. More particularly, this invention is directed to a device which enables **oral** administration of pharmaceuticals with minimal sensed contact with the **oral** cavity. The present device further provides a convenient packaged unit dosage form for use at home or hospital. It yields particular advantage for administration of **oral** therapeutics to both pediatric and geriatric patients.

Many commercially significant therapeutic agents are effective by the **oral** route of administration. Generally speaking, orally administered **tablets** and **capsules** are the most convenient, and most patient favored dosage forms. Nonetheless, there are many patients either unable or simply unwilling. . . Thus, there are many patients, including particularly pediatric and geriatric patients, that find it difficult to ingest the typical solid **oral** dosage forms of therapeutic agents such as compressed **tablets** or **capsules**.

Many commercially significant therapeutic agents are effective by the **oral** route of administration. Generally speaking, orally administered **tablets** and **capsules** are the most convenient, and most patient favored dosage forms. Nonetheless, there are many patients either unable or simply unwilling. . . Thus, there are many patients, including particularly pediatric and geriatric patients, that find it difficult to ingest the typical solid **oral** dosage forms of therapeutic agents such as compressed **tablets** or **capsules**.

Accordingly, there has been a significant research and development effort directed to the identification of alternate acceptable **oral** dosage formulations. Thus, for example, flavored solutions/suspensions of some therapeutic agents have been developed to facilitate the **oral** administration of such agents to patients normally having difficulty ingesting conventional solid **oral** dosage forms. While liquid formulations are more easily administered to the problem patient, liquid/suspension formulations are not without their own significant problems and restrictions. Firstly, the dose amount is not so easily controlled as with **tablet** and **capsule** forms. Secondly, many therapeutic agents are simply not sufficiently stable in solution/suspension form. Indeed, most suspension type formulations are typically. . . life even under refrigerated conditions. Another problem with liquid formulations which is not so much a factor for conventional solid

oral dosage forms such as **tablets** and **capsules** is the taste of the active agent. The taste of some therapeutic agents is so unacceptable that liquid formulations are. . .

Accordingly, there has been a significant research and development effort directed to the identification of alternate acceptable **oral** dosage formulations. Thus, for example, flavored solutions/suspensions of some therapeutic agents have been developed to facilitate the **oral** administration of such agents to patients normally having difficulty ingesting conventional solid **oral** dosage forms. While liquid formulations are more easily administered to the problem patient, liquid/suspension formulations are not without their own significant problems and restrictions. Firstly, the dose amount is not so easily controlled as with **tablet** and **capsule** forms. Secondly, many therapeutic agents are simply not sufficiently stable in solution/suspension form. Indeed, most suspension type formulations are typically. . . life even under refrigerated conditions. Another problem with liquid formulations which is not so much a factor for conventional solid **oral** dosage forms such as **tablets** and **capsules** is the taste of the active agent. The taste of some therapeutic agents is so unacceptable that liquid formulations are. . .

Particulate or pelletized forms of therapeutic agents, optionally having functional coatings, have been available either for filling **capsules** or in packets from which a patient can sprinkle the particulate/pelletized dose onto soft food. While use of such particulate dosage forms as a "sprinkle" composition for use on food does facilitate **oral** administration, that dosage methodology is also not without its limitations. The food itself can interact with the functional coatings typically. . .

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In addition to the above referenced efforts to develop alternate dosage forms as a means for facilitating **oral** administration of therapeutic agents, the patent literature evidences efforts to develop devices intended to facilitate the **oral** administration of conventional solid **oral** dosage forms (**tablets** and **capsules**).

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DuRall U.S. Patent No. 2,436,505 describes a generally tubular straw-like device having an expanded mouthpiece for retaining the solid medication for **oral** administration. The device is utilized by inhaling a liquid through the tubular member similar to the normal use of a. . .

US-A-2,436,505 describes a generally tubular straw-like device having an expanded mouthpiece for retaining the solid medication for **oral** administration. The device is utilized by inhaling a liquid through the tubular member similar to the normal use of a. . . Sullivan . . . the mouth for ingesting the liquid therein while a solid medication is inserted into the spout for flow into the **oral** cavity along with the stream of liquid.

US-A-121,684 . . . the mouth for ingesting the liquid therein while a solid medication is inserted into the spout for flow into the

oral cavity along with the stream of liquid.
Allen U.S. Patent No. 4,581,013 describes and claims a dosing device for facilitating the **oral** administration of solid medicines, particularly **tablets** and **capsules.**
US-A- 4,581,013 describes and claims a dosing device for facilitating the **oral** administration of solid medicines, particularly **tablets** and **capsules.**
Notwithstanding the progress that has been made in the development of new **oral** dosage forms and devices to facilitate administration of old dosage forms, there is still much room for improvement in this. . .
US-A-4792333 describes a unit dose drug package and administering device which consists of a tubular assembly in which a drug **capsule** is confined to one end and directly administered from it. A **capsule** is contained in the package and is positioned between one end and an inwardly extending constriction to confine it to. . .
Accordingly, it is an object of this invention to provide an improved device for the **oral** administration of a dose of a therapeutic agent.
Notwithstanding the progress that has been made in the development of new **oral** dosage forms and devices to facilitate administration of old dosage forms, there is still much room for improvement in this. . .
It . . . predetermined dose of a therapeutic agent in particulate dosage form with minimal sensed contact of the therapeutic agent with the **oral** cavity and with minimal disruption of functional coatings, if any, on said particulate dosage form.
Accordingly, it is an object of this invention to provide an improved device for the **oral** administration of a dose of a therapeutic agent.
It is still another object of this invention to provide an **oral** active therapeutic agent in a unit dosage form, packaged in a tube configured to facilitate the **oral** administrative of the contained therapeutic agent.
It . . . predetermined dose of a therapeutic agent in particulate dosage form with minimal sensed contact of the therapeutic agent with the **oral** cavity and with minimal disruption of functional coatings, if any, on said particulate dosage form.
It is still another object of this invention to provide an **oral** active therapeutic agent in a unit dosage form, packaged in a tube configured to facilitate the **oral** administrative of the contained therapeutic agent.
Alternatively, . . . a local gravitational potential minimum relative to adjacent axial portions of the tube when the tube is in position for **oral** administration of the contained dose.
Alternatively, . . . a local gravitational potential minimum relative to adjacent axial portions of the tube when the tube is in position for **oral** administration of the contained dose.
Use . . . the carrier liquid into the alimentary canal of the patient with minimal sensed contact of the therapeutic agent with the **oral** cavity.

Fig. 1 is a perspective view of a device in accordance with this invention in a liquid reservoir.. . .
Use . . . the carrier liquid into the alimentary canal of the patient with minimal sensed contact of the therapeutic agent with the **oral** cavity.
This invention is directed to a device designed to facilitate the **oral** administration of multiparticulate dosage forms of therapeutic agents. Use of the device enables the **oral** administration of a particulate dosage form with minimal pre-administration contact time with coating disrupting agents (such as food) and with minimal sensed contact of the dosage form with the

oral cavity. Such minimizes the possibility of disruption of the functional coatings often used on multiparticulate dosage forms. The device is. . . (derived from reduced particle size), carried in a rapidly flowing narrow stream of liquid directed at the back of the **oral** cavity, by the normal sipping action of a patient.
A unit dose of a therapeutic agent is retained and positioned for **oral** administration in a tubular structure having a liquid inlet end and a liquid outlet end. The means for retaining the. . .
The device of the present invention is designed to facilitate the **oral** administration of a dose of a therapeutic agent in a flow of liquid drawn by a patient through a tube. . . provides an effective means for supporting a dose of a therapeutic agent in a free-flowing form in a tube for **oral** administration while providing minimal resistance to fluid flow through the tube under the influence of a patient's normal sipping action.. . . cross-sectional area, or (3) by forming the tube to have a longitudinal conformation such that the tube, when positioned for **oral** administration of the contained therapeutic agent, has at least one axially discrete portion between its inlet and outlet ends having. . .
In . . . is desirable to minimize particle size of the therapeutic agent to reduce probability of sensed contact of same with the **oral** cavity, the grid size necessary to support such a particulate dosage form often does not allow satisfactory fluid flow rates through the tube to carry the therapeutic agent through the tube outlet and through the **oral** cavity of the patient with the desired minimal sensed perception of the therapeutic agent being administered. A small mesh grid. . . reduces the capacity of the fluid to carry the particulate dose form cleanly through the tube outlet and into the **oral** cavity of the patient; the velocity of the fluid flow is such that it is inadequate to carry or wash the retained particulate dose in the desired bolus-like fashion through the **oral** cavity and into the throat. With reduced flow rates in the tube the particles tend to become mixed and suspended. . .
The . . . the tube which forms a localized gravitational potential minimum for containing the therapeutic agent when the tube is positioned for **oral** administration of the contained therapeutic agent. The conformed-tube embodiment of this invention can be utilized to contain and administer liquid/suspension. . .
The . . . the mouth and into the throat of the patient. In a free-flowing solid form as opposed to a conventional solid **oral** dosage form, the dose is less likely to exert detectable (sensed) contact with the **oral** cavity.
To . . . through the tube to carry the therapeutic agent into the patient's alimentary canal with minimal sensed contact with the patient's **oral** cavity.
One . . . moving up tube 12 into the patient's alimentary canal with minimal sensed contact of the therapeutic agent with the patient's **oral** cavity.
This invention is directed to a device designed to facilitate the **oral** administration of multiparticulate dosage forms of therapeutic agents. Use of the device enables the **oral** administration of a particulate dosage form with minimal pre-administration contact time with coating disrupting agents (such as food) and with minimal sensed contact of the dosage form with the **oral** cavity. Such minimizes the possibility of disruption of the functional coatings often used on multiparticulate dosage forms. The device is. . . (derived from reduced particle size), carried in a rapidly flowing narrow stream of liquid directed at the back of the **oral** cavity, by the normal sipping action of a patient.
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oral administration of a dose of a therapeutic agent in a flow of liquid drawn by a patient through a tube. . . provides an effective means for supporting a dose of a therapeutic agent in a free-flowing form in a tube for **oral** administration while providing minimal resistance to fluid flow through the tube under the influence of a patient's normal sipping action.. . . cross-sectional area, or (3) by forming the tube to have a longitudinal conformation such that the tube, when positioned for **oral** administration of the contained therapeutic agent, has at least one axially discrete portion between its inlet and outlet ends having. . .

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The . . . the tube which forms a localized gravitational potential minimum for containing the therapeutic agent when the tube is positioned for **oral** administration of the contained therapeutic agent. The conformed-tube embodiment of this invention can be utilized to contain and administer liquid/suspension. . .

Alternate embodiments of this invention are illustrated in Figs. 6 and 7. Prepackaged unit dose 210 contains a therapeutic agent for **oral** administration. A unit dose of therapeutic agent 20 is contained in curved tube 212 having liquid inlet end 214 and. . . liquid outlet end 216. Tube 212 has a longitudinal conformation such that when tube 212 is positioned (as shown) for **oral** administration of therapeutic agent 20 there exists an axially discrete portion 32 between inlet end 214 and outlet end 216. . . of sufficient volume to contain and retain the unit dose of therapeutic agent 20 when tube 212 is positioned for **oral** administration of therapeutic agent 20.

The . . . the mouth and into the throat of the patient. In a free-flowing solid form as opposed to a conventional solid **oral** dosage form, the dose is less likely to exert detectable (sensed) contact with the **oral** cavity.

With . . . each provided with removable means for retaining therapeutic agent 20 in tube 212 when the tube is not positioned for **oral** administration of the contained therapeutic agent. The unit dose 210 of Fig. 6 is provided with removable caps 36 for. . . Fig. . . . diameter of tube 112 (Fig. 9) upon insertion of sleeve 226 into inlet end 114 of tube 112. A sealed **oral** dosage form 310 (Fig. 11) of a therapeutic agent 20 in free flowing particulate form can thus be prepared by. . . having breakaway closure cap 136, filling inverted tube 112 with an amount of said therapeutic agent corresponding to a unit **oral** dose, and finally inserting the grid-bearing end of sleeve 226 (Fig. 10) into inlet end 114 of tube 112 (Fig.. . . 10) engages with an interference fit with annular channel 113 at inlet end 114 of tube 112. To use sealed **oral** dosage form 310 a patient removes closure cap 236 and thereafter, with outlet end 116 up, he removes upper closure. . . 136. The contained dose of therapeutic agent 20 is carried through the patient's mouth with minimal sensed contact with the **oral** cavity as the patient places outlet end 116 of the device in his mouth and sips a liquid through elongatged. . .

To . . . through the tube to carry the therapeutic agent into the patient's alimentary canal with minimal sensed contact with the patient's **oral** cavity.

The . . . both as sealed containers for storage and shipping of unit doses of therapeutic agents and also as devices for facilitating **oral** administration of the contained therapeutic agents. Thus, a device of this invention can be manufactured and shipped as a sealed. . .

One . . . moving up tube 12 into the patient's alimentary canal with minimal sensed contact of the therapeutic agent with the patient's **oral** cavity.

To . . . local gravitational minimum of the tube or (2) supported on the grid when the tube is in a position for **oral** administration of the contained therapeutic agent. The outlet and inlet ends of the tube are then opened and the inlet. . . straw positioned in a container of consumable liquid. The dose of therapeutic agent is administered with minimal sensed contact with **oral** cavity by the patient placing the outlet end of the tube in his mouth and sipping the consumable liquid through. . .

The . . . prepared by methods known in the art such as that disclosed in U.S. Patent 4,587,118, which describes the preparation of **sustained release** theophylline pellets. Drug-coated pellets are prepared by coating sucrose-starch non-pareils with an active therapeutic agent. If a small concentration of. . .

The . . . possess a very uniform particle size distribution and smooth pellet surface. These pellets are excellent candidates for coating to provide **sustained release**, gastric protection or taste masking.

Numerous coatings for the purpose of providing **sustained release** of an active agent are also known. These include, but are not limited to, acrylic resins, ethylcellulose, ethylcellulose in combination. . .

Fig. . . . diameter of tube 112 (Fig. 6) upon insertion of sleeve 226 into inlet end 114 of tube 112. A sealed **oral** dosage form 310 (Fig. 8) of a therapeutic agent 20 in free flowing particulate form can thus be prepared by. . . having breakaway closure cap 136, filling inverted tube 112 with an amount of said therapeutic agent corresponding to a unit **oral** dose, and finally inserting the grid-bearing end of sleeve 226 (Fig. 7) into inlet end 114 of tube 112 (Fig.. . . 7) engages with an interference fit with annular channel 113 at inlet end 114 of tube 112. To use sealed **oral** dosage form 310 a patient removes closure cap 236 and thereafter, with outlet end 116 up, he removes upper closure. . . 136. The contained dose of therapeutic agent 20 is carried through the patient's mouth with minimal sensed contact with the **oral** cavity as the patient places outlet end 116 of the device in his mouth and sips a liquid through elongatged. . .

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Marume . . . to provide extended release, gastric resistance or taste masking. An example of the use of this technology to prepare both **immediate release** and **sustained**

release marumes is presented in U.S. Patent No. 4,137,626 (Dempski et al.), which describes the preparation of a **sustained release** indomethacin formulation.

Wet . . . of therapeutic agent would be most appropriate only for those drugs that do not require a coating for taste masking, **sustained release** or gastric protection. Wet and dry granulation techniques are well-known in the art.

The active agent may be any compound which is suitable for **oral** administration. For children, it would be especially appropriate for antibiotics such as loracarbef, cefaclor, cephalexin, amoxicillin, ampicillin, penicillin V, cefadroxil, **cefuroxime axetil**, erythromycin, dirithromycin, sulfamethoxazole/.shy. trimethoprim, analgesic agents such as aspirin, ibuprofen and acetaminophen, or bronchodilators such as theophylline and albuterol.

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The . . . possess a very uniform particle size distribution and smooth pellet surface. These pellets are excellent candidates for coating to provide **sustained release**, gastric protection or taste masking.

One specific example in accordance with the present invention is the administration of a pelletized formulation of **cefuroxime axetil** coated to mask the notorious bitter taste of that compound upon **oral** administration. **Cefuroxime axetil** is formulated by an extrusion/marumerization process to form uniform pellets having an average size of about 400 to 1200 microns.. . . formulation is coated with the taste-masking agent Eudragit E. A 250 mg unit dose of the resulting pelletized formulation of **cefuroxime axetil** was supported in a delivery device with an angled screen substantially as shown in Figs. 1-3. The ends of the. . .

Numerous coatings for the purpose of providing **sustained release** of an active agent are also known. These include, but are not limited to, acrylic resins, ethylcellulose, ethylcellulose in combination. . .

Prior . . . water into his mouth through the tube using a suction as associated with a normal sipping action. The dose of **cefuroxime axetil** is rapidly swept by the flow of water into the throat of the patient with minimal sensed contact with the **oral** cavity.

While providing particular advantage for **oral** administration of therapeutic agents to both pediatric and geriatric patients, it is expected that the methods and devices contemplated in. . . with this invention will find wide acceptance by a broad spectrum of patients who have experienced difficulty in swallowing traditional **oral** dosages in the forms of **tablets** and **capsules.**

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ampicillin, penicillin V, cefadroxil, **cefuroxime axetil**, erythromycin, dirithromycin, sulfamethoxazole/trimethoprim, analgesic agents such as aspirin, ibuprofen and acetaminophen, or bronchodilators such as theophylline and albuterol.

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L7 ANSWER 23 OF 24 USPATFULL on STN

ACCESSION NUMBER:	92:53298 USPATFULL		
TITLE:	Bioavailability enhancers		
INVENTOR(S):	McMurray, William H., Firestone, CO, United States		
PATENT ASSIGNEE(S):	The University of Colorado Foundation, Inc., Boulder, CO, United States (U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5126348		19920630
APPLICATION INFO.:	US 1989-412795		19890926 (7)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Friedman, S. J.		
LEGAL REPRESENTATIVE:	Greenlee and Winner		
NUMBER OF CLAIMS:	2		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	594		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . state of the drug, U.S. Pat. Nos. 4,088,750 and 4,002,718 report increasing bioavailability of digoxin by administering the drug in **capsule** form. U.S. Pat. No. 4,639,370 reports incorporating a biologically active substance into a water-swellable, water-insoluble polymer. U.S. Pat. Nos. 4,444,769,. . . report special granular formulations of active ingredients, particularly triamterene and hydrochlorothiazide. U.S. Pat. No. 4,562,181 reports an amorphous form of **cefuroxime axetil** with improved bioavailability.

U.S. Pat. Nos. 4,725,429, 4,727,088 and 4,738,956 report a "stick" formulation of benzoyl peroxide for topical application.. . .

SUMM . . . which contains 0.125 mg digoxin, 400 mg pentifylline and 100 mg of nicotinic acid. This formulation is provided in a **sustained -release** tablet containing the nicotinic acid and pentifylline in the core, with the digoxin coated onto the tablet core. Nicotinic

acid. . .

DETD . . . other oral dosage forms known to the art may be used provided such dosage forms are designed for rapid or **immediate release**, e.g., by rapid disintegration, and absorption into the bloodstream rather than being designed for **sustained release**. In a preferred embodiment, the pharmaceutically active compound is present in the core of a tablet, surrounded by a layer. . .

DETD In some circumstances it may be desirable to achieve rapid effective blood levels of drugs usually administered in **sustained release** form, such as blood pressure drugs or decongestant/antihistamine combinations, however, compositions containing such drugs comprise less preferred embodiments of this. . .

DETD Three 100 mg. tablets of Slophyllin (Trademark of A. H. Rorer Company, Ft. Washington, PA, a theophylline preparation designed for **immediate release**, from a new bottle were mixed with one 100 mg. niacin tablet from a new bottle in a mortar and. . .

L7 ANSWER 24 OF 24 USPATFULL on STN

ACCESSION NUMBER:	91:765 USPATFULL
TITLE:	Delivery device for orally administered therapeutic agents
INVENTOR(S):	Benefiel, Robert L., Greenfield, IN, United States Clarke, John W., Indianapolis, IN, United States Harris, Dale C., Fairland, IN, United States Morff, Robert J., Indianapolis, IN, United States Oren, Peter L., Fishers, IN, United States
PATENT ASSIGNEE(S):	Eli Lilly and Company, Indianapolis, IN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4981468		19910101
APPLICATION INFO.:	US 1990-464481		19900112 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1989-312636, filed on 17 Feb 1989		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Yasko, John D.		
LEGAL REPRESENTATIVE:	Harrison, Nancy J., Lammert, Steven R., Whitaker, Leroy		
NUMBER OF CLAIMS:	21		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	11 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	712		

DETD . . . by methods known in the art such as that disclosed in U.S. Pat. No. 4,587,118, which describes the preparation of **sustained release** theophylline pellets. Drug-coated pellets are prepared by coating sucrose-starch non-pareils with an active therapeutic agent. If a small concentration of. . .

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DETD . . . water into his mouth through the tube using a suction as associated with a normal sipping action. The dose of **cefuroxime axetil** is rapidly swept by the flow of water into the throat of the patient with minimal sensed contact with the **oral** cavity.

=> d his full

(FILE 'HOME' ENTERED AT 12:10:43 ON 26 APR 2004)

FILE 'STNGUIDE' ENTERED AT 12:11:48 ON 26 APR 2004
SET LINE 250
SET DETAIL OFF

FILE 'HOME' ENTERED AT 12:11:52 ON 26 APR 2004
SET LINE LOGIN
SET DETAIL LOGIN

FILE 'REGISTRY' ENTERED AT 12:12:00 ON 26 APR 2004
E CEFUROXIME AXETIL/CN
L1 1 SEA ABB=ON PLU=ON "CEFUROXIME AXETIL"/CN
D L1

FILE 'JAPIO, CAPLUS, USPATFULL, EUROPATFULL, MEDLINE, EMBASE, BIOSIS'
ENTERED AT 12:12:41 ON 26 APR 2004
L2 3000 SEA ABB=ON PLU=ON L1
L3 3461 SEA ABB=ON PLU=ON CEFUROXIME AXETIL
L4 842 SEA ABB=ON PLU=ON L3 (P) (TABLET OR CAPSULE OR ORAL)
L5 29 SEA ABB=ON PLU=ON L4 AND (IMMEDIATE OR QUICK OR FAST OR INSTANT) (3A) RELEASE
L6 26 SEA ABB=ON PLU=ON L5 AND (DELAYED OR SUSTAINED OR PROLONGED) (3A) RELEASE
L7 24 DUP REM L6 (2 DUPLICATES REMOVED)
D L7 IBIB KWIC 1-

FILE HOME

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 23, 2004 (20040423/UP).

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9
DICTIONARY FILE UPDATES: 23 APR 2004 HIGHEST RN 676578-75-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE JAPIO
FILE LAST UPDATED: 8 APR 2004 <20040408/UP>
FILE COVERS APR 1973 TO DECEMBER 05, 2003

<<< GRAPHIC IMAGES AVAILABLE >>>

FILE CAPLUS

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FILE COVERS 1907 - 26 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 25 Apr 2004 (20040425/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Apr 2004 (20040422/PD)
FILE LAST UPDATED: 22 Apr 2004 (20040422/ED)
HIGHEST GRANTED PATENT NUMBER: US6725463
HIGHEST APPLICATION PUBLICATION NUMBER: US2004078858
CA INDEXING IS CURRENT THROUGH 22 Apr 2004 (20040422/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Apr 2004 (20040422/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2004

>>> USPAT2 is now available. USPATFULL contains full text of the original, i.e., the earliest published granted patents or applications. USPAT2 contains full text of the latest US publications, starting in 2001, for the inventions covered in USPATFULL. A USPATFULL record contains not only the original published document but also a list of any subsequent publications. The publication number, patent kind code, and publication date for all the US publications for an invention <<<

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      >>>  records and may be searched in standard search fields, e.g., /PN, <<<
      >>>  /PK, etc.                                                         <<<

      >>>  USPATFULL and USPAT2 can be accessed and searched together        <<<
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      >>>                                                                   <<<
      >>>  Use USPATALL when searching terms such as patent assignees,      <<<
      >>>  classifications, or claims, that may potentially change from     <<<
      >>>  the earliest to the latest publication.                          <<<

      This file contains CAS Registry Numbers for easy and accurate
      substance identification.

      FILE EUROPATFULL
      FILE LAST UPDATED:      15 APR 2004          <20040415/UP>
      MOST RECENT EPO WEEK:       200416            <200416/EW>
      FILE COVERS 1987 TO DATE

      >>>>>The LIMIT feature has been removed <<<<<


      FILE MEDLINE
       FILE LAST UPDATED: 24 APR 2004 (20040424/UP).  FILE COVERS 1951 TO DATE.

       On February 29, 2004, the 2004 MeSH terms were loaded.  See HELP RLOAD
       for details. OLDMEDLINE now back to 1951.

       MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the
       MeSH 2004 vocabulary.  See http://www.nlm.nih.gov/mesh/ and
       http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a
       description of changes.

       This file contains CAS Registry Numbers for easy and accurate
       substance identification.

      FILE EMBASE
       FILE COVERS 1974 TO 22 Apr 2004 (20040422/ED)

       EMBASE has been reloaded. Enter HELP RLOAD for details.

       This file contains CAS Registry Numbers for easy and accurate
       substance identification.

      FILE BIOSIS
      FILE COVERS 1969 TO DATE.
      CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
      FROM JANUARY 1969 TO DATE.

      RECORDS LAST ADDED: 21 April 2004 (20040421/ED)

      FILE RELOADED: 19 October 2003.

=> s (immediate or fast or quick or instant) (p) (delayed or sustained or
prolonged) (10a) release (p) (oral or tablet or capsule)
L8         4999 (IMMEDIATE OR FAST OR QUICK OR INSTANT) (P) (DELAYED OR SUSTAINE
                D OR PROLONGED) (10A) RELEASE (P) (ORAL OR TABLET OR CAPSULE)

=> s l8 and (outer or external) (5a) (coat or coating or coated) (p) (eudragit l 30
or eudragit s 30)
   5 FILES SEARCHED...
L9             6 L8 AND (OUTER OR EXTERNAL) (5A) (COAT OR COATING OR COATED)
```

(P) (EUDRAGIT L 30 OR EUDRAGIT S 30)

```
=> s (l8 or l9) (p) (coat or coating or coated) (p) eudragit (5a) (rl 30 or rs 30)
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L51) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L52) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L53) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L54) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L55) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'L56) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
<------User Break------>

FIELD CODE - 'AND' OPERATOR ASSUMED 'L57) (P) '
PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH
FIELD CODE - 'AND' OPERATOR ASSUMED 'COATED) (P) EUDRAGIT'
SEARCH ENDED BY USER
L10          52 (L8 OR L9) (P) (COAT OR COATING OR COATED) (P) EUDRAGIT (5A)
                (RL 30 OR RS 30)

<------User Break------>

=> s (l8 or l9) and (coat or coating or coated) (p) eudragit (5a) (rl 30 or rs 30)
L11          47 (L8 OR L9) AND (COAT OR COATING OR COATED) (P) EUDRAGIT (5A)
                (RL 30 OR RS 30)

=> dup
ENTER REMOVE, IDENTIFY, ONLY, OR (?):rem
ENTER L# LIST OR (END):l11
PROCESSING COMPLETED FOR L11
L12           46 DUP REM L11 (1 DUPLICATE REMOVED)

=> d l12 ibib 1-
YOU HAVE REQUESTED DATA FROM 46 ANSWERS - CONTINUE? Y/(N):y


L12  ANSWER 1 OF 46  USPATFULL on STN
ACCESSION NUMBER:        2004:4305  USPATFULL
TITLE:                   Controlled/modified release oral methylphenidate
                         formulations
INVENTOR(S):             Goldenheim, Paul D., Wilton, CT, United States
                         Sackler, Richard S., Greenwich, CT, United States
                         Krishnamurthy, Thinnayam N., Ontario, CANADA
                         Darke, Andrew, Ontario, CANADA
                         Oshlack, Benjamin, New York, NY, United States
PATENT ASSIGNEE(S):      Euro-Celtique, S.A., Luxembourg, LUXEMBOURG (non-U.S.
                         corporation)
```

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6673367	B1	20040106
APPLICATION INFO.:	US 1999-465158		19991216 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-112667P	19981217 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Tran, S.
LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 11 Drawing Figure(s); 11 Drawing Page(s)
LINE COUNT: 2286
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 2 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2003:318303 USPATFULL
TITLE: Combination immediate release sustained release levodopa/carbidopa dosage forms
INVENTOR(S): Han, Chien-Hsuan, Sunnyvale, CA, UNITED STATES
Hsu, Larry, Santa Clara, CA, UNITED STATES
Ting, Richard, Newark, CA, UNITED STATES
Hsiao, Charles, Pleasanton, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003224045	A1	20031204
APPLICATION INFO.:	US 2002-158412	A1	20020529 (10)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Kendrew Colton, Registered Patent Attorney, Fitch, Even, Tabin and Flannery, 1801 K Street, NW., Suite 401L, Washington, DC, 20006-1201
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 9 Drawing Page(s)
LINE COUNT: 809
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 3 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2003:257311 USPATFULL
TITLE: Orally administrable opioid formulations having extended duration of effect
INVENTOR(S): Oshlack, Benjamin, New York, NY, UNITED STATES
Chasin, Mark, Manalapan, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003180361	A1	20030925
APPLICATION INFO.:	US 2003-392586	A1	20030320 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-891882, filed on 26 Jun 2001, GRANTED, Pat. No. US 6572885 Continuation of Ser. No. US 1999-390719, filed on 7 Sep 1999, GRANTED, Pat. No. US 6294195 Continuation of Ser. No. US 1995-508246, filed on 27 Jul 1995, GRANTED, Pat. No. US 5968551 Continuation of Ser. No. US 1993-133503, filed on 7 Oct 1993, ABANDONED Continuation-in-part of Ser. No. US 1993-81618, filed on 23 Jun 1993, GRANTED, Pat.

No. US 5472712 Continuation-in-part of Ser. No. US 1993-86248, filed on 1 Jul 1993, ABANDONED
Continuation-in-part of Ser. No. US 1991-814111, filed on 24 Dec 1991, GRANTED, Pat. No. US 5273760
Continuation-in-part of Ser. No. US 1992-826084, filed on 27 Jan 1992, GRANTED, Pat. No. US 5286493

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 14th Floor, 485 Seventh Avenue, New York, NY, 10018
NUMBER OF CLAIMS: 52
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 1251
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 4 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2003:100152 USPATFULL
TITLE: Pharmaceutical combinations of oxycodone and naloxone
INVENTOR(S): Breder, Christopher D., Greenwich, CT, UNITED STATES
Colucci, Robert D., Newtown, CT, UNITED STATES
Howard, Stephen A., Danbury, CT, UNITED STATES
Oshlack, Benjamin, New York, NY, UNITED STATES
Wright, Curtis, Norwalk, CT, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003069263	A1	20030410	
APPLICATION INFO.:	US 2002-199972	A1	20020718	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-306301P	20010718	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, 14TH FLOOR, NEW YORK, NY, 10018
NUMBER OF CLAIMS: 41
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Page(s)
LINE COUNT: 2328
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 5 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2003:78113 USPATFULL
TITLE: Controlled release formulations having rapid onset and rapid decline of effective plasma drug concentrations
INVENTOR(S): Krishnamurthy, Thinnayam N., Ontario, CANADA
Darke, Andrew, Ontario, CANADA

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003054033	A1	20030320	
APPLICATION INFO.:	US 2002-156622	A1	20020528	(10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-465159, filed on 16 Dec 1999, GRANTED, Pat. No. US 6419960

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1998-112617P	19981217	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE,

14TH FLOOR, NEW YORK, NY, 10018
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Page(s)
LINE COUNT: 1995
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 6 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2003:64338 USPATFULL
TITLE: Oral dosage form comprising a therapeutic agent and an adverse-effect agent
INVENTOR(S): Wright, Curtis, IV, Norwalk, CT, UNITED STATES
Carpanzano, Anthony E., Sherman, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003044458	A1	20030306
APPLICATION INFO.:	US 2002-208817	A1	20020801 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-309791P	20010806 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000, WASHINGTON, DC, 20006
NUMBER OF CLAIMS: 79
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1562
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 7 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2003:50881 USPATFULL
TITLE: Method of treating pain by administering 24 hour oral opioid formulations exhibiting rapid rate of initial rise of plasma drug level
INVENTOR(S): Sackler, Richard S., Greenwich, CT, UNITED STATES
Goldenheim, Paul, Wilton, CT, UNITED STATES
Kaiko, Robert F., Weston, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003035837	A1	20030220
APPLICATION INFO.:	US 2002-162132	A1	20020604 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-938898, filed on 26 Sep 1997, ABANDONED Continuation of Ser. No. US 1996-578688, filed on 22 Jul 1996, GRANTED, Pat. No. US 5672360 A 371 of International Ser. No. WO 1994-US13606, filed on 22 Nov 1994, PENDING Continuation-in-part of Ser. No. US 1993-156468, filed on 23 Nov 1993, GRANTED, Pat. No. US 5478577
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, 14TH FLOOR, NEW YORK, NY, 10018
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 14 Drawing Page(s)
LINE COUNT: 1789
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 8 OF 46 USPATFULL on STN

ACCESSION NUMBER: 2003:203149 USPATFULL
TITLE: Modified release multiple-units compositions of non-steroid anti-inflammatory drug substances (NSAIDs)
INVENTOR(S): Skinh.o slashed.j, Annette, R.o slashed.dovre, DENMARK
Bertelsen, Poul, Vanlase, DENMARK
PATENT ASSIGNEE(S): Nycomed Danmark A/S, Roskilde, DENMARK (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6599529	B1	20030729	
	WO 9912524		19990318	
APPLICATION INFO.:	US 2000-508594		20000717	(9)
	WO 1998-DK388		19980910	

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1997-1044	19970911

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Spear, James M.
ASSISTANT EXAMINER: Di Nola-Baron, Liliana
LEGAL REPRESENTATIVE: Corless, Peter F., O'Day, Christine C., Edwards & Angell, LLP
NUMBER OF CLAIMS: 51
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT: 2701
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 9 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 1017370 EUROPATFULL EW 200344 FS PS
TITLE: MODIFIED RELEASE MULTIPLE-UNITS COMPOSITIONS OF NON-STEROID ANTI-INFLAMMATORY DRUG SUBSTANCES (NSAIDs).
AUS MEHREREN EINZELEINHEITEN ZUSAMMENGESETZTE ARZNEIMITTEL MIT NICHT-STEROIDALEN WIRKSTOFFEN (NSAIDS).
COMPOSITIONS CONTENANT DES UNITES MULTIPLES A LIBERATION MODIFIEE DE SUBSTANCES MEDICAMENTEUSES ANTI-INFLAMMATOIRES NON STEROIDES (NSAID).
INVENTOR(S): SKINHOJ, Annette, Moseholmene 3B, DK-2610 Rodovre, DK;
BERTELSEN, Poul, Grondals Parkvej 54, DK-2720 Vanlose, DK
PATENT ASSIGNEE(S): Nycomed Danmark ApS, Langebjerg 1, 4000 Roskilde, DK
PATENT ASSIGNEE NO: 4439360
AGENT: Plougmann & Vingtoft A/S, Sundkrogsgade 9, P.O. Box 831, 2100 Copenhagen O, DK
AGENT NUMBER: 101171
OTHER SOURCE: MEPB2003055 EP 1017370 B1 0060
SOURCE: Wila-EPS-2003-H44-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R AL; R LT; R LV; R MK; R RO; R SI
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 1017370	B1	20031029

'OFFENLEGUNGS' DATE: 20000712
APPLICATION INFO.: EP 1998-942512 19980910
PRIORITY APPLN. INFO.: DK 1997-1044 19970911
RELATED DOC. INFO.: WO 98-DK388 980910 INTAKZ
WO 99012524 990318 INTPNR
REFERENCE PAT. INFO.: EP 438249 A WO 97-06787 A
WO 97-32573 A US 5043167 A
US 5478577 A

L12 ANSWER 10 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 888111 EUROPATFULL EW 200321 FS PS
TITLE: MODIFIED RELEASE MULTIPLE-UNITS DOSAGE COMPOSITION.
DOSISZUSAMMENSETZUNG MIT MODIFIZIERTER FREIGABE AUS VIELEN EINZELKOMPONENTEN.
COMPOSITION DE DOSAGE A UNITES MULTIPLES ET A LIBERATION MODIFIEE.
INVENTOR(S): SKINHOJ, Anette, Moseholmene 3B, DK-2610 Rodovre, DK
PATENT ASSIGNEE(S): NYCOMED DANMARK A/S, Langebjerg 1, P.O.Box 88, 4000 Roskilde, DK
PATENT ASSIGNEE NO: 959924
AGENT: Plougmann & Vingtoft A/S, Sundkrogsgade 9, P.O. Box 831, 2100 Copenhagen O, DK
AGENT NUMBER: 101171
OTHER SOURCE: MEPB2003028 EP 0888111 B1 0090
SOURCE: Wila-EPS-2003-H21-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE; R AL; R LT; R LV; R RO; R SI
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 888111	B1	20030521

'OFFENLEGUNGS' DATE: 19990107
APPLICATION INFO.: EP 1997-908139 19970307
PRIORITY APPLN. INFO.: DK 1996-278 19960308
DK 1996-1466 19961220
RELATED DOC. INFO.: WO 97-DK101 970307 INTAKZ
WO 97032573 970912 INTPNR
REFERENCE PAT. INFO.: EP 282698 A EP 605174 A
WO 88-06893 A WO 95-14460 A
GB 2170210 A

L12 ANSWER 11 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2002:338077 USPATFULL
TITLE: Pharmaceutical kit comprising midodrine as active drug substance
INVENTOR(S): Bertelsen, Poul, Vanlose, DENMARK
Skinhoj, Annette, Rodovre, DENMARK
Mohr Olsen, Peder, Kirke Hyllinge, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002193445	A1	20021219
APPLICATION INFO.:	US 2001-823093	A1	20010329 (9)

NUMBER DATE

PRIORITY INFORMATION:	DK 2000-549	20000531
	DK 2000-841	20000526
	US 2000-203783P	20000512 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Peter F. Corless, Esq., Dike, Bronsteins & Cushman, EDWARDS & ANGELL, LLP, P.O. Box 9169, Boston, MA, 02109	
NUMBER OF CLAIMS:	89	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	24 Drawing Page(s)	
LINE COUNT:	3778	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 12 OF 46 USPATFULL on STN

ACCESSION NUMBER:	2002:315096 USPATFULL		
TITLE:	Extended release formulation of water-soluble drugs		
INVENTOR(S):	Augsburger, Larry, Severna Park, MD, UNITED STATES Bonck, John A., JR., Westminster, MD, UNITED STATES Brzeczko, Albert W., Baltimore, MD, UNITED STATES		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002177579	A1	20021128
APPLICATION INFO.:	US 2001-12227	A1	20011105 (10)
	NUMBER		DATE
PRIORITY INFORMATION:	US 2000-246017P		20001106 (60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	MAX STUL OPPENHEIMER, P.O. Box 50, Stevenson, MD, 21153		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	5 Drawing Page(s)		
LINE COUNT:	938		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 13 OF 46 USPATFULL on STN

ACCESSION NUMBER:	2002:266351 USPATFULL		
TITLE:	Pharmaceutical compositions comprising desglymidodrine as an active drug substance		
INVENTOR(S):	Sundgreen, Claus, Frederiksberg, DENMARK Schultz, Ann Christina, Roskilde, DENMARK Schlyter, Jimmy Hirschsprung, Greve, DENMARK Mohr Olsen, Peder, Kirke Hyllinge, DENMARK		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002147232	A1	20021010
APPLICATION INFO.:	US 2001-864857	A1	20010523 (9)
	NUMBER		DATE
PRIORITY INFORMATION:	DE 2000-A841		20000526
	WO 2001-DK214		20010329
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Dike, Bronstein, Roberts & Cushman, Intellectual Property Patent Practice, EDWARDS & ANGELL, LLP, 130 Water Street, Boston, MA, 02109		
NUMBER OF CLAIMS:	75		
EXEMPLARY CLAIM:	1		

NUMBER OF DRAWINGS: 4 Drawing Page(s)
LINE COUNT: 3835
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 14 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2002:221060 USPATFULL
TITLE: Rapidly disintegrating sustained release cefuroxime axetil composition
INVENTOR(S): Sen, Himadri, Aurangabad, INDIA
Kshirsagar, Rajesh Suresh, Aurangabad, INDIA
Menjoge, Anupa Ramesh, Aurangabad, INDIA
PATENT ASSIGNEE(S): LUPIN LABORATORIES LTD. (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002119195	A1	20020829
APPLICATION INFO.:	US 2001-928466	A1	20010813 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-702042, filed on 30 Oct 2000, PENDING
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Ladas & Parry, 26 West 61st Street, New York, NY, 10023
NUMBER OF CLAIMS: 47
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1147
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 15 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2002:156736 USPATFULL
TITLE: Orally administrable opioid formulations having extended duration of effect
INVENTOR(S): Oshlack, Benjamin, New York, NY, UNITED STATES
Chasin, Mark, Manalapan, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002081333	A1	20020627
	US 6572885	B2	20030603
APPLICATION INFO.:	US 2001-891882	A1	20010626 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-390719, filed on 7 Sep 1999, GRANTED, Pat. No. US 6294195 Continuation of Ser. No. US 1995-508246, filed on 27 Jul 1995, GRANTED, Pat. No. US 5968551 Continuation of Ser. No. US 1993-133503, filed on 7 Oct 1993, ABANDONED Continuation-in-part of Ser. No. US 1993-81618, filed on 23 Jun 1993, GRANTED, Pat. No. US 5472712 Continuation-in-part of Ser. No. US 1993-86248, filed on 1 Jul 1993, ABANDONED Continuation-in-part of Ser. No. US 1992-826084, filed on 27 Jan 1992, GRANTED, Pat. No. US 5286493
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 Seventh Avenue, 14th Floor, New York, NY, 10018
NUMBER OF CLAIMS: 52
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 1252
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 16 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2002:112317 USPATFULL
TITLE: TREATING PAIN BY ADMINISTERING 24 HOURS OPIOID

FORMULATIONS EXHIBITING RAPID RISE OF DRUG LEVEL

INVENTOR(S): SACKLER, RICHARD S., GREENWICH, CT, UNITED STATES
GOLDENHEIM, PAUL, WILTON, CT, UNITED STATES
KAIKO, ROBERT F., WESTON, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002058050	A1	20020516
APPLICATION INFO.:	US 1997-938898	A1	19970926 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1996-578688, filed on 22 Jul 1996, GRANTED, Pat. No. US 5672360 A 371 of International Ser. No. WO 1994-US13606, filed on 22 Nov 1994, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1994-	19941122

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, 14TH FLOOR, NEW YORK, NY, 10018
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 14 Drawing Page(s)
LINE COUNT: 1786
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 17 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2002:60710 USPATFULL
TITLE: Controlled release pharmaceutical composition for oral use containing midodrine and/or active metabolite, desglymidodrine

INVENTOR(S): Skinhoj, Annette, Rodovre, DENMARK
Mohr Olsen, Peder, Kirke Hyllinge, DENMARK
Bertelsen, Poul, Vanlose, DENMARK

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002034544	A1	20020321
APPLICATION INFO.:	US 2001-823202	A1	20010329 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	DK 2000-549	20000331
	US 2000-203783P	20000512 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: EDWARDS & ANGELL, LLP, 130 Water Street, Boston, MA, 02109
NUMBER OF CLAIMS: 74
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 24 Drawing Page(s)
LINE COUNT: 2873
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 18 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2002:174818 USPATFULL
TITLE: Controlled release formulations having rapid onset and rapid decline of effective plasma drug concentrations

INVENTOR(S): Krishnamurthy, Thinnayam N., Scarborough, CANADA
Darke, Andrew, Newmarket, CANADA

PATENT ASSIGNEE(S): Euro-Celtique S.A., Luxembourg, LUXEMBOURG (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6419960	B1	20020716
APPLICATION INFO.:	US 1999-465159		19991216 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-112617P	19981217 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Tran, S.
LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT: 1881
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 19 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 1041972 EUROPATFULL EW 200236 FS PS
TITLE: **TABLET** FOR **INSTANT** AND **PROLONGED RELEASE** OF ONE OR MORE ACTIVE SUBSTANCES.
TABLETTE MIT INSTANT- UND VERZOeGERTE FREISETZUNG VON EINEN ODER MEHRERE WIRKSTOFFE.
COMPRIME A LIBERATION INSTANTANEE ET PROLONGEE D'UN OU DE PLUSIEURS PRINCIPES ACTIFS.
INVENTOR(S): SASLAWSKI, Olivier, 43, rue de Seze, F-69006 Lyon, FR; ORLANDO, Laurence, 7, rue Frederic Mistral, F-69150 Decines, FR
PATENT ASSIGNEE(S): MERCK PATENT GmbH, Postfach, Frankfurter Strasse 250, 64271 Darmstadt, DE
PATENT ASSIGNEE NO: 205221
AGENT: Schuettler, Reinhard, Dr. et al., Merck Patent GmbH, Postfach, 64271 Darmstadt, DE
AGENT NUMBER: 52042
OTHER SOURCE: BEPB2002063 EP 1041972 B1 0019
SOURCE: Wila-EPS-2002-H36-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R NL; R PT; R SE; R LT; R LV; R RO; R SI
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 1041972	B1	20020904
'OFFENLEGUNGS' DATE:			20001011
APPLICATION INFO.:	EP 1998-965814		19981211
PRIORITY APPLN. INFO.:	FR 1997-16402		19971223
RELATED DOC. INFO.:	WO 98-EP8100	981211	INTAKZ
	WO 9933448	990708	INTPNR
REFERENCE PAT. INFO.:	EP 169821 A		FR 2645152 A
	US 4359483 A		

L12 ANSWER 20 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 711152 EUROPATFULL EW 200249 FS PS
TITLE: POWDER-LAYERED ORAL DOSAGE FORMS.
ORALE DOSIERUNGSFORMEN MIT PULVERSCHICHT.
FORMES GALENIQUES POUR UNE ADMINISTRATION ORALE, AYANT UNE COUCHE DE POUDRE.
INVENTOR(S): OSHLACK, Benjamin, 351 East 84th Street, New York, NY 10028, US;
PEDI, Frank, Jr., 2773 Hyatt Street, Yorktown Heights, NY 10598, US
PATENT ASSIGNEE(S): Euroceltique S.A., 122 Boulevard de la Petrusse, L-2330 Luxembourg, LU
PATENT ASSIGNEE NO: 514481
AGENT: Ruffles, Graham Keith et al., MARKS & CLERK, 57-60 Lincoln's Inn Fields, London WC2A 3LS, GB
AGENT NUMBER: 43041
OTHER SOURCE: BEPB2002087 EP 0711152 B1 0029
SOURCE: Wila-EPS-2002-H49-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 711152	B1	20021204
'OFFENLEGUNGS' DATE:		19960515
APPLICATION INFO.: EP 1995-918410		19950501
PRIORITY APPLN. INFO.: US 1994-249150		19940525
RELATED DOC. INFO.: WO 95-US5680		950501 INTAKZ
WO 95005680		951130 INTPNR
REFERENCE PAT. INFO.: WO 95-14460 A		US 4213977 A
US 5133974 A		US 5202128 A

L12 ANSWER 21 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2001:170761 USPATFULL
TITLE: **Immediate release tablet** cores of insoluble drugs having **sustained-release** coating
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Chasin, Mark, Manalapan, NJ, United States
PATENT ASSIGNEE(S): Euro-Celtique S.A., Luxembourg, Luxembourg (U.S. corporation)

PATENT INFORMATION:

NUMBER	KIND	DATE
US 2001026809	A1	20011004
US 6387404	B2	20020514
APPLICATION INFO.: US 2001-777466	A1	20010206 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-505935, filed on 14 Feb 2000, GRANTED, Pat. No. US 6210714 Continuation of Ser. No. US 1995-467575, filed on 6 Jun 1995, GRANTED, Pat. No. US 6024982 Division of Ser. No. US 1993-156460, filed on 23 Nov 1993, GRANTED, Pat. No. US 5500227
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, 14TH FLOOR, NEW YORK, NY, 10018

NUMBER OF CLAIMS: 32
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Page(s)
LINE COUNT: 1582
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 22 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2001:162869 USPATFULL
TITLE: Orally administrable opioid formulations having extended duration of effect
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Chasin, Mark, Manalapan, NJ, United States
PATENT ASSIGNEE(S): Purdue Pharma L.P., Stamford, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6294195	B1	20010925
APPLICATION INFO.:	US 1999-390719		19990907 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-508246, filed on 27 Jul 1995, now patented, Pat. No. US 5968551 Continuation of Ser. No. US 1993-133503, filed on 7 Oct 1993, now abandoned Continuation-in-part of Ser. No. US 1993-86248, filed on 1 Jul 1993, now abandoned Continuation-in-part of Ser. No. US 1993-81618, filed on 23 Jun 1993, now patented, Pat. No. US 5472712 , said Ser. No. US 86248 And Ser. No. US 1991-814111, filed on 24 Dec 1991, now patented, Pat. No. US 5273760 , said Ser. No. US 81618 Continuation-in-part of Ser. No. US 814111 , said Ser. No. US 81618 And Ser. No. US 133503 Continuation-in-part of Ser. No. US 1993-97558, filed on 27 Jul 1993, now patented, Pat. No. US 5580578 Continuation-in-part of Ser. No. US 1992-826084, filed on 27 Jan 1992, now patented, Pat. No. US 5286493
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1282
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 23 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2001:47589 USPATFULL
TITLE: **Immediate release tablet** cores of acetaminophen having **sustained-release** coating
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Chasin, Mark, Manalapan, NJ, United States
PATENT ASSIGNEE(S): Euro-Celtique S.A., Luxembourg, Luxembourg (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6210714	B1	20010403
APPLICATION INFO.:	US 2000-505935		20000214 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1995-467575, filed on 6 Jun 1995, now patented, Pat. No. US 6024982 Division of Ser. No. US 1993-156460, filed on 23 Nov 1993, now patented, Pat. No. US 5500227
DOCUMENT TYPE: Utility

FILE SEGMENT: Granted
PRIMARY EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1457
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 24 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 655240 EUROPATFULL EW 199522 FS OS STA B
TITLE: Immediate release tablet cores of insoluble drugs having substained-release coating.
Rasch freisetzende Tablettenkerne mit unloeslichen Arzneistoffen und mit einem Retarduebeerzug.
Noyaux de comprimes a liberation immediate contenant des medicaments insolubles et portant un enrobage a effet retard.
INVENTOR(S): Oshlack, Benjamin, 351 East 84th Street, New York, NY 10028, US;
Chasin, Mark, 3 Wayne Court, Manalapan, NJ 07726, US
PATENT ASSIGNEE(S): Euroceltique S.A., 122 Boulevard de la Petrusse, Luxembourg, LU
PATENT ASSIGNEE NO: 514480
AGENT: Maiwald, Walter, Dr. Dipl.-Chem., Maiwald & Partner Balanstrasse 57, D-81541 Muenchen, DE
AGENT NUMBER: 57586
OTHER SOURCE: ESP1995036 EP 0655240 A2 950531
SOURCE: Wila-EPZ-1995-H22-T1b
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R ES; R FR; R GB; R IE; R IT; R LI; R LU; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 655240	A2	19950531
'OFFENLEGUNGS' DATE:		19950531
APPLICATION INFO.: EP 1994-117345		19941103
PRIORITY APPLN. INFO.: US 1993-156460		19931123

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 655240 EUROPATFULL EW 200126 FS PS
TITLE: Immediate release tablet cores of insoluble drugs having substained-release coating.
Rasch freisetzende Tablettenkerne mit unloeslichen Arzneistoffen und mit einem Retarduebeerzug.
Noyaux de comprimes a liberation immediate contenant des medicaments insolubles et portant un enrobage a effet retard.
INVENTOR(S): Oshlack, Benjamin, 351 East 84th Street, New York, NY 10028, US;
Chasin, Mark, 3 Wayne Court, Manalapan, NJ 07726, US
PATENT ASSIGNEE(S): Euroceltique S.A., 122 Boulevard de la Petrusse, Luxembourg, LU
PATENT ASSIGNEE NO: 514480
AGENT: Maiwald, Walter, Dr. Dipl.-Chem., Maiwald Patentanwalts GmbH Elisenhof Elisenstrasse 3, 80335 Muenchen, DE

AGENT NUMBER: 57586
OTHER SOURCE: BEPB2001027 EP 0655240 B1 0038
SOURCE: Wila-EPS-2001-H26-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R ES; R FR; R GB; R IE; R IT; R LI; R LU; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 655240	B1	20010627
'OFFENLEGUNGS' DATE:		19950531
APPLICATION INFO.: EP 1994-117345		19941103
PRIORITY APPLN. INFO.: US 1993-156460		19931123
REFERENCE PAT. INFO.: EP 427519 A		EP 548448 A
EP 585688 A		EP 621032 A
WO 83-00435 A		GB 2196848 A
GB 2245492 A		US 4777050 A

L12 ANSWER 25 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2000:167549 USPATFULL
TITLE: Modified release multiple-units dosage composition for release of opioid compounds
INVENTOR(S): Skinhoj, Annette, Rodovre, Denmark
PATENT ASSIGNEE(S): Nycomed Danmark A/S, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6159501		20001212	
	WO 9732573		19970912	
APPLICATION INFO.:	US 1998-51964		19980622	(9)
	WO 1997-DK101		19970307	
			19980622	PCT 371 date
			19980622	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1996-278	19960308
	DK 1996-1466	19961220

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Seidleck, Brian K.
LEGAL REPRESENTATIVE: Corless, Peter F.Dike, Bronstein, Roberts, & Cushman, LLP
NUMBER OF CLAIMS: 82
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 26 Drawing Figure(s); 26 Drawing Page(s)
LINE COUNT: 3469
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 26 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2000:77051 USPATFULL
TITLE: Powder-layered oral dosage forms
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Pedi, Frank, Yorktown Heights, NY, United States
PATENT ASSIGNEE(S): Purdue Pharma L.P., Norwalk, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6077533		20000620

APPLICATION INFO.: US 1998-5864 19980112 (9)
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1996-760724, filed on 5 Dec 1996, now abandoned which is a continuation of Ser. No. US 1995-431359, filed on 28 Apr 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-249150, filed on 25 May 1994, now patented, Pat. No. US 5411745
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Harrison, Robert H.
LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC
NUMBER OF CLAIMS: 34
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 1526
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 27 OF 46 USPATFULL on STN
ACCESSION NUMBER: 2000:18070 USPATFULL
TITLE: **Immediate release tablet** cores of insoluble drugs having **sustained-release** coating
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Chasin, Mark, Manalapan, NJ, United States
PATENT ASSIGNEE(S): Euro-Celtique, S.A., Luxembourg, Luxembourg (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6024982		20000215
APPLICATION INFO.:	US 1995-467575		19950606 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-156460, filed on 23 Nov 1993, now patented, Pat. No. US 5500227
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1433
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 28 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1023896 EUROPATFULL EW 200031 FS OS
TITLE: Opioid formulations for treating pain.
Opioid-Formulierungen zur Schmerzbehandlung.
Formulations d' opioides pour le traitement de la douleur.
INVENTOR(S): Sackler, Richard, 25 Windrose Way, Greenwich, Connecticut 06830, US
PATENT ASSIGNEE(S): Euro-Celtique S.A., 122 Boulevard de la Petrusse, Luxemburg, LU
PATENT ASSIGNEE NO: 401100
AGENT: Ruffles, Graham Keith, MARKS & CLERK, 57-60 Lincoln's Inn Fields, London WC2A 3LS, GB
AGENT NUMBER: 43041
OTHER SOURCE: BEPA2000058 EP 1023896 A2 0042
SOURCE: Wila-EPZ-2000-H31-T1b

DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 1023896	A2	20000802

'OFFENLEGUNGS' DATE: 20000802
APPLICATION INFO.: EP 2000-107670 19941122
PRIORITY APPLN. INFO.: US 1993-156468 19931123
RELATED DOC. INFO.: EP 731694 DIV

L12 ANSWER 29 OF 46 USPATFULL on STN
ACCESSION NUMBER: 1999:128168 USPATFULL
TITLE: Orally administrable opioid formulations having extended duration of effect
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Chasin, Mark, Manalapan, NJ, United States
PATENT ASSIGNEE(S): Purdue Pharma L.P., Norwalk, CT, United States (U.S. corporation)

NUMBER	KIND	DATE
PATENT INFORMATION: US 5968551		19991019
APPLICATION INFO.: US 1995-508246		19950727 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1993-133503, filed on 7 Oct 1993, now abandoned which is a continuation-in-part of Ser. No. US 1993-81618, filed on 23 Jun 1993, now patented, Pat. No. US 5472712 Ser. No. Ser. No. US 1993-86248, filed on 1 Jul 1993, now abandoned And Ser. No. US 1993-97558, filed on 27 Jul 1993, now patented, Pat. No. US 5580578 which is a continuation-in-part of Ser. No. US 1992-826084, filed on 27 Jan 1992, now patented, Pat. No. US 5286493 , said Ser. No. US 81618 And Ser. No. US 86248 which is a continuation-in-part of Ser. No. US 1991-814111, filed on 24 Dec 1991, now patented, Pat. No. US 5273760
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Spear, James M.
LEGAL REPRESENTATIVE: Davidson, Davidson and Kappel LLC
NUMBER OF CLAIMS: 52
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT: 1445
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 30 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 591424 EUROPATFULL EW 199936 FS PS
TITLE: EXTENDED-RELEASE FORM OF DILTIAZEM.
DILTIAZEM ENTHALTENDE ARZNEIMITTEL MIT VERZOeGERTER WIRKSTOFFABGABE.
DILTIAZEM SE PRESENTANT SOUS UNE FORME A LIBERATION PROLONGEE.
INVENTOR(S): DEBOECK, Arthur Marie, HC02 Box 14725, Gurabo, Puerto Rico 00658, PR;
BAUDIER, Philippe Raymond, Avenue Bulcher 10, B-1410 Waterloo, BE

PATENT ASSIGNEE(S): PHARLYSE S.A., 69, Route d'Esch, 2953 Luxembourg, LU
PATENT ASSIGNEE NO: 2069390
AGENT: Cropp, John Anthony David et al, MATHYS & SQUIRE 100 Grays Inn Road, London, WC1X 8AL, GB
AGENT NUMBER: 29791
OTHER SOURCE: EPB1999051 EP 0591424 B1 990908
SOURCE: Wila-EPS-1999-H36-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R MC; R NL; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)
PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 591424	B1	19990908
'OFFENLEGUNGS' DATE:			19940413
APPLICATION INFO.:	EP 1992-914768		19920625
PRIORITY APPLN. INFO.:	US 1991-721396		19910626
RELATED DOC. INFO.:	WO 92-CA290		920625 INTAKZ
	WO 9300093		930107 INTPNR
REFERENCE PAT. INFO.:	EP 149920 A		EP 322277 A
	EP 340105 A		EP 373417 A

L12 ANSWER 31 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 553392 EUROPATFULL EW 199331 FS OS STA B
TITLE: Stabilized controlled release formulations having acrylic polymer coating.
Stabilisierte Formulierungen mit kontrollierter Abgabe mit einem Acrylpolymerueberzug.
Formulations stabilisees a liberation controlee enrobees d'une couche de polymere acrylique.
INVENTOR(S): Oshlack, Benjamin, 351 East 84th Street, New York, N.Y. 10028, US;
Chasin, Mark, 3 Wayne Court, Manalpan, New Jersey 07726, US;
Pedi, Frank, Jr., 2773 Hyatt Street, Yorktown Heights, New York 10598, US
PATENT ASSIGNEE(S): Euro-Celtique S.A., 122 Boulevard de la Petrusse, Luxemburg, LU
PATENT ASSIGNEE NO: 401100
AGENT: Strasse, Maiwald, Meys, Stach & Vonnemann, Postfach 90 09 54, W-8000 Muenchen 90, DE
AGENT NUMBER: 101131
OTHER SOURCE: ESP1993050 EP 0553392 A1 930804
SOURCE: Wila-EPZ-1993-H31-T1b
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 553392	A1	19930804
'OFFENLEGUNGS' DATE:			19930804
APPLICATION INFO.:	EP 1992-113236		19920803
PRIORITY APPLN. INFO.:	US 1992-826084		19920127

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 553392 EUROPATFULL EW 199938 FS PS
TITLE: Stabilized controlled release formulations having acrylic polymer coating.
Stabilisierte Formulierungen mit kontrollierter Abgabe mit einem Acrylpolymerueberzug.
Formulations stabilisees a liberation controlee enrobees d'une couche de polymere acrylique.
INVENTOR(S): Oshlack, Benjamin, 351 East 84th Street, New York, N.Y. 10028, US;
Chasin, Mark, 3 Wayne Court, Manalpan, New Jersey 07726, US;
Pedi, Frank, Jr., 2773 Hyatt Street, Yorktown Heights, New York 10598, US
PATENT ASSIGNEE(S): Euro-Celtique S.A., 122 Boulevard de la Petrusse, Luxemburg, LU
PATENT ASSIGNEE NO: 401100
AGENT: Maiwald, Walter, Dr. Dipl.-Chem. et al, Maiwald GmbH, Elisenhof, Elisenstrasse 3, 80335 Muenchen, DE
AGENT NUMBER: 57586
OTHER SOURCE: EPB1999055 EP 0553392 B1 990922
SOURCE: Wila-EPS-1999-H38-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 553392	B1	19990922
'OFFENLEGUNGS' DATE:		19930804
APPLICATION INFO.:	EP 1992-113236	19920803
PRIORITY APPLN. INFO.:	US 1992-826084	19920127
REFERENCE PAT. INFO.:	EP 377518 A	EP 463877 A
	GB 2178313 A	

L12 ANSWER 32 OF 46 USPATFULL on STN
ACCESSION NUMBER: 1998:82365 USPATFULL
TITLE: Cushioning beads and tablet comprising the same capable of forming a suspension
INVENTOR(S): Habib, Yacoub S., Baltimore, MD, United States
Shangraw, Ralph, Baltimore, MD, United States
Augsburger, Larry L., Ellicott City, MD, United States
PATENT ASSIGNEE(S): University of Maryland, Baltimore, Baltimore, MD, United States (U.S. corporation)

NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5780055		19980714
APPLICATION INFO.:	US 1996-709415		19960906 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Benston, Jr., William E.
LEGAL REPRESENTATIVE: Sughrue, Mion, Zinn, Macpeak & Seas, PLLC
NUMBER OF CLAIMS: 53
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 57 Drawing Figure(s); 55 Drawing Page(s)
LINE COUNT: 5240
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 33 OF 46 USPATFULL on STN

ACCESSION NUMBER:	97:88748 USPATFULL
TITLE:	Method of treating pain by administering 24 hour oral opioid formulations
INVENTOR(S):	Sackler, Richard S., Greenwich, CT, United States Kaiko, Robert F., Weston, CT, United States Goldenheim, Paul, Wilton, CT, United States
PATENT ASSIGNEE(S):	Purdue Pharma, L.P., Norwalk, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5672360		19970930	
	WO 9514460		19950601	
APPLICATION INFO.:	US 1996-578688		19960722	(8)
	WO 1994-US13606		19941122	
			19960722	PCT 371 date
			19960722	PCT 102(e) date

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Page, Thurman K.
ASSISTANT EXAMINER:	Benston, Jr., William E.
LEGAL REPRESENTATIVE:	Steinberg, Raskin & Davidson, P.C.
NUMBER OF CLAIMS:	14
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 14 Drawing Page(s)
LINE COUNT:	1813

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 34 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER:	514814 EUROPATFULL EW 199248 FS OS STA B
TITLE:	Diltiazem formulation. Diltiazem enthaltende Zusammensetzung. Formulation a base de diltiazem.
INVENTOR(S):	Hendrickson, Dennis L., 12005 Perry, Overland Park, Kansas 66213, US; Dimmitt, Dan C., 5500 East 203rd Street, Belton, Missouri 64012, US; Williams, Mark S., 9204 East 89th Street, Kansas City, Missouri 64138, US; Skultety, Paul F., 4444 West 130th Terrace, Leawood, Kansas 66209, US; Baltezor, Michael J., 1215 Long Ridge Road, Lees Summit, Missouri 64064, US
PATENT ASSIGNEE(S):	MARION MERRELL DOW INC., 9300 Ward Parkway, Kansas City Missouri 64114-3321, US
PATENT ASSIGNEE NO:	479375
AGENT:	Vossius & Partner, Siebertstrasse 4 P.O. Box 86 07 67, W-8000 Muenchen 86, DE
AGENT NUMBER:	100311
OTHER SOURCE:	ESP1992082 EP 0514814 A1 921125
SOURCE:	Wila-EPZ-1992-H48-T1
DOCUMENT TYPE:	Patent
LANGUAGE:	Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES:	R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE:	EPA1 EUROPAEISCHE PATENTANMELDUNG

PATENT INFORMATION:

PATENT NO	KIND	DATE

	EP 514814	A1 19921125
'OFFENLEGUNGS' DATE:		19921125
APPLICATION INFO.:	EP 1992-108361	19920518
PRIORITY APPLN. INFO.:	US 1991-702567	19910520

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 514814 EUROPATFULL EW 199704 FS PS
TITLE: Diltiazem formulation.
Diltiazem enthaltende Zusammensetzung.
Formulation a base de diltiazem.
INVENTOR(S): Hendrickson, Dennis L., 12005 Perry, Overland Park, Kansas 66213, US;
Dimmitt, Dan C., 5500 East 203rd Street, Belton, Missouri 64012, US;
Williams, Mark S., 9204 East 89th Street, Kansas City, Missouri 64138, US;
Skultety, Paul F., 4444 West 130th Terrace, Leawood, Kansas 66209, US;
Baltezor, Michael J., 1215 Long Ridge Road, Lees Summit, Missouri 64064, US
PATENT ASSIGNEE(S): HOECHST MARION ROUSSEL, INC., 9300 Ward Parkway, Kansas City Missouri 64114-0480, US
PATENT ASSIGNEE NO: 479379
AGENT: VOSSIUS & PARTNER, Postfach 86 07 67, 81634 Muenchen, DE
AGENT NUMBER: 100311
OTHER SOURCE: EPB1997007 EP 0514814 B1 970122
SOURCE: Wila-EPS-1997-H04-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R MC; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 514814	B1	19970122
'OFFENLEGUNGS' DATE:		19921125
APPLICATION INFO.: EP 1992-108361		19920518
PRIORITY APPLN. INFO.: US 1991-702567		19910520
REFERENCE PAT. INFO.: EP 149920	A	EP 193164 A
EP 225085	A	EP 282698 A
EP 315414	A	EP 320097 A
EP 322277	A	WO 88-02253 A
WO 91-01722	A	US 4894240 A

L12 ANSWER 35 OF 46 USPATFULL on STN
ACCESSION NUMBER: 96:22910 USPATFULL
TITLE: **Immediate release tablet** cores of insoluble drugs having **sustained-release** coating
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Chasin, Mark, Manalapan, NJ, United States
PATENT ASSIGNEE(S): Euro-Celtique, S.A., Luxembourg (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5500227		19960319
APPLICATION INFO.:	US 1993-156460		19931123 (8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Spear, James M.

LEGAL REPRESENTATIVE: Steinberg, Raskin & Davidson
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1552
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 36 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 557244 EUROPATFULL EW 199334 FS OS STA B
TITLE: Dosage forms having prolonged active-ingredient release.
Darreichungsformen mit verlaengerter Wirkstoff-Freigabe.
Applications de l'efficacite a longue spectre.
INVENTOR(S): Compassi, Sabine, Uertel, CH-6362 Stansstad, CH
PATENT ASSIGNEE(S): Siegfried Pharma A.G., CH-4800 Zofingen, CH
PATENT ASSIGNEE NO: 1428760
AGENT: Arnold, Winfried, Bruegglistrasse 9, CH-4104 Oberwil, CH
AGENT NUMBER: 24682
OTHER SOURCE: ESP1993055 EP 0557244 A1 930825
SOURCE: Wila-EPZ-1993-H34-T1b
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Deutsch; Veroeffentlichung in Deutsch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 557244	A1	19930825
'OFFENLEGUNGS' DATE:		19930825
APPLICATION INFO.:	EP 1993-810066	19930203
PRIORITY APPLN. INFO.:	CH 1992-469	19920217

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 557244 EUROPATFULL EW 199611 FS PS
TITLE: Dosage forms having prolonged active-ingredient release.
Darreichungsformen mit verlaengerter Wirkstoff-Freigabe.
Applications de l'efficacite a longue spectre.
INVENTOR(S): Compassi, Sabine, Uertel, CH-6362 Stansstad, CH
PATENT ASSIGNEE(S): Siegfried Pharma AG, CH-4800 Zofingen, CH
PATENT ASSIGNEE NO: 1428760
AGENT: Arnold, Winfried, Bruegglistrasse 9, CH-4104 Oberwil, CH
AGENT NUMBER: 24682
OTHER SOURCE: EPB1996018 EP 0557244 B1 960313
SOURCE: Wila-EPS-1996-H11-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R PT; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT
PATENT INFORMATION:

PATENT NO	KIND	DATE		
EP 557244	B1	19960313		
'OFFENLEGUNGS' DATE:		19930825		
APPLICATION INFO.:	EP 1993-810066	19930203		
PRIORITY APPLN. INFO.:	CH 1992-469	19920217		
REFERENCE PAT. INFO.:	EP 137198	A	EP 168044	A
	EP 220760	A	EP 274176	A
	EP 324982	A	EP 339420	A

WO 89-02738 A CH 643455 A
US 4389393 B

L12 ANSWER 37 OF 46 USPATFULL on STN
ACCESSION NUMBER: 95:114495 USPATFULL
TITLE: Method of treating pain by administering 24 hour oral opioid formulations exhibiting rapid rate of initial rise of plasma drug level
INVENTOR(S): Sackler, Richard, Greenwich, CT, United States
Goldenheim, Paul, Wilton, CT, United States
Kaiko, Robert, Weston, CT, United States
PATENT ASSIGNEE(S): Euroceltique, S.A., Luxembourg (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5478577		19951226	
APPLICATION INFO.:	US 1993-156468		19931123	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Benston, Jr., William E.
LEGAL REPRESENTATIVE: Steinberg, Raskin, & Davidson
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 14 Drawing Figure(s); 14 Drawing Page(s)
LINE COUNT: 1418
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 38 OF 46 USPATFULL on STN
ACCESSION NUMBER: 95:38460 USPATFULL
TITLE: Powder-layered morphine sulfate formulations
INVENTOR(S): Oshlack, Benjamin, New York, NY, United States
Pedi, Jr., Frank, Yorktown Heights, NY, United States
PATENT ASSIGNEE(S): Euro-Celtique, S.A., Luxembourg, Luxembourg (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5411745		19950502	
APPLICATION INFO.:	US 1994-249150		19940525	(8)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Hulina, Amy
LEGAL REPRESENTATIVE: Steinberg, Raskin & Davidson
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 1203
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 39 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 647448 EUROPATFULL EW 199515 FS OS STA B
TITLE: Orally administrable opioid formulations having extended duration of effect.
Oral verabreichbare, Opioid-Analgetika enthaltende Arzneimittel mit verlaengerter Wirkung.
Compositions pharmaceutiques administrables par voie oral a base d'opioides analgesiques a action prolongee.
INVENTOR(S): Oshlack, Benjamin, 351 East 84th Street, New York, NY

10028, US;
Chasin, Mark, 3 Wayne Court, Manalapan, NJ 07726, US

PATENT ASSIGNEE(S): Euroceltique S.A., 122 Boulevard de la Petrusse, Luxembourg, LU

PATENT ASSIGNEE NO: 514480

AGENT: Maiwald, Walter, Dr. Dipl.-Chem. et al, Maiwald & Partner Balanstrasse 57, D-81541 Muenchen, DE

AGENT NUMBER: 57586

OTHER SOURCE: ESP1995026 EP 0647448 A1 950412

SOURCE: Wila-EPZ-1995-H15-T1b

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch

DESIGNATED STATES: R AT; R BE; R CH; R DE; R ES; R FR; R GB; R IE; R IT; R LI; R LU; R NL; R PT; R SE

PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 647448	A1	19950412
'OFFENLEGUNGS' DATE:		19950412
APPLICATION INFO.: EP 1994-115465		19940930
PRIORITY APPLN. INFO.: US 1993-133503		19931007

L12 ANSWER 40 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 636366 EUROPATFULL EW 199505 FS OS STA B

TITLE: Controlled release formulations coated with aqueous dispersions of acrylic polymers.
Mit waessrigen Dispersionen aus Acrylpolymere umgehuellte Formulierungen zur kontrollierten Freigabe.
Formulations a liberations controlee enrobee d'une dispersion aqueuse de polymeres acryliques.

INVENTOR(S): Oshlack, Benjamin, 351 East 84th Street, New York, New York 10028, US;
Chasin, Mark, 3 Wayne Court, Manalapan, New Jersey 07726, US;
Pedi, Frank, Jr., 2773 Hyatt Street, Yorktown Heights, New York 10598, US

PATENT ASSIGNEE(S): Euroceltique S.A., 122 Boulevard de la Petrusse, Luxembourg, LU

PATENT ASSIGNEE NO: 514480

AGENT: Maiwald, Walter, Dr. Dipl.-Chem., Maiwald & Partner Balanstrasse 57, D-81541 Muenchen, DE

AGENT NUMBER: 57586

OTHER SOURCE: ESP1995008 EP 0636366 A2 950201

SOURCE: Wila-EPZ-1995-H05-T1b

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch

DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE

PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 636366	A2	19950201
'OFFENLEGUNGS' DATE:		19950201
APPLICATION INFO.: EP 1994-111733		19940727
PRIORITY APPLN. INFO.: US 1993-97558		19930727

L12 ANSWER 41 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 585355 EUROPATFULL EW 199512 FS PS STA B
TITLE: MULTI-LAYERED CONTROLLED RELEASE FORMULATION.
MEHRSCHICHTIGE ZUBEREITUNG MIT KONTROLLIERTER FREISETZUNG.
COMPOSITION MULTICOUCHE A LIBERATION REGULEE.

INVENTOR(S): NODA, Kazuo, 24-12, Nakayamasakuradai 2-chome, Takarazuka-shi, Hyogo-ken, JP;
YOSHINO, Hiroyuki, 8-A9-101, Yamadanishi 2-chome, Suita-shi, Osaka-fu, JP;
HIRAKAWA, Yoshiyuki, 4-130-102, Koyo-cho naka 1-chome, Higashinada-ku, Kobe-shi, Hyogo-ken, JP;
MACLAREN, David, D., 5808 W. 157th Place, Overland Park, KS 66223, US;
SKULTETY, Paul, F., 4444 W. 130th Terrace, Leawood, KS 66209, US;
LEFLER, John, R., 10707 W. 115th Street, Overland Park, KS 66210, US;
BECK, Greg, M., 609 N.W. Reed Crossing, Lee's Summit, MO 64063, US

PATENT ASSIGNEE(S): MARION LABORATORIES, INC., 9300 Ward Parkway, P.O. Box 8480, Kansas City, MO 64114-0480, US;
TANABE SEIYAKU CO., LTD., 2-10, Dosho-machi 3-chome, Chuo-ku, Osaka-shi, Osaka 541, JP

PATENT ASSIGNEE NO: 1153601; 230263
AGENT: VOSSIUS & PARTNER, Siebertstrasse 4, D-81675 Muenchen, DE
AGENT NUMBER: 100314
OTHER SOURCE: EPB1995023 EP 0585355 B1 950322
SOURCE: Wila-EPS-1995-H12-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R MC; R NL; R SE
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 585355	B1	19950322
'OFFENLEGUNGS' DATE:		19940309
APPLICATION INFO.: EP 1992-912145		19920520
PRIORITY APPLN. INFO.: US 1991-702854		19910520
RELATED DOC. INFO.: WO 92-US4267		920520 INTAKZ
WO 9220326		921126 INTPNR

REFERENCE PAT. INFO.:

EP 32562	A	EP 108898	A
EP 156077	A	EP 220143	A
EP 320097	A	EP 335560	A
EP 338383	A	EP 436370	A

L12 ANSWER 42 OF 46 USPATFULL on STN
ACCESSION NUMBER: 94:51241 USPATFULL
TITLE: Controlled release formulation for pharmaceutical compounds

INVENTOR(S): Noda, Kazuo, Hyogo, Japan
Hirakawa, Yoshiyuki, Hyogo, Japan
Yoshino, Hiroyuki, Osaka, Japan
MacLaren, David D., Overland Park, KS, United States
Skultety, Paul F., Leawood, KS, United States
Lefler, John R., Overland Park, KS, United States
Beck, Greg M., Lee's Summit, MO, United States

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., Cincinnati, OH,

United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5320853		19940614
APPLICATION INFO.:	US 1992-995309		19921222 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1991-702854, filed on 20 May 1991, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Venkat, Jyothsna
LEGAL REPRESENTATIVE: Sayles, Michael J.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 11 Drawing Figure(s); 10 Drawing Page(s)
LINE COUNT: 1274
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L12 ANSWER 43 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 431877 EUROPATFULL EW 199124 FS OS STA B
TITLE: Cimetidine pharmaceutical compositions.
Cimetidin enthaltende Arzneimittel.
Compositions pharmaceutiques a base de cimetidine.
INVENTOR(S): Mention, Jacky, Frigeres 1, 4 rue du Marechal Joffre, F-33850 Leognan, FR;
Tarral, Rene, 3 rue Georges Ville, F-75016 Paris, FR;
Leonard, Graham Stanley, 60 Hazelmere Road, Marshalswick, St. Albans, Hertfordshire AL4 9RN, GB
PATENT ASSIGNEE(S): Laboratoires SMITH KLINE & FRENCH, 12, Place de la Defense, Cedex 26, F-92090 Paris-la-Defense, FR
PATENT ASSIGNEE NO: 830460
AGENT: Thompson, Clive Beresford et al, SmithKline Beecham plc Corporate Patents Mundells, Welwyn Garden City, Herts AL7 2EY, GB
AGENT NUMBER: 61921
OTHER SOURCE: ESP1991042 EP 0431877 A1 910612
SOURCE: Wila-EPZ-1991-H24-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R SE
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 431877	A1	19910612
'OFFENLEGUNGS' DATE:			19910612
APPLICATION INFO.:	EP 1990-313123		19901204
PRIORITY APPLN. INFO.:	FR 1989-16056		19891205

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 431877 EUROPATFULL EW 199442 FS PS STA B
TITLE: Cimetidine pharmaceutical compositions.
Cimetidin enthaltende Arzneimittel.
Compositions pharmaceutiques a base de cimetidine.
INVENTOR(S): Mention, Jacky, Frigeres 1, 4 rue du Marechal Joffre, F-33850 Leognan, FR;
Tarral, Rene, 3 rue Georges Ville, F-75016 Paris, FR;

Leonard, Graham Stanley, c/o SmithKline Beecham, Mundells, Welwyn Garden City, Herts AL7 1EY, GB

PATENT ASSIGNEE(S): SMITHKLINE BEECHAM LABORATOIRES PHARMACEUTIQUES, 6 Esplanade Charles de Gaulle, F-92731 Nanterre Cedex, FR

PATENT ASSIGNEE NO: 1634130

AGENT: Thompson, Clive Beresford et al, SmithKline Beecham plc Corporate Intellectual Property SB House Great West Road, Brentford, Middlesex TW8 9BD, GB

AGENT NUMBER: 61921

OTHER SOURCE: EPB1994074 EP 0431877 B1 941019

SOURCE: Wila-EPS-1994-H42-T1

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch

DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R SE

PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 431877	B1	19941019
'OFFENLEGUNGS' DATE:		19910612
APPLICATION INFO.: EP 1990-313123		19901204
PRIORITY APPLN. INFO.: FR 1989-16056		19891205
REFERENCE PAT. INFO.: WO 88-03795 A		

REF. NON-PATENT-LIT.: IL FARMACO, vol. 39, no. 3, March 1984, pages 67-75; U. CONTE et al.: "Press-coated, zero-order drug delivery systems"

L12 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 1994:663519 CAPLUS

DOCUMENT NUMBER: 121:263519

TITLE: Fast disintegrating controlled release tablets from coated particles

AUTHOR(S): Lehmann, K.; Petereit, H. -U.; Dreher, D.

CORPORATE SOURCE: R & D Department/Application Technology, Rohm GmbH, Darmstadt, Germany

SOURCE: Drugs Made in Germany (1994), 37(2), 53-60
CODEN: DRMGAS; ISSN: 0012-6683

DOCUMENT TYPE: Journal

LANGUAGE: English

L12 ANSWER 45 OF 46 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 93329228 EMBASE

DOCUMENT NUMBER: 1993329228

TITLE: [Fast disintegrating controlled release tablets from coated particles].
SCHNELLZERFALLENDE TABLETTEN MIT GESTEUERTER WIRKSTOFFABGABE.

AUTHOR: Lehmann K.; Petereit H.-U.; Dreher D.

CORPORATE SOURCE: Rohm GmbH Chemische Fabrik, Abt. Forschung, Entwicklung, und Anwendungstechnik,D-64275 Darmstadt, Germany

SOURCE: Pharmazeutische Industrie, (1993) 55/10 (940-947).
ISSN: 0031-711X CODEN: PHINAN

COUNTRY: Germany

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 037 Drug Literature Index

LANGUAGE: German

SUMMARY LANGUAGE: German; English

L12 ANSWER 46 OF 46 EUROPATFULL COPYRIGHT 2004 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 393747 EUROPATFULL EW 199043 FS OS STA B
TITLE: Mebeverine dosage form.
Mebeverine Dosierungsform.
Forme de dosage de mebeverine.
INVENTOR(S): Mandel, Kenneth Gary, 5740 Auberger Drive, Fairfield, OH 45014, US;
Sheldon, Russell James, 93 Ridge Drive, Fairfield, OH 45014, US
PATENT ASSIGNEE(S): THE PROCTER & GAMBLE COMPANY, One Procter & Gamble Plaza, Cincinnati Ohio 45202, US
PATENT ASSIGNEE NO: 200173
AGENT: Suslic, Lydia et al, Procter & Gamble European Technical Center N.V. Temselaan 100, B-1820 Strombeek-Bever, BE
AGENT NUMBER: 931
OTHER SOURCE: ESP1990049 EP 0393747 A2 901024
SOURCE: Wila-EPZ-1990-H43-T1
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IT; R LI; R LU; R NL; R SE
PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 393747	A2	19901024
'OFFENLEGUNGS' DATE:			19901024
APPLICATION INFO.:	EP 1990-200868		19900410
PRIORITY APPLN. INFO.:	US 1989-341338		19890420
	US 1990-483472		19900227

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(FILE 'HOME' ENTERED AT 12:10:43 ON 26 APR 2004)

FILE 'STNGUIDE' ENTERED AT 12:11:48 ON 26 APR 2004
SET LINE 250
SET DETAIL OFF

FILE 'HOME' ENTERED AT 12:11:52 ON 26 APR 2004
SET LINE LOGIN
SET DETAIL LOGIN

FILE 'REGISTRY' ENTERED AT 12:12:00 ON 26 APR 2004
E CEFUROXIME AXETIL/CN
L1 1 SEA ABB=ON PLU=ON "CEFUROXIME AXETIL"/CN
D L1

FILE 'JAPIO, CAPLUS, USPATFULL, EUROPATFULL, MEDLINE, EMBASE, BIOSIS' ENTERED AT 12:12:41 ON 26 APR 2004
L2 3000 SEA ABB=ON PLU=ON L1
L3 3461 SEA ABB=ON PLU=ON CEFUROXIME AXETIL
L4 842 SEA ABB=ON PLU=ON L3 (P) (TABLET OR CAPSULE OR ORAL)
L5 29 SEA ABB=ON PLU=ON L4 AND (IMMEDIATE OR QUICK OR FAST OR INSTANT) (3A) RELEASE
L6 26 SEA ABB=ON PLU=ON L5 AND (DELAYED OR SUSTAINED OR PROLONGED) (3A) RELEASE
L7 24 DUP REM L6 (2 DUPLICATES REMOVED)
D L7 IBIB KWIC 1-
L8 4999 SEA ABB=ON PLU=ON (IMMEDIATE OR FAST OR QUICK OR INSTANT) (P) (DELAYED OR SUSTAINED OR PROLONGED) (10A) RELEASE (P) (ORAL OR TABLET OR CAPSULE)

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L9            6 SEA ABB=ON  PLU=ON  L8 AND (OUTER OR EXTERNAL) (5A) (COAT OR
                COATING OR COATED) (P) (EUDRAGIT L 30 OR EUDRAGIT S 30)
L10          52 SEA ABB=ON  PLU=ON  (L8 OR L9) (P) (COAT OR COATING OR COATED)
                (P) EUDRAGIT (5A) (RL 30 OR RS 30)
L11          47 SEA ABB=ON  PLU=ON  (L8 OR L9) AND (COAT OR COATING OR COATED)
                (P) EUDRAGIT (5A) (RL 30 OR RS 30)
L12          46 DUP REM L11 (1 DUPLICATE REMOVED)
                D L12 IBIB 1-


     FILE HOME

     FILE STNGUIDE
     FILE CONTAINS CURRENT INFORMATION.
     LAST RELOADED: Apr 23, 2004 (20040423/UP).

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   23 APR 2004  HIGHEST RN 676578-75-9
     DICTIONARY FILE UPDATES:  23 APR 2004  HIGHEST RN 676578-75-9

     TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     Crossover limits have been increased.  See HELP CROSSOVER for details.

     Experimental and calculated property data are now available. For more
     information enter HELP PROP at an arrow prompt in the file or refer
     to the file summary sheet on the web at:
     http://www.cas.org/ONLINE/DBSS/registryss.html

     FILE JAPIO
     FILE LAST UPDATED: 8 APR 2004        <20040408/UP>
     FILE COVERS APR 1973 TO DECEMBER 05, 2003

     <<< GRAPHIC IMAGES AVAILABLE >>>

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     FILE COVERS 1907 - 26 Apr 2004  VOL 140 ISS 18
     FILE LAST UPDATED: 25 Apr 2004  (20040425/ED)

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     FILE USPATFULL
     FILE COVERS 1971 TO PATENT PUBLICATION DATE: 22 Apr 2004 (20040422/PD)
     FILE LAST UPDATED: 22 Apr 2004 (20040422/ED)
```

HIGHEST GRANTED PATENT NUMBER: US6725463
HIGHEST APPLICATION PUBLICATION NUMBER: US2004078858
CA INDEXING IS CURRENT THROUGH 22 Apr 2004 (20040422/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 22 Apr 2004 (20040422/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
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>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
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FILE EUROPATFULL
FILE LAST UPDATED: 15 APR 2004 <20040415/UP>
MOST RECENT EPO WEEK: 200416 <200416/EW>
FILE COVERS 1987 TO DATE

>>>>>The LIMIT feature has been removed <<<<<

FILE MEDLINE
FILE LAST UPDATED: 24 APR 2004 (20040424/UP). FILE COVERS 1951 TO DATE.

On February 29, 2004, the 2004 MeSH terms were loaded. See HELP RLOAD for details. OLDMEDLINE now back to 1951.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

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FILE EMBASE
FILE COVERS 1974 TO 22 Apr 2004 (20040422/ED)

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FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT

FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 21 April 2004 (20040421/ED)

FILE RELOADED: 19 October 2003.

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